STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 134104

TO: Rei-Tsang Shiao **Location: 5a10 / 5c18** **Tuesday, October 05, 2004** **Art Unit: 1626** **Phone: 272-0707** **Serial Number: 10 / 026963**	**From: Jan Delaval** **Location: Biotech-Chem Library** **Rem 1A51** **Phone: 272-2504** **jan.delaval@uspto.gov**

Search Notes

Jan Delaval 154104
for search

Access DB# _____

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Robert (Reto) Shiao Examiner #: 79521 Date: 10/1/04

Art Unit: 1626 Phone Number 30 2-0707 Serial Number: 10/026963

Mail Box and Bldg/Room Location: 5A10/5C18 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: _____

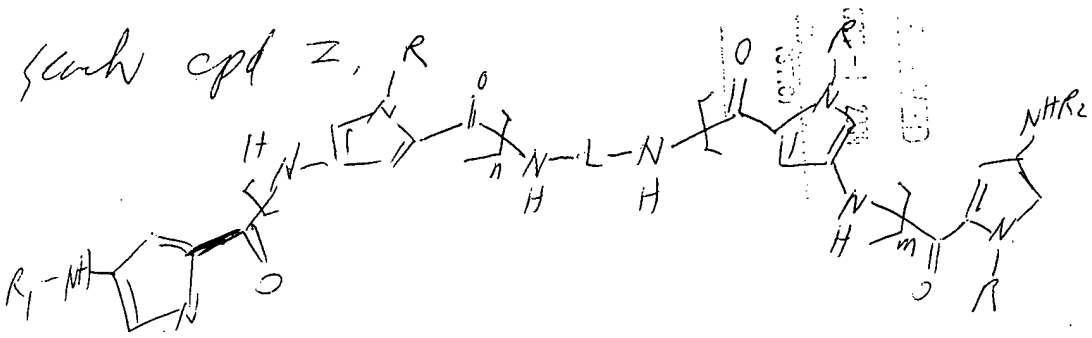
Inventors (please provide full names): Vellyzi et al

_____

Earliest Priority Filing Date: _____

**For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

1 search cpd I, R



1. R, R1, R2 are sub.

2 L is sub

****

STAFF USE ONLY

Searcher: Jan

Searcher Phone #: 22504

Searcher Location: _____

Date Searcher Picked Up: 10/5

Date Completed: 10/5

Searcher Prep & Review Time: _____

Clerical Prep Time: 20

Online Time: ✓ 40

Type of Search

NA Sequence (#) _____

AA Sequence (#) _____

Structure (#) ✓

Bibliographic _____

Litigation _____

Fulltext _____

Patent Family _____

Other _____

Vendors and cost where applicable

STN ✓

Dialog _____

Questel/Orbit _____

Dr.Link _____

Lexis/Nexis _____

Sequence Systems _____

WWW/Internet _____

Other (specify) _____

PTO-1590 (8-01)

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FILE 'REGISTRY' ENTERED AT 12:35:12 ON 05 OCT 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:    4 OCT 2004  HIGHEST RN 756793-93-8
DICTIONARY FILE UPDATES:   4 OCT 2004  HIGHEST RN 756793-93-8

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> d sta que l29
L19             STR
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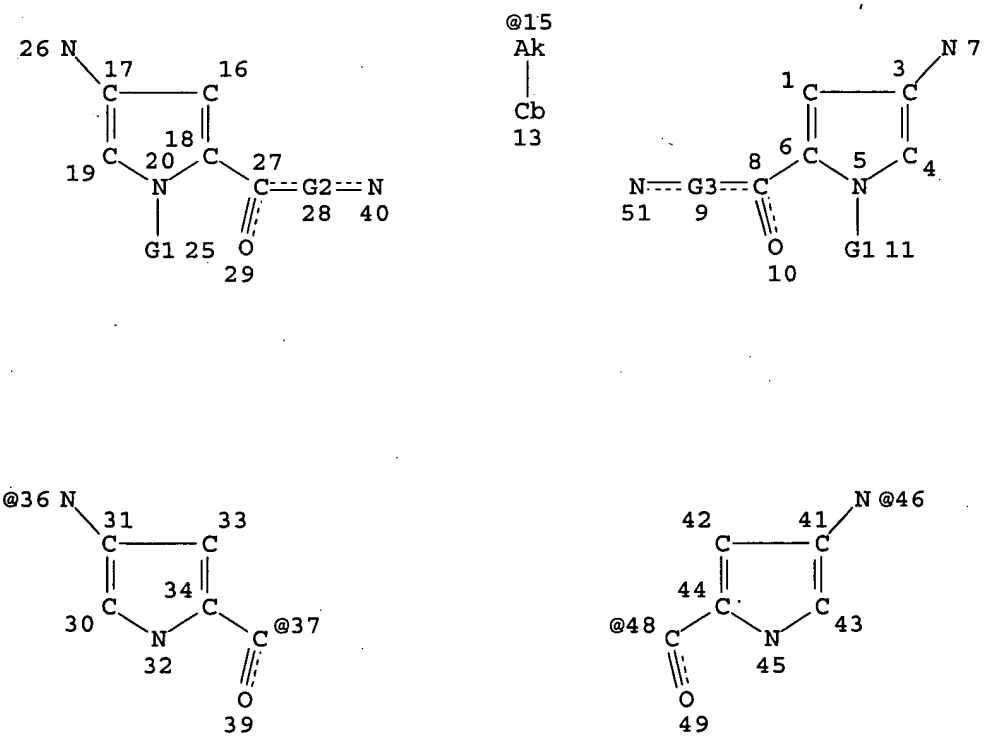


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REP G3=(0-4) 48-51 46-8
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CONNECT IS M1  RC AT  26
CONNECT IS M2  RC AT  40
CONNECT IS M2  RC AT  51
DEFAULT MLEVEL IS ATOM
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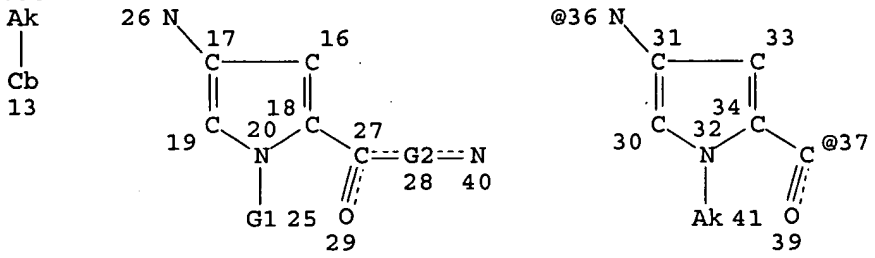
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 3 19 32 44
NUMBER OF NODES IS 40

STEREO ATTRIBUTES: NONE
L21 9021 SEA FILE=REGISTRY CSS FUL L19
L22 STR



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CONNECT IS M2 RC AT 40
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE
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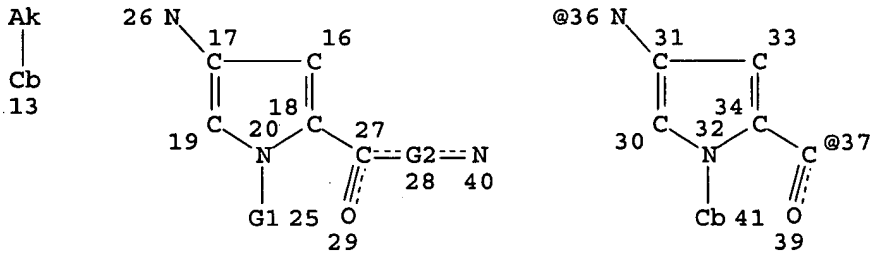

VAR G1=AK/CB/15
REP G2=(0-4) 36-27 37-40
NODE ATTRIBUTES:
CONNECT IS M1 RC AT 26
CONNECT IS M2 RC AT 40
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

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NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE
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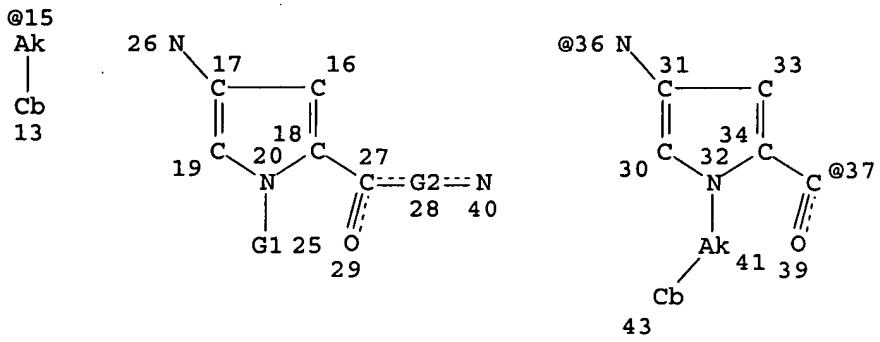

VAR G1=AK/CB/15
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NODE ATTRIBUTES:
CONNECT IS M1 RC AT 26
CONNECT IS M2 RC AT 40
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 23

STEREO ATTRIBUTES: NONE
L28 9021 SEA FILE=REGISTRY SUB=L21 CSS FUL L27
L29 9021 SEA FILE=REGISTRY ABB=ON PLU=ON (L21 OR L24 OR L26 OR L28)

=> d his

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SET COST OFF

FILE 'HCAPLUS' ENTERED AT 11:55:13 ON 05 OCT 2004
L1 1 S US20020198254/PN OR (US2001-026963# OR WO2001-US45873 OR US20
E VELLIGAN M/AU
L2 16 S E4-E6
E KHORLIN A/AU
L3 295 S E4-E7
E DYATKINA N/AU
L4 69 S E3-E10
E SHI D/AU
L5 62 S E3,E6
E SHI DONG/AU
L6 21 S E3,E7
E SHI DONGFANG/AU
L7 4 S E3
E BOTYANSZKI J/AU
L8 29 S E3,E4
E LIEHR S/AU
L9 20 S E3,E4,E7-E9
E GENELAB/PA,CS
L10 155 S E3-E42
SEL RN L1

FILE 'REGISTRY' ENTERED AT 11:57:37 ON 05 OCT 2004
L11 237 S E1-E237
L12 174351 S 16.136.9/RID
L13 65 S L11 NOT L12
L14 172 S L11 NOT L13

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L15          167 S L14 AND NR>=2
L16            5 S L14 NOT L15
L17              STR
L18           50 S L17 CSS
L19              STR L17
L20           50 S L19 CSS
L21         9021 S L19 CSS FUL
                 SAV TEMP L21 SHIAO026/A
L22              STR L19
L23           50 S L22 CSS SAM SUB=L21
L24         9021 S L22 CSS FUL SUB=L21
                 SAV TEMP L24 SHIAO026A/A
L25              STR L22
L26         9021 S L25 CSS FUL SUB=L21
                 SAV TEMP L26 SHIAO026B/A
L27              STR L25
L28         9021 S L27 CSS FUL SUB=L21
                 SAV TEMP L28 SHIAO026C/A
L29         9021 S L21,L24,L26,L28
L30          155 S L11 AND L29
L31         8866 S L29 NOT L30

     FILE 'HCAPLUS' ENTERED AT 12:18:41 ON 05 OCT 2004
L32             1 S L30
L33          1108 S L31
L34             1 S L1-L10 AND L32
L35            22 S L1-L10 AND L33
L36            17 S L35 AND (PY<=2000 OR PRY<=2000 OR AY<=2000)
                  E FUNGICIDE/CT
L37         66624 S E17,E5
                  E E17+ALL
                  E E2+ALL
L38          9936 S E10
L39          6869 S E22-E32
                  E FUNG/CW
L40         77415 S E11,E12,E25
                  E PARASITICID/CT
L41          4391 S E4-E8
                  E E4+ALL
L42         23007 S E8,E7+NT
                  E ANTIBACTERIAL/CT
                  E E4+ALL
L43         51500 S E12-E16
L44         38956 S E11,E26-E35
                  E ANTIBACTERIAL/CT
L45         29112 S E4-E12
L46            43 S L33 AND L37-L45
L47           386 S L31 (L) (THU OR DMA OR PKT OR PAC OR BAC)/RL
L48           285 S L31 (L) USES+NT/RL
L49            35 S L47,L48 AND L46
L50            33 S L49,L46 AND (PHARMACEUT? OR PHARMACOL?)/SC,SX
L51            10 S L46,L49 NOT L50
                  SEL HIT RN

     FILE 'REGISTRY' ENTERED AT 12:27:24 ON 05 OCT 2004
L52            13 S E1-E13
L53             1 S 636-47-5
L54            26 S 636-47-5/CRN OR 6576-31-4
L55            10 S L52 NOT L53,L54
L56          8829 S L31 NOT L52-L55

     FILE 'HCAPLUS' ENTERED AT 12:30:30 ON 05 OCT 2004
L57           714 S L56
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L58          26 S L57 AND L46,L49-L51
L59           1 S L36 AND L37-L45
L60           2 S L34,L59
L61          16 S L36 NOT L60
L62          11 S L53 AND L61
                SEL DN AN 8-10
L63           3 S E14-E22 AND L62
L64           5 S L60,L63
L65          30 S L58,L64
L66           7 S L65 AND L1-L10
L67          23 S L65 NOT L66

     FILE 'REGISTRY' ENTERED AT 12:35:12 ON 05 OCT 2004

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FILE 'HCAPLUS' ENTERED AT 12:35:25 ON 05 OCT 2004
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FILE COVERS 1907 - 5 Oct 2004  VOL 141 ISS 15
FILE LAST UPDATED: 4 Oct 2004  (20041004/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


=> d 166 all fhitstr tot

L66  ANSWER 1 OF 7  HCAPLUS  COPYRIGHT 2004 ACS on STN
AN   2003:551374  HCAPLUS
DN   139:117331
ED   Entered STN:  18 Jul 2003
TI   Preparation of polyamide analogs possessing antibacterial, antifungal,
     and/or antitumor activity
IN   Dyatkina, Natalia B.; Shi, Dong-fang; Roberts,
     Christopher Don; Velligan, Mark Douglas; Liehr, Sebastian
     Johannes Reinhard; Botyanszki, Janos; Zhang, Wentao;
     Khorlin, Alexander; Nelson, Peter Harold; Muchowski, Joseph Martin
PA   Genelabs Technologies, Inc., USA; et al.
SO   PCT Int. Appl., 174 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
IC   ICM  A61K031-395
     ICS  A61P031-00; A61P035-00; C07D401-14; C07D207-34; C07D209-42;
          C07D403-14; C07D409-14
CC   27-1 (Heterocyclic Compounds (One Hetero Atom))
     Section cross-reference(s): 1, 10, 28, 34
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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PI WO 2003057212 A1 20030717 WO 2002-US41087 20021224
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2003212113 A1 20031113 US 2002-328710 20021224
BR 2002007583 A 20040427 BR 2002-7583 20021224
NO 2003003773 A 20031023 NO 2003-3773 20030825
PRAI US 2001-343796P P 20011226
US 2001-343829P P 20011226
WO 2002-US41087 W 20021224

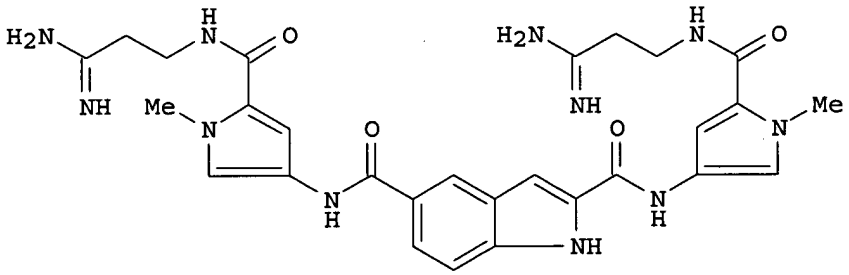
10328710

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2003057212	ICM	A61K031-395
	ICS	A61P031-00; A61P035-00; C07D401-14; C07D207-34; C07D209-42; C07D403-14; C07D409-14

OS MARPAT 139:117331

GI



I

AB Compds. of formula R1Z1COX1NHCOX2CONHX3COZ2R2 [wherein Z1 and Z2 = independently NR3, O; R3 = H, alkyl; R1 and R2 = independently substituted alkyl or aryl, (un)substituted heteroaryl; X2 = (un)substituted aryl or heteroaryl, alkenyl, alkynyl, cycloalkyl, heterocyclic; X1 and X3 = independently (un)substituted aryl or heteroaryl, CHR4; R4 = (un)natural amino acid side chain; or their pharmaceutically acceptable salts] were prepared as topoisomerase inhibitors (no data) for use as antibacterial, antifungal, and/or antitumor agents. For example, 1H-indole-2,5-dicarboxylic acid dipentafluorophenyl ester was reacted with at least two equivalent of 4-amino-1-methyl-1H-pyrrole-2-carboxylic acid [2-(carbamimidoyl)ethyl]amide in DMF to give I. Compds. of the invention exhibited antibacterial and antifungal activity with some having minimal inhibitory concns. of <45.5 μM. DNA binding assays showed that invention compds. bind to DNA very tightly, with apparent Kd,app values below 100 nM for most compds. tested.

ST indole pyrrole deriv prepn antibacterial antifungal antitumor

IT Infection
(bacterial; preparation of polyamides as antibacterial, antifungal, and/or antitumor agents)

IT **Antibacterial agents**
Antitumor agents
Fungicides

Human
Mycosis
Neoplasm
(preparation of polyamides as antibacterial, antifungal, and/or antitumor agents)

IT Amino acids, reactions
Heterocyclic compounds
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of polyamides as antibacterial, antifungal, and/or antitumor agents)

IT DNA
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of polyamides as antibacterial, antifungal, and/or antitumor agents and their DNA binding properties)

IT **386250-55-1P** 386251-09-8P 386251-11-2P 386251-12-3P
RL: **PAC (Pharmacological activity)**; RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); **USES (Uses)**
(drug candidate; preparation of polyamides as antibacterial, antifungal, and/or antitumor agents)

IT **386250-57-3P 386250-58-4P 386250-59-5P**
386250-60-8P 386250-61-9P 386250-63-1P
386250-65-3P **386250-67-5P 386250-68-6P**
386250-69-7P 386250-70-0P 386250-71-1P
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386250-75-5P 386250-76-6P 386250-77-7P
386250-78-8P 386250-79-9P 386250-80-2P
386250-81-3P 386250-82-4P 386250-83-5P
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561313-97-1P 561313-99-3P 561314-13-4P
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); **USES (Uses)**
(drug candidate; preparation of polyamides as antibacterial, antifungal, and/or antitumor agents)

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of polyamides as antibacterial, antifungal, and/or antitumor agents)

IT 142805-56-9, Topoisomerase II 143180-75-0
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of polyamides as antibacterial, antifungal, and/or antitumor agents)

IT 56-18-8 86-74-8, 9H-Carbazole 99-96-7, reactions 99-96-7D, amide with aminomethyl-polystyrene 107-15-3, Ethylenediamine, reactions 107-82-4 108-00-9 109-55-7 109-76-2, 1,3-Propanediamine 109-81-9 110-60-1, 1,4-Butanediamine 110-72-5 111-39-7 111-40-0, Diethylenetriamine 111-41-1 151-18-8, Aminopropionitrile 771-61-9, Pentafluorophenol 1003-03-8, Cyclopentylamine 1003-29-8, Pyrrole-2-carboxaldehyde 1074-82-4, Potassium phthalimide 3296-90-0 3970-21-6, Methoxyethoxymethyl chloride 4023-02-3 4097-89-6 4457-32-3 4597-87-9, 2-Methylaminopyridine 4605-14-5 5332-06-9 5930-92-7 7051-34-5 7328-91-8 7693-41-6 13138-76-6 14533-84-7, Pentafluorophenyl trifluoroacetate 14559-43-4 16732-57-3 39111-57-4 77716-11-1 109012-23-9 133921-07-0 136818-66-1 138730-81-1 138731-14-3 142685-25-4 152120-54-2 201473-90-7 292068-76-9 386250-64-2 386252-88-6 386252-89-7 386252-90-0 386252-91-1 386252-92-2 386252-93-3 386252-94-4 386252-95-5 386252-96-6 386252-97-7 386252-98-8 386252-99-9 386253-00-5 386253-01-6 386253-02-7 404336-13-6 561303-03-5 561304-75-4 561304-76-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of polyamides as antibacterial, antifungal, and/or antitumor agents)

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE
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(3) Chowdhury; JOURNAL OF MEDICINAL CHEMISTRY 1978, V21(7), P607 HCAPLUS
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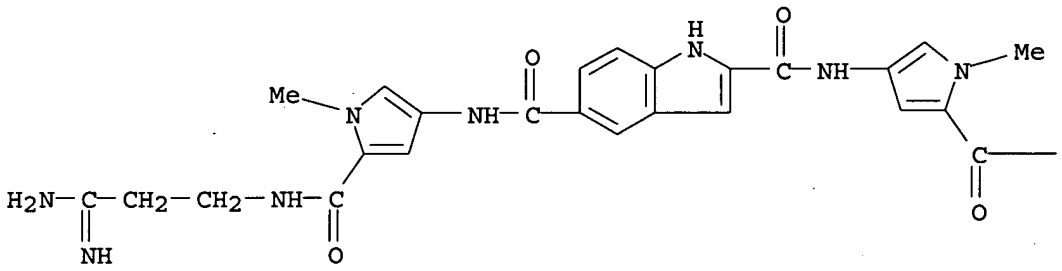
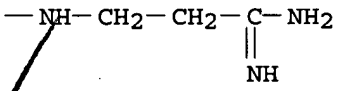
IT **386250-55-1P**
RL: **PAC (Pharmacological activity)**; RCT (Reactant); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); **USES (Uses)**; RACT (Reactant or reagent); **USES (Uses)**
(drug candidate; preparation of polyamides as antibacterial, antifungal, and/or antitumor agents)
RN 386250-55-1 HCAPLUS
CN 1H-Indole-2,5-dicarboxamide, N,N'-bis[5-[[(3-amino-3-iminopropyl)amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



L66 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:615567 HCAPLUS
DN 137:169795
ED Entered STN: 16 Aug 2002
TI Preparation of polyamide analogs as antibacterial, antifungal, and antiparasitic agents
IN **Velligan, Mark D.**; **Khorlin, Alexander**; **Dyatkina, Natalia B.**; **Shi, Dong-Fang**; **Botyanszki, Janos**; **Liehr, Sebastian**
PA **Genelabs Technologies, Inc., USA**
SO PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DT Patent

LA English
IC ICM C07D207-00
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 27, 63
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002062755	A2	20020815	WO 2001-US45873	20011227 <--
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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PRAI	US 2000-258842P	P	20001227 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
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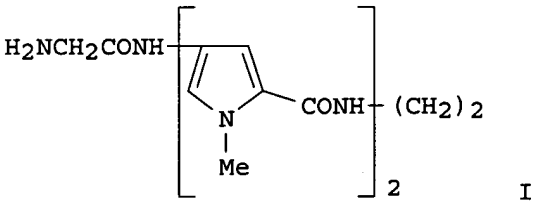
OS MARPAT 137:169795
GI



I

AB Compds. R1NH-Ar1-CO(NH-Ar2-CO)nNH-L-NH(CO-Ar3-NH)mCO-Ar4-NHR2 [R1, R2 = H, alkyl, (un)substituted alkanoyl or carbamoyl, at least one of which can form a salt; m, n = 0-4; Ar1-Ar4 = optionally substituted (hetero)arylene; L = alkylene which may be substituted by CONHR4, CONHNHR6, NHR9 (R4, R6, R9 = H, alkyl, aryl, etc.), or a guanidino group or L = (alkylene)x-Z-(alkylene)y-(Za)z, where x, y, and z = 0-2 and Z and Za = phenylene, cycloalkylene optionally fused to one or two phenylene ring(s), heterocyclene, O, S, NR10 (R10 = H, alkyl, cycloalkylamino, etc.), CONH or NHCO, provided that when Z and/or Za is NR10, it is separated from another nitrogen atom by at least two carbon atoms] or their pharmaceutically-acceptable salts were prepared as novel antibacterial/antifungal/antiparasitic agents. Thus, compd I was prepared by a multistep sequence involving coupling reactions of Me 4-amino-1-methyl-1H-pyrrole-2-carboxylate, N-(tert-butoxycarbonyl)glycine pentafluorophenyl ester, and ethylenediamine. Compd I showed min. inhibitory concentration values >45.5 against various bacterial strains.
ST glycylaminopyrrolecarboxylic polyamide prepn bactericide fungicide parasiticide; pyrrolecarboxylic glycylamino polyamide prepn bactericide fungicide parasiticide
IT DNA
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(binding; preparation of polyamide analogs as antibacterial, antifungal, and antiparasitic agents)
IT Antibacterial agents
Fungicides

Parasiticides
(preparation of polyamide analogs as antibacterial, antifungal, and antiparasitic agents)

IT Polyamides, preparation
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of polyamide analogs as antibacterial, antifungal, and antiparasitic agents)

IT Amino acids, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of polyamide analogs as antibacterial, antifungal, and antiparasitic agents)

IT **446882-54-8P 446882-55-9P 446882-56-0P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of polyamide analogs as antibacterial, antifungal, and antiparasitic agents)

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446883-45-0P 446883-72-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of polyamide analogs as antibacterial, antifungal, and antiparasitic agents)

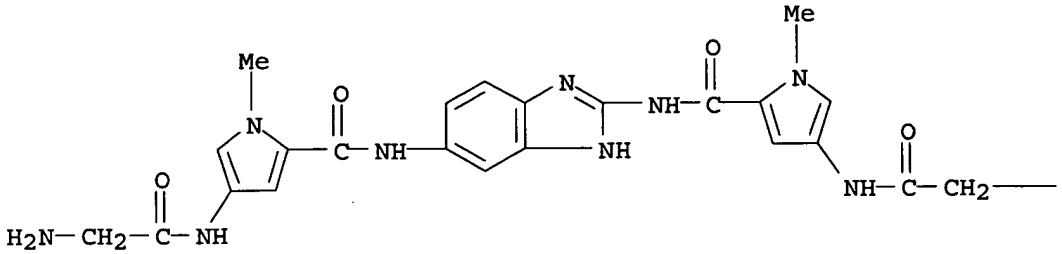
IT 79-08-3, Bromoacetic acid 99-56-9, 4-Nitro 1 2 benzenediamine 105-83-9 107-15-3, Ethylenediamine, reactions 109-76-2, 1,3-Propanediamine 110-60-1, 1,4-Butanediamine 124-09-4, 1,6-Hexanediamine, reactions 305-03-3, Chlorambucil 373-44-4, 1,8-Octanediamine 525-64-4, 2,7-Diaminofluorene 539-48-0, 1,4-Benzenedimethanamine 951-87-1, meso-1,2-Diphenylethylenediamine 1477-55-0, 1,3-Benzenedimethanamine 1761-71-3 2549-93-1, 1,4-Cyclohexanedimethanamine 2579-20-6, 1,3-Cyclohexanedimethanamine 2615-25-0, trans 1 4 Cyclohexanediamine 2783-17-7, 1,12-Dodecanediamine 3303-84-2 4023-00-1, Pyrazole 1 carboxamidine 4023-02-3, 1h Pyrazole 1 carboxamidine hydrochloride 4420-88-6 4530-20-5 4963-47-7, Tris(3-aminopropyl)amine 6852-78-4, r 1,2-Propanediamine 7209-38-3, 1,4-Piperazinedipropanamine 7693-46-1, 4-Nitrophenyl chloroformate 13138-76-6 13734-41-3 13880-36-9, 1,2-Hexadecanediamine 14533-84-7, Pentafluorophenyl trifluoroacetate 15761-39-4 15967-72-3, s 1,2-Propanediamine 19826-45-0 20485-43-2 32388-19-5, L-Lysinamide 32926-43-5 42601-04-7, 3,4-Difluorophenyl isocyanate 50903-47-4 57294-38-9 68262-71-5 77716-11-1 77716-16-6 83468-83-1 84624-27-1 113737-76-1 195387-29-2 446882-30-0 446882-39-9D, resin-bound 446883-54-1 446883-55-2 446883-56-3 446883-57-4 446883-58-5 446883-59-6 446883-60-9 446883-61-0 446883-62-1 446883-63-2 446883-64-3 446883-65-4 446883-66-5 446883-67-6 **446883-71-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of polyamide analogs as antibacterial, antifungal, and antiparasitic agents)

IT 4963-47-7DP, resin-bound 24370-22-7P, 2 Amino 4 nitrobenzimidazole 72083-62-6P 88473-88-5DP, 4-Nitrophenyl hydrogen carbonate, resin-bound 446881-72-7P 446881-73-8P 446881-75-0P 446881-77-2P 446881-79-4P 446881-82-9P 446881-84-1P 446881-86-3P 446881-88-5P 446882-30-0DP, resin-bound **446882-31-1DP**, resin-bound **446882-40-2DP**, resin-bound **446882-41-3P 446882-42-4DP**, resin-bound **446882-44-6DP**, resin-bound **446882-53-7P** 446883-21-2P 446883-22-3P **446883-23-4P 446883-24-5P 446883-25-6P 446883-32-5P 446883-33-6P 446883-46-1P 446883-47-2P 446883-48-3P 446883-49-4P 446883-50-7P 446883-51-8P 446883-52-9P 446883-53-0P 446883-69-8P 446883-70-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of polyamide analogs as antibacterial, antifungal, and antiparasitic agents)

IT **446882-54-8P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of polyamide analogs as antibacterial, antifungal, and antiparasitic agents)

RN 446882-54-8 HCAPLUS
CN 1H-Pyrrole-2-carboxamide, N,N'-1H-benzimidazole-2,5-diylbis[4-[(aminoacetyl)amino]-1-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

—NH_2

L66 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:27216 HCAPLUS
DN 136:241074
ED Entered STN: 11 Jan 2002
TI Minor groove DNA binders as antimicrobial agents. 1. Pyrrole tetraamides are potent antibacterials against vancomycin resistant enterococci and methicillin resistant Staphylococcus aureus
AU **Dyatkina, Natalia B.**; Roberts, Christopher D.; Keicher, Jesse D.; Dai, Yuqin; Nadherny, Joshua P.; Zhang, Wentao; Schmitz, Uli; Kongpachith, Ana; Fung, Kevin; Novikov, Alexander A.; Lou, Lillian; **Velligan, Mark**; **Khorlin, Alexander A.**; Chen, Ming S.
CS **Genelabs Technologies, Redwood City, CA, 94063, USA**
SO Journal of Medicinal Chemistry (2002), 45(4), 805-817
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
CC 1-3 (**Pharmacology**)
Section cross-reference(s): 21
AB A new series of short pyrrole tetraamides are described whose submicromolar DNA binding affinity is an essential component for their strong antibacterial activity. This class of compds. is related to the linked bis-netropsins and bis-distamycins, but here, only one amino-pyrrole-carboxamide unit and an amidine tail is connected to either side of a central dicarboxylic acid linker. The highest degree of DNA binding, measured by compound-induced changes in UV melting temps. of an AT-rich DNA oligomer, was observed for flat, aromatic linkers with no inherent bent, i.e., terephthalic acid or 1,4-pyridine-dicarboxylic acid. However, the antibacterial activity is critically linked to the size of the N-alkyl substituent of the pyrrole unit. None of the tetraamides with the commonly used methyl-pyrrole showed antibacterial activity. Isoamyl- or cyclopropylmethylene-substituted dipyrrole derivs. have the min. inhibitory concns. in the submicromolar range. In vitro toxicity against human T-cells was studied for all compds. The degree to which compds. inhibited cell growth was neither directly correlated to DNA binding affinity nor directly correlated to antibacterial activity but seemed to depend strongly on the nature of the N-alkyl pyrrole substituents.

ST pyrrole tetraamide antibacterial structure design vancomycin resistance; methicillin resistance pyrrole tetraamide DNA binding structure; mol modeling antibacterial resistance DNA binding structure; Enterococci Staphylococcus DNA binding structure pyrrole tetraamide

IT Animal cell line
(CCRF-CEM; pyrrole tetraamides as potent antibacterials against vancomycin-resistant enterococci and methicillin-resistant Staphylococcus aureus)

IT Structure-activity relationship
(DNA-binding; pyrrole tetraamides as potent antibacterials against vancomycin-resistant enterococci and methicillin-resistant Staphylococcus aureus)

IT Bactericide resistance
(pyrrole tetraamides as potent antibacterials against vancomycin -resistant enterococci and methicillin-resistant Staphylococcus aureus)

IT Firmicutes
Staphylococcus aureus
(pyrrole tetraamides as potent antibacterials against vancomycin-resistant enteroccocci and methicillin-resistant Staphylococcus aureus)

IT T cell (lymphocyte)
(pyrrole tetraamides as potent antibacterials against vancomycin-resistant enterococci and methicilli- resistant Staphylococcus aureus)

IT **Antibacterial agents**
Drug design
Enterococcus
Human
Lipophilicity
Molecular modeling
(pyrrole tetraamides as potent antibacterials against vancomycin-resistant enterococci and methicillin-resistant Staphylococcus aureus)

IT 61-32-5, Methicillin **636-47-5** 1404-90-6, Vancomycin
1438-30-8, Netropsin **142482-63-1**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(pyrrole tetraamides as potent antibacterials against vancomycin-resistant enterococci and methicillin-resistant Staphylococcus aureus)

IT 2853-29-4P 3185-95-3P 5930-92-7P 13138-78-8P 14559-42-3P
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RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(pyrrole tetraamides as potent antibacterials against vancomycin-resistant enterococci and methicillin-resistant Staphylococcus aureus)

IT **386251-76-9P 386251-77-0P 386251-78-1P**
386251-81-6P 386251-82-7P 386251-83-8P
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RL: **PAC (Pharmacological activity)**; PRP (Properties); SPN

(Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); **USES (Uses)**
(pyrrole tetraamides as potent antibacterials against vancomycin-resistant enterococci and methicillin-resistant Staphylococcus aureus)

IT 110-18-9 151-18-8, 3-Aminopropionitrile 615-59-8 1074-24-4, 1,4-Dibromo-2,5-dimethyl-benzene 7051-34-5, Cyclopropylmethyl bromide 133921-07-0 735331-42-7 735332-97-5 735333-03-6 735333-35-4 735333-64-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(pyrrole tetraamides as potent antibacterials against vancomycin-resistant enterococci and methicillin-resistant Staphylococcus aureus)

IT 5156-01-4P, 2-Methylterephthalic acid 6051-66-7P, 2,5-Dimethyl-terephthalic acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(pyrrole tetraamides as potent antibacterials against vancomycin-resistant enterococci and methicillin-resistant Staphylococcus aureus)

RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD

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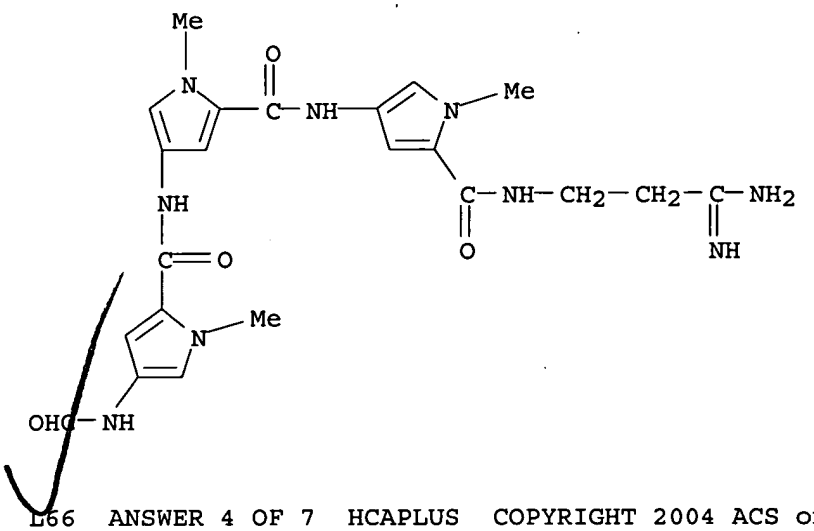
IT **636-47-5**
RL: **PAC (Pharmacological activity)**; BIOL (Biological study); **THU (Therapeutic use)**; **USES (Uses)**
(pyrrole tetraamides as potent antibacterials against

vancomycin-resistant enterococci and methicillin-resistant Staphylococcus aureus)

RN 636-47-5 HCAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[5-[[(3-amino-3-iminopropyl)amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-4-[[[4-(formylamino)-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl- (9CI) (CA INDEX NAME)



L66 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:10469 HCAPLUS

DN 136:85750

ED Entered STN: 04 Jan 2002

TI Preparation of novel compounds possessing antibacterial, antifungal or antitumor activity

IN Zhang, Wentao; **Liehr, Sebastian Johannes R.; Velligan, Mark Douglas; Dyatkina, Natalia B.; Botyanszki, Janos; Shi, Dong-Fang**; Roberts, Christopher Don; **Khorlin, Alexander**; Nelson, Peter Harold; Muchowski, Joseph Martin

PA **Genelabs Technologies, Inc., USA**

SO PCT Int. Appl., 141 pp.
CODEN: PIXXD2

DT Patent

LA English

IC ICM C07D403-14
ICS A61K031-395; A61P031-00; A61P035-00; C07D209-42; C07D207-34; C07D409-14; C07D401-14

CC 27-1 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): **1**, 10, 28, 34

09823?

FAN.CNT 1

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PI	WO 2002000650	A2	20020103	WO 2001-US20334	20010626 <--
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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	EP 1294713	A2	20030326	EP 2001-948740	20010626 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
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	JP 2004501915	T2	20040122	JP 2002-505774	20010626 <--
	US 2003119749	A1	20030626	US 2002-277666	20021023 <--
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PRAI	US 2000-214478P	P	20000627 <--		
	US 2001-892327	A3	20010626		
	WO 2001-US20334	W	20010626		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2002000650	ICM	C07D403-14
	ICS	A61K031-395; A61P031-00; A61P035-00; C07D209-42; C07D207-34; C07D409-14; C07D401-14
JP 2004501915	FTERM	4C063/AA03; 4C063/BB09; 4C063/CC06; 4C063/CC12; 4C063/CC29; 4C063/DD04; 4C063/DD06; 4C063/EE01; 4C069/AC06; 4C069/AC07; 4C069/BC28; 4C069/BD06; 4C086/AA01; 4C086/AA02; 4C086/AA03; 4C086/AA04; 4C086/BC05; 4C086/BC13; 4C086/BC42; 4C086/BC50; 4C086/GA07; 4C086/GA08; 4C086/MA01; 4C086/MA04; 4C086/NA14; 4C086/ZB26; 4C086/ZB32; 4C086/ZB35; 4C086/ZC20; 4C204/BB01; 4C204/CB03; 4C204/DB26; 4C204/EB02; 4C204/FB01; 4C204/FB02; 4C204/GB22; 4C204/GB32 <--
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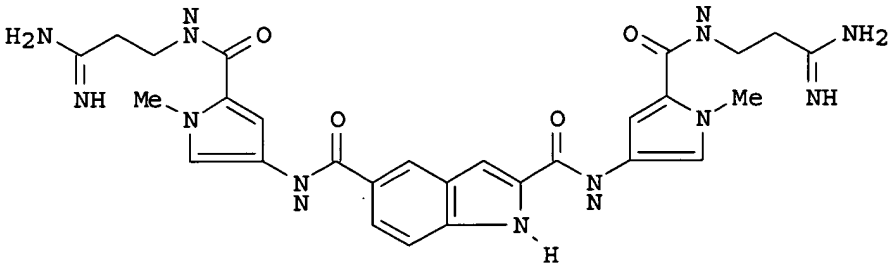
OS MARPAT 136:85750

GI



I

AB Compds. of formula R1Z1COX1NHCOX2CONHX3COZ2R2 (Z1 and Z2 = independently NR3, O; R3 = H, alkyl; R1 and R2 = independently substituted alkyl or aryl,(un)substituted heteroaryl; X2 = (un)substituted aryl or heteroaryl, alkenyl, alkynyl, cycloalkyl, heterocyclic; X1 and X3 = independently (un)substituted aryl or heteroaryl, CHR4; R4 = (un)natural amino acid side chain) or their pharmaceutically acceptable salts were prepared and possess one or more of the following activities: antibacterial, antifungal and antitumor activity. For example, 1H-Indole-2,5-dicarboxylic acid dipentafluorophenyl ester was reacted with at least two equivalent of 4-amino-1-methyl-1H-pyrrole-2-carboxylic acid (2-carbamimidoyl-ethyl)-amide in DMF to give compound I. Compds. of this invention exhibited antibacterial and antifungal activity with some having minimal inhibitory concns. of <45.5 μM. Studies of their DNA binding properties demonstrated that they bind to DNA very tightly, with apparent Kd,app values below 100 nM for most compds. tested.

ST indole pyrrole deriv prepn antibacterial antifungal antitumor

IT **Antibacterial agents**
Antitumor agents
Aspergillus fumigatus
Bacillus cereus
Candida albicans
Fungicides
Haemophilus influenzae
Pseudomonas aeruginosa
(preparation of novel compds. possessing antibacterial, antifungal or antitumor activity)

IT Amino acids, reactions
Heterocyclic compounds
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of novel compds. possessing antibacterial, antifungal or antitumor activity)

IT DNA
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of novel compds. possessing antibacterial, antifungal or antitumor activity and their DNA binding properties)

IT 386252-72-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of)

IT 142805-56-9, Topoisomerase II 143180-75-0
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of novel compds. possessing antibacterial, antifungal or antitumor activity)

IT **386250-55-1P** 386251-09-8P 386251-11-2P 386251-12-3P
RL: **PAC (Pharmacological activity)**; RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); **USES (Uses)**
(preparation of novel compds. possessing antibacterial, antifungal or antitumor activity)

IT **386250-57-3P 386250-58-4P 386250-59-5P**
386250-60-8P 386250-61-9P 386250-63-1P
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386250-72-2P 386250-73-3P 386250-74-4P
386250-75-5P 386250-76-6P 386250-77-7P
386250-78-8P 386250-79-9P 386250-80-2P
386250-81-3P 386250-82-4P 386250-83-5P
386250-84-6P 386250-85-7P 386250-86-8P
386250-87-9P 386250-88-0P **386250-91-5P 386250-94-8P**
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386253-06-1P 386253-07-2P 386253-08-3P
386253-09-4P 386253-10-7P 386253-11-8P
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); **USES (Uses)**
(preparation of novel compds. possessing antibacterial, antifungal or antitumor activity)

IT 56-18-8 86-74-8, 9H-Carbazole 99-96-7, reactions 99-96-7D, amide with aminomethyl-polystyrene, reactions 107-15-3, Ethylenediamine, reactions 107-82-4 108-00-9 109-55-7 109-76-2, 1,3-Propanediamine 109-81-9 110-60-1, 1,4-Butanediamine 110-72-5 111-39-7 111-40-0, Diethylenetriamine 111-41-1 151-18-8, Aminopropionitrile 771-61-9, Pentafluorophenol 1003-03-8, Cyclopentylamine 1003-29-8, Pyrrole-2-carboxaldehyde 1074-82-4, Potassium phthalimide 3296-90-0 3970-21-6, Methoxyethoxymethyl chloride 4023-02-3 4097-89-6 4457-32-3 4597-87-9, 2-Methylaminopyridine 4605-14-5 5332-06-9 5930-92-7 7051-34-5 7328-91-8 7693-41-6 13138-76-6 14533-84-7, Pentafluorophenyl trifluoroacetate 14559-43-4 16732-57-3 39111-57-4 77716-11-1 109012-23-9 133921-07-0 136818-66-1 138730-81-1 138731-14-3 142685-25-4 152120-54-2 201473-90-7 292068-76-9 386250-64-2 386252-88-6 386252-89-7 386252-90-0 386252-91-1 386252-92-2 386252-93-3 386252-94-4 386252-95-5 386252-96-6 386252-97-7 386252-98-8 386252-99-9 386253-00-5 386253-01-6 386253-02-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of novel compds. possessing antibacterial, antifungal or antitumor activity)

IT 619-57-8DP, resin-bound 937-27-9P, 1H-Pyrrole-2,5-dicarboxylic acid 3184-61-0P 3185-95-3P 3215-41-6P, 9H-Carbazole-3,6-dicarboxylic acid 13138-78-8P 14559-42-3P 16011-90-8P 16730-20-4P 24064-13-9P 28494-51-1P 39604-60-9P, 1H-Pyrrole-2,5-dicarboxaldehyde 58902-77-5P 58902-78-6P 58902-79-7P 63375-50-8P 67973-87-9P 67973-88-0P 83674-57-1P 104274-80-8P 114729-69-0P 117140-77-9P, 1H-Indole-2,5-dicarboxylic acid 127221-02-7P 129655-48-7P 167027-30-7P 169770-33-6P 203258-44-0P 386250-89-1P 386250-90-4P 386250-92-6P 386250-93-7P 386251-00-9P 386251-04-3P 386251-05-4P 386251-07-6P 386251-64-5DP, amide with aminomethyl-polystyrene 386251-65-6DP, amide with aminomethyl-polystyrene 386252-19-3P 386252-20-6P 386252-21-7P 386252-22-8P 386252-23-9P 386252-24-0P 386252-25-1P 386252-26-2P 386252-27-3P 386252-28-4P 386252-29-5P 386252-30-8P 386252-31-9P 386252-32-0P 386252-45-5P 386252-50-2DP, resin-bound 386252-51-3P 386252-52-4DP, amide with aminomethyl-polystyrene 386252-53-5P 386252-54-6P 386252-55-7P 386252-56-8P 386252-57-9P 386252-58-0P 386252-59-1P 386252-68-2P 386252-69-3P 386252-70-6P 386252-71-7P 386252-73-9P 386252-74-0P 386252-75-1P 386252-76-2P 386252-77-3P 386252-78-4P 386252-79-5P 386252-80-8P 386252-81-9P 386252-82-0P 386252-83-1P 386252-84-2P 386252-85-3P 386252-86-4P 386252-87-5P

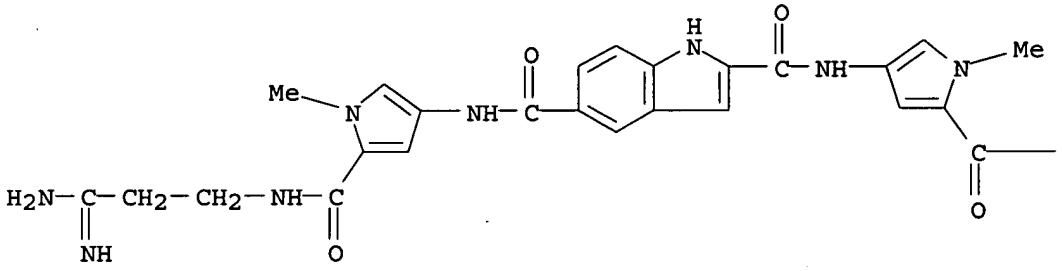
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel compds. possessing antibacterial, antifungal or antitumor activity)

IT **386250-55-1P**
RL: **PAC (Pharmacological activity)**; RCT (Reactant); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); **USES (Uses)**; RACT (Reactant or reagent); **USES (Uses)**
(preparation of novel compds. possessing antibacterial, antifungal or antitumor activity)

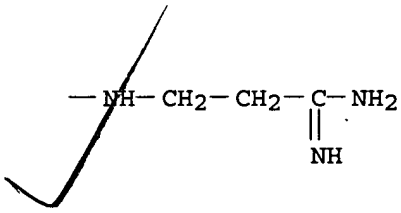
RN 386250-55-1 HCAPLUS

CN 1H-Indole-2,5-dicarboxamide, N,N'-bis[5-[[(3-amino-3-iminopropyl)amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



L66 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

AN **1987:419867** HCAPLUS

DN **107:19867**

ED Entered STN: 25 Jul 1987

TI Netropsin, distamycin A, bis-netropsins as selective inhibitors of restriction endonucleases and DNase I

AU Stanchev, B. S.; Grokhovskii, S. L.; **Khorlin, A. A.**; Gottikh, B. P.; Zhuze, A. L.; Skamrov, A. V.; Bibilashvilli, R. Sh.

CS Inst. Mol. Biol., Moscow, USSR

SO Molekulyarnaya Biologiya (Moscow) (**1986**), 20(6), 1614-24
CODEN: MOBIBO; ISSN: 0026-8984

DT Journal

LA Russian

CC 7-3 (Enzymes)

AB The simultaneous anal. of DNase I footprinting data and restriction endonuclease inhibition data was performed on the same DNA end-labeled fragment. The inhibition induced by netropsin, a number of bis-netropsins, and distamycin A was investigated. The restriction endonuclease inhibition by the ligands is caused by the ligand mols. binding in the close vicinity to the restriction endonuclease recognition sequence. A

zone of ±4 base pairs from the center of the restriction endonuclease recognition sequence can be defined as the zone of influence of the bound ligand on the restriction endonuclease. However, the intersection of recognition sequence and the binding site occupied by a single ligand mol. is not sufficient for the inhibition to occur. Restriction endonuclease cutting sites protected by netropsin can be predicted basing upon known nucleotide sequence specificity of netropsin. Netropsin and bis-netropsins show different nucleotide sequence specificity. This fact can be used for selective inhibition of restriction endonucleases.

ST DNase restriction endonuclease selective inhibition; nitropsin DNase restriction endonuclease inhibition; distamycin A DNase restriction endonuclease inhibition

IT **636-47-5**, Distamycin A 1438-30-8, Netropsin **75472-88-7** **108653-43-6** **108739-61-3**
RL: BIOL (Biological study)
(DNAse I and restriction endonucleases selective inhibition by, DNA binding in relation to)

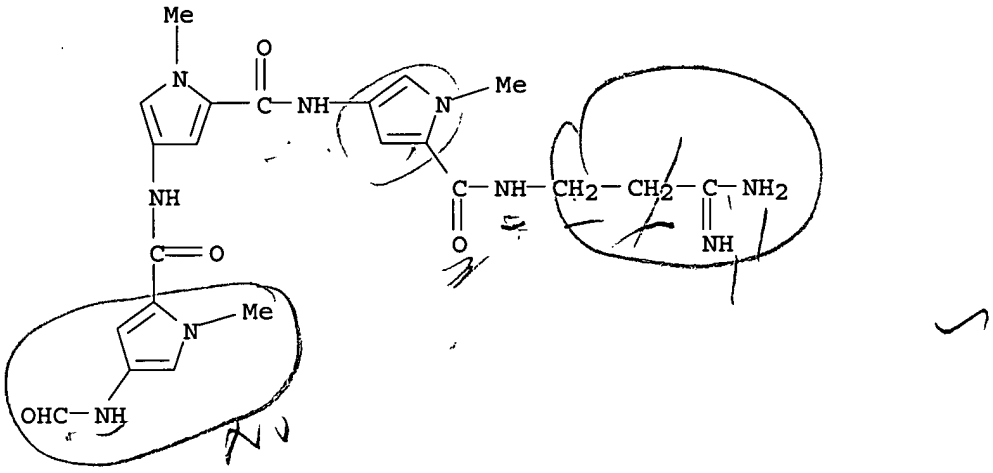
IT 9003-98-9, DNAse
RL: BIOL (Biological study)
(I, selective inhibition of, by bis-netropsins and distamycin A and netropsin, DNA binding in relation to)

IT 9075-08-5 80498-17-5 81295-04-7, Restriction endonuclease AluI 81295-25-2, Restriction endonuclease HpaII 81811-55-4, Restriction endonuclease HindII 83589-01-9, Restriction endonuclease ClaI
RL: BIOL (Biological study)
(selective inhibition of, by bis-netropsin and distamycin A and netropsin, DNA binding in relation to)

IT **636-47-5**, Distamycin A
RL: BIOL (Biological study)
(DNAse I and restriction endonucleases selective inhibition by, DNA binding in relation to)

RN 636-47-5 HCAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[5-[[(3-amino-3-iminopropyl)amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-4-[[[4-(formylamino)-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl- (9CI) (CA INDEX NAME)



L66 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN

AN **1985:400215** HCAPLUS

DN **103:215**

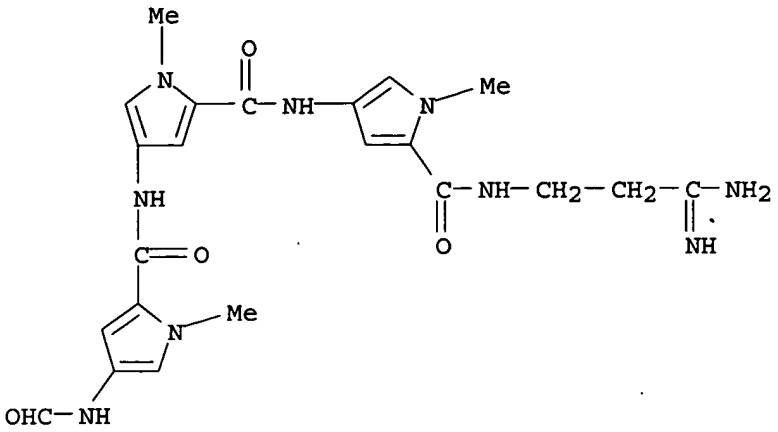
ED Entered STN: 12 Jul 1985

TI Specific protection of DNA from DNase I action by distamycin A, netropsin and bisnetropsins

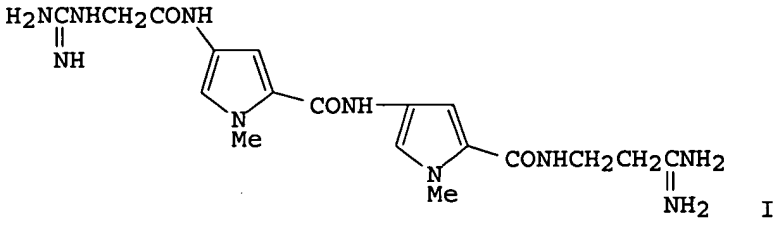
AU Skamrov, A. V.; Rybalkin, I. N.; Bibilashvili, R. Sh.; Gottikh, B. P.; Grokhovskii, S. L.; Gurskii, G. V.; Zhuze, A. L.; Zasedatelev, A. S.;

Nechipurenko, Yu. D.; **Khorlin, A. A.**
CS Inst. Mol. Biol., Moscow, USSR
SO Molekulyarnaya Biologiya (Moscow) (**1985**), 19(1), 177-95
CODEN: MOBIBO; ISSN: 0026-8984
DT Journal
LA Russian
CC 1-5 (Pharmacology)
Section cross-reference(s): 6
AB Interaction of netropsin [1438-30-8], distamycin A [**636-47-5**] and bis-netropsins with DNA fragments was studied using the footprinting technique. The affinity of ligand for a DNA site was estimated from measurements of ligand concentration that causes 50% protection of the DNA site.

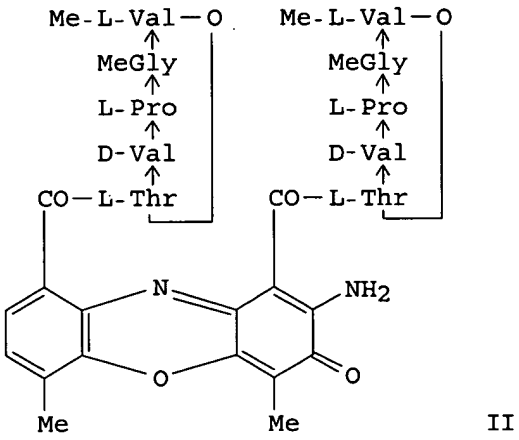
The distribution pattern of the protected and unprotected regions along the DNA fragment was compared with the theor. expected arrangement of the ligand along the same DNA. The following observations were made. At high levels of binding, the arrangement of netropsin mols. along DNA corresponds closely to the distribution pattern expected from theor. calcns. based on the known geometry of netropsin-DNA complex. However, the observed differences in the affinity of netropsin for various DNA sequences is markedly greater than that expected from theor. calcns. Netropsin exhibits a greater selectivity of binding than that expected for a ligand with 3 specific reaction centers associated with the antibiotic amide groups. It binds preferentially to DNA regions containing 4 or more successive AT pairs. Among 13 putative binding sites for netropsin with 4 or more successive AT pairs, there are 11 strong binding sites and 2 weaker sites which are occupied at 2 D/P ≤1/9 and 2 D/P=1/4, resp. The extent of specificity manifested by distamycin A is comparable to that shown by by netropsin although distamycin A contains 4 rather than 3 amide groups. At high levels of binding, distamycin A occupies the same binding sites on DNA as netropsin does. The binding specificity of bis-netropsins is greater than that of netropsin. bis-Netropsins can bind to DNA in such a way that the 2 netropsin-like fragments are implicated in specific interaction with DNA base pairs. However, the apparent affinity of bis-netropsins estimated from footprinting expts. is comparable with that of netropsin for the same DNA region. At high levels of binding, bis-netropsins and distamycin A (but not netropsin) can occupy any potential site on DNA irresp. of the DNA sequence. Complex formation with netropsin increases sensitivity to DNase [9003-98-9] I at certain DNA sites along with the protective effect observed at neighborint sites.
ST DNA distamycin netropsin binding DNase
IT Deoxyribonucleic acids
RL: BIOL (Biological study)
(distamycin and netropsins binding to, protection from DNase in relation to)
IT **636-47-5** 1438-30-8 96885-68-6 **96885-69-7** **96885-70-0**
RL: BIOL (Biological study)
(DNA binding of, protection from DNase in relation to)
IT 9003-98-9
RL: BIOL (Biological study)
(DNA protection from, by distamycin and netropsin binding)
IT **636-47-5**
RL: BIOL (Biological study)
(DNA binding of, protection from DNase in relation to)
RN 636-47-5 HCAPLUS
CN 1H-Pyrrole-2-carboxamide, N-[5-[[(3-amino-3-iminopropyl)amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-4-[[[4-(formylamino)-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl- (9CI) (CA INDEX NAME)



L66 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2004 ACS on STN
AN **1983:589997** HCAPLUS
DN **99:189997**
ED Entered STN: 12 May 1984
TI Synthetic sequence-specific ligands
AU Gurskii, G. V.; Zasedatelev, A. S.; Zhuze, A. L.; **Khorlin, A. A.** ; Grokhovskii, S. L.; Strel'tsov, S. A.; Surovaya, A. N.; Nikitin, S. M.; Krylov, A. S.; et al.
CS Inst. Mol. Biol., Moscow, 117984, USSR
SO Cold Spring Harbor Symposia on Quantitative Biology (**1983**), Volume Date 1982, 47(1), 367-78
CODEN: CSHSAZ; ISSN: 0091-7451
DT Journal
LA English
CC 6-3 (General Biochemistry)
GI



I



II

AB The structural features and specificities of the sequence-specific DNA-binding ligands distamycin A (Dst), netropsin (I), and actinomycin D (II) were studied and used in the design of oligopeptides modeling the recognition site of the lactose repressor. The ΔG of interaction of the amide groups of Dst analogs with free DNA bases and synthetic DNAs were determined Three I dimers of different monomer orientation were prepared, and were allowed to interact with DNA. Each dimer bound 10-11 base pairs and had greater binding specificity than the monomer. All dimers selectively inhibited RNA polymerase interaction with the lactose (and other) promoter. II dimers also had greater binding specificity than the monomer. Residues of the lactose repressor essential for recognition of the operator region were determined and tested by using a series of oligopeptides modeling the sequence region 19-31 of the repressor. All of the peptides showed sequence specificity and were bound in the β-sheet conformation. The specificity of nucleotide-amino acid interaction was consistent with the proposed protein-nucleic acid recognition code (Gursky, G. V.; et al, 1976, 1977, 1979).

ST DNA sequence specific peptide binding; distamycin DNA sequence specific binding; actinomycin DNA sequence specific binding; netropsin DNA sequence specific binding

IT Peptides, biological studies
RL: BIOL (Biological study)
(DNA binding of, sequence specificity in)

IT Amino acids, biological studies
RL: BIOL (Biological study)
(DNA recognition sites for, model peptide study of)

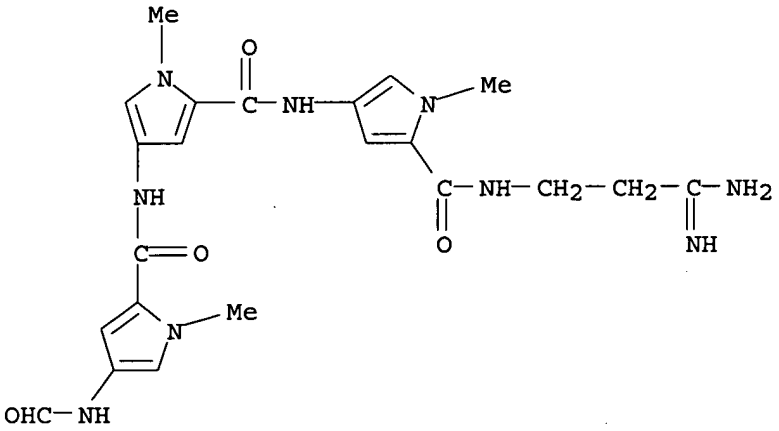
IT Free energy
(of association, of DNA with distamycin analogs)

IT Deoxyribonucleic acids
RL: BIOL (Biological study)
(peptide binding by, sequence specificity in)

IT Nucleotides, properties
RL: PRP (Properties)
(bases, distamycin analogs association with, free energy of)

IT Repressors, genetic

RL: BIOL (Biological study)
(lactose, peptide models of, DNA binding of, sequence specificity in)
IT **636-47-5D**, analogs 72751-57-6 72766-93-9 **75479-52-6**
76080-91-6 **87699-19-2 87699-20-5** 87699-21-6
87699-22-7 87699-23-8
RL: PROC (Process)
(DNA binding of, sequence specificity in)
IT 72-18-4, biological studies 72-19-5, biological studies
RL: BIOL (Biological study)
(DNA recognition site for, model peptide study of)
IT 26966-61-0 36786-90-0
RL: BIOL (Biological study)
(double-stranded, peptide binding by, sequence specificity in)
IT 24939-09-1 25512-84-9 31693-18-2
RL: BIOL (Biological study)
(peptide binding by, sequence specificity in)
IT **636-47-5D**, analogs
RL: PROC (Process)
(DNA binding of, sequence specificity in)
RN 636-47-5 HCAPLUS
CN 1H-Pyrrole-2-carboxamide, N-[5-[[(3-amino-3-iminopropyl)amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-4-[[[4-(formylamino)-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl- (9CI) (CA INDEX NAME)



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L67 ANSWER 1 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:303262 HCAPLUS
DN 141:64377
ED Entered STN: 14 Apr 2004
TI DNA binding ligands with in vivo efficacy in murine models of bacterial infection: optimization of internal aromatic amino acids
AU Burli, Roland W.; Kaizerman, Jacob A.; Duan, Jian-Xin; Jones, Peter; Johnson, Kirk W.; Iwamoto, Mari; Truong, Kiet; Hu, Wenhao; Stanton, Timothy; Chen, Alfred; Touami, Sofia; Gross, Matthew; Jiang, Vernon; Ge, Yigong; Moser, Heinz E.
CS Genesoft Pharmaceuticals, South San Francisco, CA, 94080, USA
SO Bioorganic & Medicinal Chemistry Letters (2004), 14(9), 2067-2072
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
CC 1-3 (**Pharmacology**)

AB DNA binding ligands with potent antimicrobial activity against Gram-pos. bacteria were further optimized by variation of the internal aromatic amino acids. This modification led to compds. with improved in vivo efficacy in lethal murine models of peritonitis (methicillin-resistant S. aureus, MRSA) and lung infection (S. pneumoniae).

ST DNA ligand structure activity antibacterial resistance peritonitis lung infection

IT **Antibacterial agents**
Bactericide resistance
(DNA binding ligands with in vivo efficacy in murine models of bacterial infection and structure-activity relationship)

IT DNA
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(DNA binding ligands with in vivo efficacy in murine models of bacterial infection and structure-activity relationship)

IT Structure-activity relationship
(DNA-binding; DNA binding ligands with in vivo efficacy in murine models of bacterial infection and structure-activity relationship)

IT Lung, disease
(infection; DNA binding ligands with in vivo efficacy in murine models of bacterial infection and structure-activity relationship)

IT Peritoneum, disease
(peritonitis; DNA binding ligands with in vivo efficacy in murine models of bacterial infection and structure-activity relationship)

IT **474418-50-3 478491-61-1** 478492-00-1 478492-01-2
478492-17-0 478492-67-0 478492-85-2
478803-70-2 710949-95-4 **710949-96-5** 710949-97-6
710949-98-7 710949-99-8 710950-00-8
710950-01-9 **710950-02-0** 710950-03-1 710950-04-2
710950-05-3 710950-06-4 710950-07-5 **710950-08-6**
710950-09-7 710950-10-0 **710950-11-1** 710950-12-2
710950-13-3 710950-14-4 **710950-15-5** 710950-16-6
710950-17-7 710950-18-8 **710950-19-9**
710950-20-2 710950-21-3 710950-22-4
710950-23-5 710950-24-6 710950-25-7
RL: **PAC (Pharmacological activity)**; **THU (Therapeutic use)**; BIOL (Biological study); **USES (Uses)**
(DNA binding ligands with in vivo efficacy in murine models of bacterial infection and structure-activity relationship)

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

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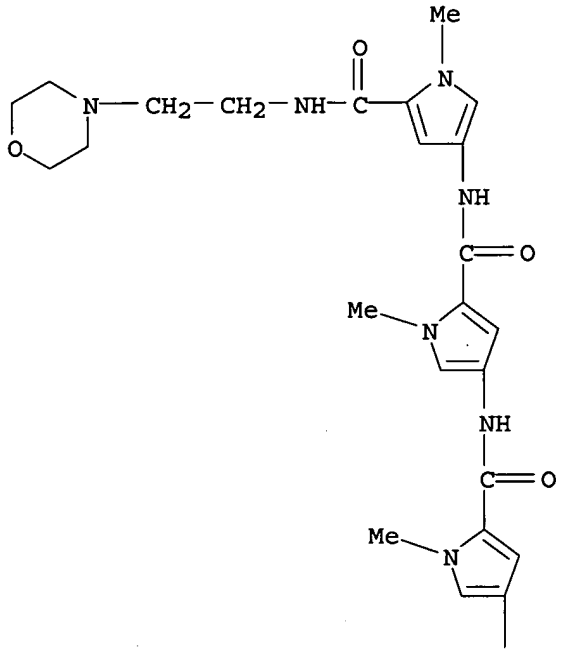
IT **474418-50-3**
RL: **PAC (Pharmacological activity)**; **THU (Therapeutic use)**; BIOL (Biological study); **USES (Uses)**
(DNA binding ligands with in vivo efficacy in murine models of bacterial infection and structure-activity relationship)

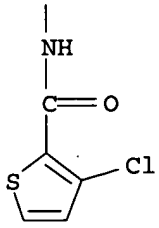
RN 474418-50-3 HCAPLUS

CN 1H-Pyrrole-2-carboxamide, 4-[[[4-[[(3-chloro-2-thienyl)carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl-N-[1-methyl-5-[[[2-(4-morpholinyl)ethyl]amino]carbonyl]-1H-pyrrol-3-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L67 ANSWER 2 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:178994 HCAPLUS
DN 140:375474
ED Entered STN: 05 Mar 2004
TI Distamycin Analogues with Enhanced Lipophilicity: Synthesis and Antimicrobial Activity
AU Khalaf, Abedawn I.; Waigh, Roger D.; Drummond, Allan J.; Pringle, Breffni;

McGroarty, Ian; Skellern, Graham G.; Suckling, Colin J.
CS Department of Pure Applied Chemistry and Department of Pharmaceutical Sciences, University of Strathclyde, Glasgow, G1 1XL, UK
SO Journal of Medicinal Chemistry (2004), 47(8), 2133-2156
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 27, 28
AB Forty-eight heterocyclic amino acid trimers, analogs of distamycin, with a number of features that enhance lipophilicity are described. They contain alkyl or cycloalkyl groups larger than methyl; some are N-terminated by acetamide or methoxybenzamide and are C-terminated by dimethylaminopropyl or aliphatic heterocyclic aminopropyl substituents. The ability of these compds. to bind principally to AT tracts of DNA has been evaluated using capillary zone electrophoresis. Significant antimicrobial activity against key organisms such as MRSA and Candida albicans is shown by several compds., especially those containing a thiazole. Moreover, these compds.
have low toxicity with respect to several mammalian cell lines.
ST distamycin analog enhanced lipophilicity prepn antimicrobial antifungal; heterocyclic amino acid trimer prepn distamycin analog; DNA minor groove binding distamycin analog prepn
IT Structure-activity relationship
(antimicrobial; preparation, antimicrobial and antifungal activities of heterocyclic amino acid trimers as distamycin analogs with enhanced lipophilicity)
IT Amino acids, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(heterocyclic; preparation, antimicrobial and antifungal activities of heterocyclic amino acid trimers as distamycin analogs with enhanced lipophilicity)
IT Antimicrobial agents
Fungicides
Infection
Lipophilicity
(preparation, antimicrobial and antifungal activities of heterocyclic amino acid trimers as distamycin analogs with enhanced lipophilicity)
IT Peptides, preparation
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, antimicrobial and antifungal activities of heterocyclic amino acid trimers as distamycin analogs with enhanced lipophilicity)
IT 57-92-1, Streptomycin, biological studies 26787-78-0, Amoxycillin 84625-61-6, Itraconazole 86386-73-4, Fluconazole
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation, antimicrobial and antifungal activities of heterocyclic amino acid trimers as distamycin analogs with enhanced lipophilicity)
IT 39389-47-4DP, Distamycin, lipophilic analogs **142155-03-1P**
566946-34-7P 566946-36-9P 566946-37-0P
566946-40-5P 566946-41-6P 566946-42-7P
566946-43-8P 566946-45-0P 566946-46-1P
566946-47-2P 566946-48-3P 566946-49-4P **566946-52-9P**
566946-61-0P **566946-63-2P 566946-64-3P** 566946-65-4P
566946-66-5P **566946-68-7P 566946-69-8P**
566946-71-2P 566946-73-4P 566946-75-6P
566946-76-7P 566946-77-8P 566946-78-9P
683815-23-8P 683815-25-0P 683815-26-1P
683815-27-2P 683815-28-3P 683815-29-4P
683815-30-7P 683815-32-9P 683815-33-0P
683815-34-1P 683815-35-2P 683815-36-3P 683815-37-4P
683815-38-5P 683815-39-6P **683815-40-9P 683815-41-0P**

683815-42-1P 683815-44-3P **683815-45-4P 683815-46-5P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, antimicrobial and antifungal activities of heterocyclic amino acid trimers as distamycin analogs with enhanced lipophilicity)

IT 75-36-5, Acetyl chloride 109-55-7 109-94-4, Ethyl formate 137-43-9, Bromocyclopentane 140-10-3, trans-Cinnamic acid, reactions 646-07-1, 4-Methylpentanoic acid 1711-05-3, 3-Methoxybenzoyl chloride 4693-91-8, (4-Methoxyphenyl)acetyl chloride 5911-08-0, Cyclopropylmethyl chloride 5930-92-7 7065-46-5, 3,3-Dimethylbutanoyl chloride 13138-78-8 23159-07-1, 1-Pyrrolidinepropanamine 120122-47-6 566946-79-0 566947-03-3 566947-18-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation, antimicrobial and antifungal activities of heterocyclic amino acid trimers as distamycin analogs with enhanced lipophilicity)

IT 1918-78-1P 3284-51-3P 7098-07-9P 19432-66-7P 32595-96-3P 37670-50-1P 62366-55-6P **65361-32-2P** 67973-87-9P 67973-88-0P 72083-70-6P 72795-81-4P 72850-76-1P 81569-25-7P 182866-71-3P 299974-96-2P 386252-76-2P 404336-13-6P 566946-80-3P **566946-81-4P** 566946-82-5P 566946-83-6P 566946-84-7P 566946-85-8P 566946-86-9P 566946-87-0P **566946-88-1P** 566946-89-2P 566946-90-5P **566946-91-6P 566946-94-9P** 566946-95-0P **566946-96-1P** 566946-97-2P 566946-98-3P **566946-99-4P** 566947-00-0P **566947-01-1P** 566947-04-4P 566947-05-5P 566947-06-6P 566947-07-7P 566947-08-8P **566947-09-9P** 566947-10-2P 566947-11-3P 566947-12-4P **566947-13-5P** 566947-14-6P **566947-15-7P** 566947-16-8P **566947-17-9P** 683815-47-6P 683815-48-7P 683815-49-8P 683815-50-1P 683815-51-2P 683815-52-3P 683815-53-4P 683815-54-5P 683815-55-6P 683815-56-7P 683815-57-8P 683815-58-9P 683815-59-0P 683815-60-3P 683815-61-4P 683815-62-5P **683815-63-6P** 683815-65-8P 683815-66-9P 683815-67-0P **683815-68-1P** 683815-69-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, antimicrobial and antifungal activities of heterocyclic amino acid trimers as distamycin analogs with enhanced lipophilicity)

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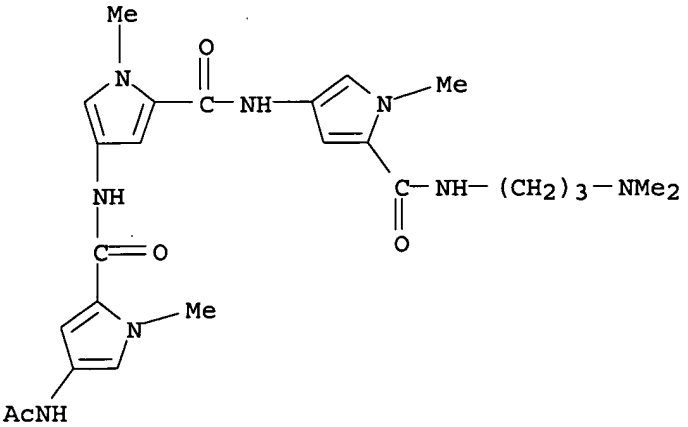
IT **142155-03-1P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, antimicrobial and antifungal activities of heterocyclic amino acid trimers as distamycin analogs with enhanced lipophilicity)

RN 142155-03-1 HCAPLUS

CN 1H-Pyrrole-2-carboxamide, 4-[[[4-(acetylamino)-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-N-[5-[[[3-(dimethylamino)propyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl- (9CI) (CA INDEX NAME)



L67 ANSWER 3 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:153592 HCAPLUS
DN 140:368087
ED Entered STN: 26 Feb 2004

TI DNA binding ligands targeting drug-resistant Gram-positive bacteria. Part 1: Internal benzimidazole derivatives
AU Burli, Roland W.; McMinn, Dustin; Kaizerman, Jacob A.; Hu, Wenhao; Ge, Yigong; Pack, Quinn; Jiang, Vernon; Gross, Matthew; Garcia, Martin; Tanaka, Richard; Moser, Heinz E.
CS Genesoft Pharmaceuticals, Inc., South San Francisco, CA, 94080, USA
SO Bioorganic & Medicinal Chemistry Letters (2004), 14(5), 1253-1257
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
CC 1-3 (**Pharmacology**)
AB Novel DNA minor-groove binding ligands with a promising antibacterial profile are described. Apart from excellent in vitro potency against multiple Gram-pos. bacterial strains such as methicillin-resistant Staphylococcus aureus (MRSA), vancomycin-resistant Enterococcus faecalis (VRE), and penicillin-intermediate Streptococcus pneumoniae (PISP), a small subset of compds. was active against Gram-neg. bacteria such as Escherichia coli (E. coli).
ST structure activity DNA binding Gram pos antibacterial benzimidazole
IT **Antibacterial agents**
Antibiotic resistance
Firmicutes
Structure-activity relationship
(structure and activity of benzimidazole-derived DNA binding ligands targeting drug-resistant Gram-pos. bacteria)
IT DNA
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(structure and activity of benzimidazole-derived DNA binding ligands targeting drug-resistant Gram-pos. bacteria)
IT Molecular weight
(structure and activity of reduced mol. weight benzimidazole-derived DNA binding ligands targeting drug-resistant Gram-pos. bacteria)
IT **474418-50-3** 478620-90-5 478620-91-6 478621-01-1
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683247-14-5 683247-15-6 683247-16-7 683247-17-8
RL: **PAC (Pharmacological activity)**; BIOL (Biological study)
(structure and activity of benzimidazole-derived DNA binding ligands targeting drug-resistant Gram-pos. bacteria)
RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
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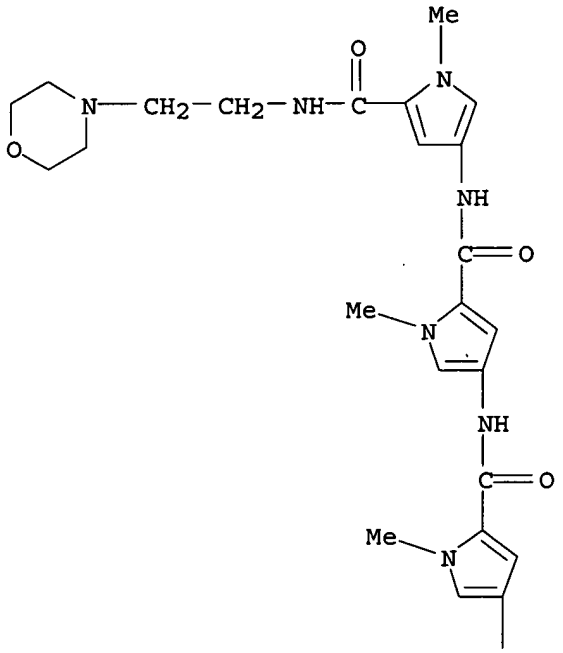
IT **474418-50-3**
RL: **PAC (Pharmacological activity)**; BIOL (Biological study)
(structure and activity of benzimidazole-derived DNA binding ligands targeting drug-resistant Gram-pos. bacteria)

RN 474418-50-3 HCAPLUS

CN 1H-Pyrrole-2-carboxamide, 4-[[[4-[[(3-chloro-2-thienyl)carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl-N-[1-methyl-5-[[[2-(4-morpholinyl)ethyl]amino]carbonyl]-1H-pyrrol-3-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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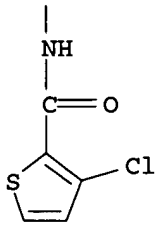

L67 ANSWER 4 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:882149 HCAPLUS
DN 140:228519
ED Entered STN: 11 Nov 2003
TI Pharmacology of novel heteroaromatic polycycle antibacterials

AU Gross, M.; Buerli, R.; Jones, P.; Garcia, M.; Batiste, B.; Kaizerman, J.; Moser, H.; Jiang, V.; Hoch, U.; Duan, J. -X.; Tanaka, R.; Johnson, K. W.
CS Pharmacology Department, GeneSoft Pharmaceuticals, South San Francisco, CA, 94080, USA
SO Antimicrobial Agents and Chemotherapy (2003), 47(11), 3448-3457
CODEN: AMACCQ; ISSN: 0066-4804
PB American Society for Microbiology
DT Journal
LA English
CC 1-5 (**Pharmacology**)
AB Heteroarom. polycycle (HARP) compds. are a novel class of small (Mw, 600 to 650) DNA-binding antibacterials. HARP compds. exhibit a novel mechanism of action by preferentially binding to AT-rich sites commonly found in bacterial promoters and replication origins. Noncovalent binding in the minor groove of DNA results in inhibition of DNA replication and DNA-dependent RNA transcription and subsequent bacterial growth. HARP compds. have previously been shown to have potent in vitro activities against a broad spectrum of gram-pos. organisms. The present report describes the extensive profiling of the in vitro and in vivo pharmacol. of HARP antibacterials. The efficacies of representative compds. (GSQ-2287, GSQ-10547, and GSQ-11203), which exhibited good MIC activity, were tested in murine lethal peritonitis and neutropenic thigh infection models following i.v. administration. All compds. were efficacious in vivo, with potencies generally correlating with MICs. GSQ-10547 was the most potent compound in vitro and in vivo, with a 50% ED in the murine lethal peritonitis model of 7 mg/kg of body weight against methicillin-sensitive Staphylococcus aureus (MSSA) and 13 mg/kg against methicillin-resistant S. aureus (MRSA). In the neutropenic mouse thigh infection model, GSQ-11203 reduced the bacterial load (MRSA and MSSA) 2 log units following administration of a 25-mg/kg i.v. dose. In a murine lung infection model, treatment with GSQ-10547 at a dose of 50 mg/kg resulted in 100% survival. In addition to determination of efficacy in animals, the pharmacokinetic and tissue disposition profiles in animals following administration of an i.v. dose were determined The compds. were advanced into broad safety screening studies, including screening for safety pharmacol., genotoxicity, and rodent toxicity. The results support further development of this novel class of antibiotics.
ST heteroarom polycycle antibacterials pharmacol
IT Lung, disease
(infection; pharmacol. of novel heteroarom. polycycle antibacterials)
IT **Antibacterial agents**
Bactericide resistance
(pharmacol. of novel heteroarom. polycycle antibacterials)
IT **474418-50-3**, GSQ 2287 **478492-17-0**, GSQ 11203
478492-67-0, GSQ 10547
RL: **ADV (Adverse effect, including toxicity)**; **PAC (Pharmacological activity)**; **PKT (Pharmacokinetics)**; **THU (Therapeutic use)**; BIOL (Biological study); **USES (Uses)**
(pharmacol. of novel heteroarom. polycycle antibacterials)
RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
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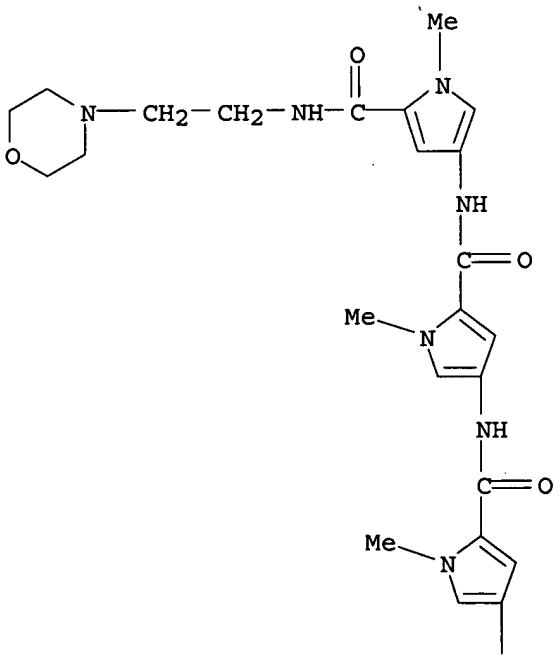
IT **474418-50-3**, GSQ 2287
RL: **ADV (Adverse effect, including toxicity)**; **PAC (Pharmacological activity)**; **PKT (Pharmacokinetics)**; **THU (Therapeutic use)**; BIOL (Biological study); **USES (Uses)**
(pharmacol. of novel heteroarom. polycycle antibacterials)

RN 474418-50-3 HCAPLUS

CN 1H-Pyrrole-2-carboxamide, 4-[[[4-[[(3-chloro-2-thienyl)carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl-N-[1-methyl-5-[[[2-(4-morpholinyl)ethyl]amino]carbonyl]-1H-pyrrol-3-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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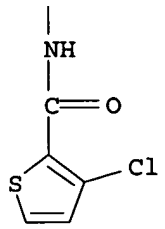


L67 ANSWER 5 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:836592 HCAPLUS
DN 139:333089
ED Entered STN: 24 Oct 2003
TI Methods of treating infection by drug resistant bacteria
IN Moser, Heinz E.; Baird, Eldon E.; Burli, Roland W.; Ge, Yigong; White, Sarah
PA Genesoft, Inc., USA
SO U.S. Pat. Appl. Publ., 43 pp.
CODEN: USXXCO
DT Patent
LA English
IC ICM A61K031-501
ICS A61K031-497; A61K031-444; A61K031-4709; A61K031-427; A61K031-422; A61K031-4178; A61K031-381; A61K031-4196; C07D409-02; C07D043-14; C07D417-14; C07D413-14
NCL 514252020; 514355000; 514341000; 514365000; 514372000; 514397000; 514406000; 514422000; 514444000; 544238000
CC 1-5 (**Pharmacology**)
Section cross-reference(s): 10, 27, 28
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2003199516	A1	20031023	US 2002-244142	20020912
WO 2004043335	A2	20040527	WO 2002-US29379	20020912

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2001-322704P P 20010913

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2003199516	ICM	A61K031-501
	ICS	A61K031-497; A61K031-444; A61K031-4709; A61K031-427; A61K031-422; A61K031-4178; A61K031-381; A61K031-4196; C07D409-02; C07D043-14; C07D417-14; C07D413-14
	NCL	514252020; 514355000; 514341000; 514365000; 514372000; 514397000; 514406000; 514422000; 514444000; 544238000

OS MARPAT 139:333089
AB Methods are provided for treating an infection by Gram-pos. bacteria in a mammal, by administering to the mammal an effective amount of a compound that binds noncovalently in the minor groove of duplex DNA, the compound being

identified by a number of DNA binding parameters and, in many instances, being a polyarom. compound

ST bacteria infection treatment DNA binding polyarom compd

IT Staphylococcus aureus
(ATCC 27660 and ATCC 33591 and ATCC 43300; methods of treating infection by drug resistant bacteria using compds. such as polyarom compds. that bind in the minor groove of DNA)

IT Streptococcus pneumoniae
(ATCC 51422; methods of treating infection by drug resistant bacteria using compds. such as polyarom compds. that bind in the minor groove of DNA)

IT Enterococcus faecium
(ATCC 51559; methods of treating infection by drug resistant bacteria using compds. such as polyarom compds. that bind in the minor groove of DNA)

IT Infection
(bacterial; methods of treating infection by drug resistant bacteria using compds. such as polyarom compds. that bind in the minor groove of DNA)

IT Candida albicans
(insensitivity to; methods of treating infection by drug resistant bacteria using compds. such as polyarom compds. that bind in the minor groove of DNA)

IT **Antibacterial agents**
Drug delivery systems
Firmicutes
(methods of treating infection by drug resistant bacteria using compds. such as polyarom compds. that bind in the minor groove of DNA)

IT DNA
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(methods of treating infection by drug resistant bacteria using compds. such as polyarom compds. that bind in the minor groove of DNA)

IT Drug delivery systems
(prodrugs; methods of treating infection by drug resistant bacteria using compds. such as polyarom compds. that bind in the minor groove of DNA)

IT **474418-10-5P 474418-50-3P** 474418-65-0P 478492-10-3P
615536-96-4P
RL: **DMA (Drug mechanism of action)**; **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); **USES (Uses)**
(methods of treating infection by drug resistant bacteria using compds. such as polyarom compds. that bind in the minor groove of DNA)

IT **474418-14-9 474418-18-3 474418-21-8**
474418-31-0 478398-58-2 478399-28-9 478399-36-9
478491-77-9 478492-07-8 478492-09-0 478801-55-7
478802-22-1 605658-88-6 615536-97-5 615536-98-6
RL: **DMA (Drug mechanism of action)**; **PAC (Pharmacological activity)**; **THU (Therapeutic use)**; BIOL (Biological study); **USES (Uses)**
(methods of treating infection by drug resistant bacteria using compds. such as polyarom compds. that bind in the minor groove of DNA)

IT 109-55-7 1192-58-1 2038-03-1, 4-Morpholineethanamine 2810-04-0, Ethyl-2-thiophene carboxylate 3303-84-2 3647-69-6, 4-(2-Chloroethyl)morpholine hydrochloride 5930-92-7, Ethyl 4-nitropyrrole-2-carboxylate 6324-10-3, 2,3-Dibromothiophene-5-carboxylic acid 6624-49-3, Isoquinoline-3-carboxylic acid 24424-99-5, Boc anhydride 27578-60-5, 1-Piperidineethanamine 36778-15-1 37466-90-3, Ethyl 3,4-diaminobenzoate 59337-89-2, 3-Chlorothiophene-2-carboxylic acid 77716-11-1 126092-99-7 180258-45-1 194857-43-7D, resin-bound 203586-94-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(methods of treating infection by drug resistant bacteria using compds.

such as polyarom compds. that bind in the minor groove of DNA)

IT 5751-84-8P 18711-27-8P 32431-84-8P, 3-Fluorothiophene-2-carboxylic acid 52205-57-9P 67998-24-7P 100421-52-1P 126092-98-6P 126093-00-3P 126093-01-4P 292068-69-0P 292068-90-7P 474417-85-1P 474417-90-8P 474417-92-0P 478399-93-8P 478399-94-9P 478399-97-2P 478399-98-3P 478492-88-5P 478492-89-6P 478493-04-8P 478493-05-9P 478621-31-7P 478621-32-8P 478804-08-9P 615536-99-7P 615537-00-3P 615537-01-4DP, resin-bound 615537-02-5DP, resin-bound **615537-03-6DP**, resin-bound **615537-04-7DP**, resin-bound **615537-05-8P** 615537-06-9P 615537-07-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(methods of treating infection by drug resistant bacteria using compds. such as polyarom compds. that bind in the minor groove of DNA)

IT 616900-24-4 616900-25-5 616900-26-6 616900-27-7 616900-28-8 616900-29-9

RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(nucleotide sequence, drug target sequences in; methods of treating infection by drug resistant bacteria using compds. such as polyarom compds. that bind in the minor groove of DNA)

IT 191916-06-0 282088-62-4 365211-15-0 615537-08-1 616900-30-2 616900-31-3 616900-32-4 616900-33-5 616900-34-6 616900-35-7 616900-36-8

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(target sequence; methods of treating infection by drug resistant bacteria using compds. such as polyarom compds. that bind in the minor groove of DNA)

IT 616910-81-7 616910-82-8 616910-83-9 616910-84-0 616910-85-1 616910-86-2 616910-87-3 616910-88-4

RL: PRP (Properties)

(unclaimed nucleotide sequence; methods of treating infection by drug resistant bacteria)

IT **474418-10-5P**

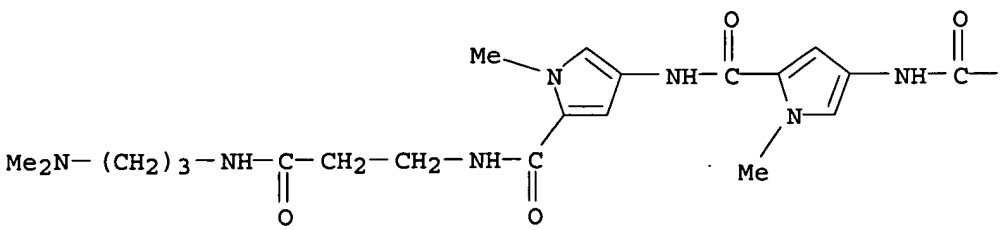
RL: **DMA (Drug mechanism of action)**; **PAC (Pharmacological activity)**; **THU (Therapeutic use)**; **THU (Therapeutic use)**; **USES (Uses)**; PREP (Preparation); **USES (Uses)**

(methods of treating infection by drug resistant bacteria using compds. such as polyarom compds. that bind in the minor groove of DNA)

RN 474418-10-5 HCAPLUS

CN 1H-Pyrrole-2-carboxamide, 4-[[[4-[[(4,5-dibromo-2-thienyl)carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-N-[3-[[5-[[[5-[[[3-[[3-(dimethylamino)propyl]amino]-3-oxopropyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]-3-oxopropyl]-1-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



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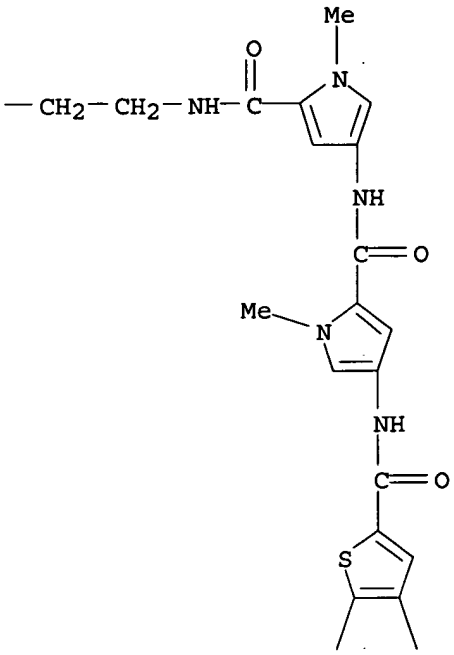

PAGE 2-B

L67 ANSWER 6 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:585010 HCAPLUS
DN 141:64425
ED Entered STN: 30 Jul 2003
TI DNA Binding Hairpin Polyamides with Antifungal Activity
AU Marini, Nicholas J.; Baliga, Ramesh; Taylor, Matthew J.; White, Sarah; Simpson, Paul; Tsai, Luong; Baird, Eldon E.
CS Department of Microbial Genomics, GeneSoft, Inc., South San Francisco, CA, 94080, USA
SO Chemistry & Biology (2003), 10(7), 635-644
CODEN: CBOLE2; ISSN: 1074-5521
PB Cell Press
DT Journal
LA English
CC 1-5 (**Pharmacology**)
Section cross-reference(s): 10, 28
AB Eight-ring hairpin polyamides containing N-methylimidazole (Im) and N-methylpyrrole (Py) amino acids have been shown to bind with subnanomolar affinity to discrete DNA sites and to modulate a variety of DNA-dependent biol. processes. The authors show here that addition of a second pos. charge at the C terminus of an 8-ring hairpin polyamide confers activity against a number of clin. relevant fungal strains in vitro, and activity against Candida albicans in a mouse model. Control expts. indicate that the observed antifungal activity results from a DNA binding mechanism-of-action that does not involve DNA damage or disruption of chromosomal integrity. Hairpin activity is shown to be proportional to yeast DNA content (ploidy). Transcriptional interference is proposed as the likely

explanation for fungal cytotoxicity. Expts. with sensitized yeast strains indicate the potential for discrete sites of action rather than global effects.

ST polyamide prepn antifungal activity DNA binding

IT Aspergillus niger
Candida albicans
Candida parapsilosis
Candida tropicalis
Cryptococcus neoformans
Fungicides
Saccharomyces cerevisiae
Transcription, genetic
(DNA binding hairpin polyamides with antifungal activity in relation to transcriptional interference)

IT DNA
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(DNA binding hairpin polyamides with antifungal activity in relation to transcriptional interference)

IT **709653-45-2P 709653-46-3P 709653-47-4P**
709653-48-5P
RL: **DMA (Drug mechanism of action)**; **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); **USES (Uses)**
(DNA binding hairpin polyamides with antifungal activity in relation to transcriptional interference)

IT **180530-17-0 180530-18-1 709653-49-6**
RL: **DMA (Drug mechanism of action)**; **PAC (Pharmacological activity)**; **THU (Therapeutic use)**; BIOL (Biological study); **USES (Uses)**
(DNA binding hairpin polyamides with antifungal activity in relation to transcriptional interference)

RE.CNT 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

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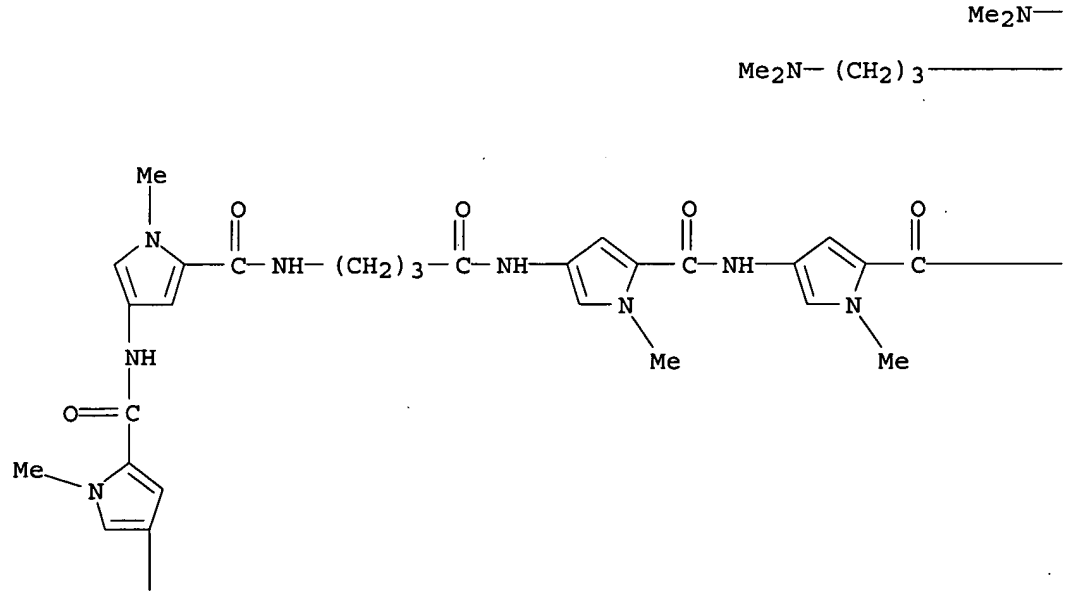
IT **709653-45-2P**
RL: **DMA (Drug mechanism of action)**; **PAC (Pharmacological activity)**; **THU (Therapeutic use)**; **THU (Therapeutic use)**; **USES (Uses)**; PREP (Preparation); **USES (Uses)**
(DNA binding hairpin polyamides with antifungal activity in relation to transcriptional interference)

RN 709653-45-2 HCAPLUS

CN Butanediamide, N,N'-bis[3-(dimethylamino)propyl]-2-[[[1-methyl-4-[[[1-methyl-4-[[[1-methyl-4-[[[1-methyl-4-[[4-[[[1-methyl-4-[[[1-methyl-4-[[[1-methyl-4-[[(1-methyl-1H-imidazol-2-yl)carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]-1-oxobutyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



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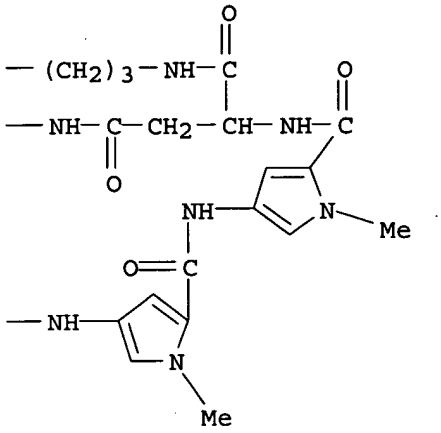

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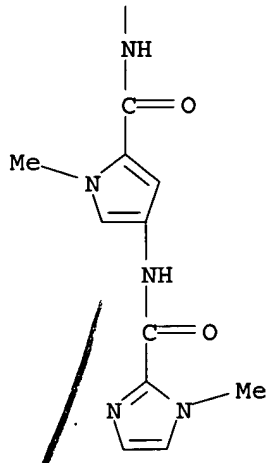


L6 ANSWER 7 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:570957 HCAPLUS
DN 139:133833
ED Entered STN: 25 Jul 2003
TI Preparation of oligopeptide DNA minor groove-binding compounds
IN Khalaf, Abedawn; Waigh, Roger; Suckling, Colin
PA University of Strathclyde, UK
SO PCT Int. Appl., 150 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07D207-34
ICS C07D417-14; C07D417-12; C07D403-14; C07D409-14; A61K031-40; A61K031-427; A61K031-404; A61K031-4025; A61P031-00
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 6, 27
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003059881	A2	20030724	WO 2002-GB5916	20021224

WO 2003059881 A3 20031127
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI GB 2001-30868 A 20011224
CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2003059881	ICM	C07D207-34
	ICS	C07D417-14; C07D417-12; C07D403-14; C07D409-14; A61K031-40; A61K031-427; A61K031-404; A61K031-4025; A61P031-00

OS MARPAT 139:133833

AB The invention relates to oligopeptide compds. which comprise (a) at least one nitrogen-containing basic group attached to at least one end of the oligopeptide and (b) two or more heterocyclic monomers, at least one of which is substituted in the heterocyclic part by an alkyl group, or their pharmaceutically-acceptable salts. Compds. of the invention were found to bind to the minor groove of DNA, as determined by melting temperature and other measurements. Thus, N-[5-[[[3-(dimethylamino)propyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-2-[[[4-(formylamino)-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-5-isopropyl-1,3-thiazole-4-carboxamide was prepared and shown to inhibit the growth of microorganisms, e.g., MIC = 4.8 and > 152.4 μM against S. aureus and E. coli, resp.

ST aminopyrrolecarboxylic oligopeptide prepn DNA minor groove binding antimicrobial

IT Infection
(bacterial; preparation of oligopeptide DNA minor groove-binding compds.)

IT Peptides, preparation
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(oligopeptides; preparation of oligopeptide DNA minor groove-binding compds.)

IT **Antibacterial agents**
Antiviral agents
Fungicides
Mycosis
(preparation of oligopeptide DNA minor groove-binding compds.)

IT Infection
(viral; preparation of oligopeptide DNA minor groove-binding compds.)

IT **566946-34-7P 566946-35-8P 566946-36-9P**
566946-37-0P 566946-38-1P **566946-39-2P 566946-40-5P**
566946-41-6P 566946-42-7P 566946-43-8P
566946-44-9P 566946-45-0P 566946-46-1P
566946-47-2P 566946-48-3P 566946-49-4P 566946-50-7P
566946-51-8P 566946-52-9P 566946-53-0P
566946-54-1P 566946-55-2P 566946-56-3P
566946-57-4P 566946-58-5P 566946-59-6P
566946-60-9P 566946-61-0P 566946-62-1P **566946-63-2P**
566946-64-3P 566946-65-4P 566946-66-5P 566946-67-6P
566946-68-7P 566946-69-8P 566946-70-1P
566946-71-2P 566946-72-3P 566946-73-4P
566946-74-5P 566946-75-6P 566946-76-7P
566946-77-8P 566946-78-9P

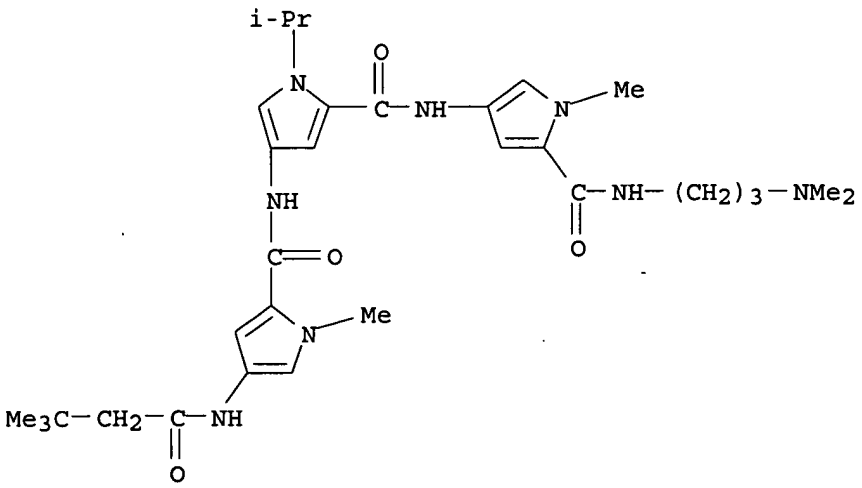
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation) ; **USES (Uses)**
(preparation of oligopeptide DNA minor groove-binding compds.)

IT 75-26-3, Isopropyl bromide 107-82-4, Isoamyl bromide 109-55-7, 3 Dimethylamino propylamine 117-78-2, 9 10 Dihydro 9 10 dioxo 2 anthracenecarboxylic acid 137-43-9, Bromocyclopentane 1711-05-3, m Methoxybenzoyl chloride 4693-91-8, 4 Methoxyphenylacetyl chloride 5911-08-0, Chloromethyl cyclopropane 13138-78-8 23159-07-1, 1 Pyrrolidinepropanamine 25401-08-5, 9 10 Dihydro 2 7 phenanthrenedicarboxylic acid 65361-30-0 65361-31-1 117140-77-9, 2 5 Indoledicarboxylic acid 120122-47-6 299974-80-4 299974-81-5 566947-18-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of oligopeptide DNA minor groove-binding compds.)

IT 1918-78-1P, 2-Methyl-3-thiophenecarboxylic acid 5930-92-7P 19432-66-7P 32595-96-3P 67973-87-9P 67973-88-0P 81569-25-7P 386252-76-2P 404336-13-6P 486437-77-8P 566946-79-0P 566946-80-3P **566946-81-4P** 566946-82-5P 566946-83-6P 566946-84-7P 566946-85-8P 566946-86-9P 566946-87-0P **566946-88-1P** 566946-89-2P 566946-90-5P **566946-91-6P** 566946-92-7P **566946-93-8P** **566946-94-9P** 566946-95-0P **566946-96-1P** 566946-97-2P 566946-98-3P **566946-99-4P** 566947-00-0P **566947-01-1P** 566947-02-2P 566947-03-3P 566947-04-4P 566947-05-5P 566947-06-6P 566947-07-7P 566947-08-8P **566947-09-9P** 566947-10-2P 566947-11-3P 566947-12-4P **566947-13-5P** 566947-14-6P **566947-15-7P** 566947-16-8P **566947-17-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oligopeptide DNA minor groove-binding compds.)

IT **566946-34-7P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); **USES (Uses)**
(preparation of oligopeptide DNA minor groove-binding compds.)

RN 566946-34-7 HCAPLUS
CN 1H-Pyrrole-2-carboxamide, N-[5-[[[3-(dimethylamino)propyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-4-[[[4-[(3,3-dimethyl-1-oxobutyl)amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



L67 ANSWER 8 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:149655 HCAPLUS
DN 139:300957
ED Entered STN: 27 Feb 2003
TI Antimicrobial therapy of methicillin resistant Staphylococcus aureus infection
AU Khare, Milind; Keady, Deirbhile
CS Dept. Med. Microbiol., Leicester Royal Infirmary, Leicester, LE1 5WW, UK
SO Expert Opinion on Pharmacotherapy (2003), 4(2), 165-177
CODEN: EOPHF7; ISSN: 1465-6566
PB Ashley Publications Ltd.
DT Journal; General Review
LA English
CC 1-0 (**Pharmacology**)
AB A review. Methicillin-resistant Staphylococcus aureus (MRSA) is now one of the commonest causes of nosocomial infection worldwide. The mainstay of treatment until now has been the glycopeptides (vancomycin and teicoplanin). They are not without toxicity and need parenteral administration and monitoring of levels. The increasing frequency of MRSA infections, coupled with the emergence of glycopeptide resistance in S. aureus has made the introduction of new drugs active against Gram-pos. organisms essential. New agents active against Gram-pos. organisms represent either genuinely novel classes of antimicrobials (e.g., oxazolidinones and lipoproteins) or those derived from existing classes (e.g., tetracyclines, glycopeptides, streptogramins and cephalosporins). Some of these newer antibiotics appear to be effective against multi-resistant organisms including MRSA.
ST review methicillin resistance antibacterial Staphylococcus aureus
IT **Antibacterial agents**
Antibiotic resistance
Antibiotics
Staphylococcus aureus
(antimicrobial therapy of methicillin resistant Staphylococcus aureus infection)
IT Glycopeptides
Lipoproteins
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antimicrobial therapy of methicillin resistant Staphylococcus aureus infection)
IT Drug delivery systems
(parenterals; antimicrobial therapy of methicillin resistant Staphylococcus aureus infection)
IT 60-54-8, Tetracycline 61-32-5, Methicillin 1404-90-6, Vancomycin 11006-76-1, Streptogramin 11111-12-9, Cephalosporin 51667-26-6, Oxazolidinone 61036-62-2, Teicoplanin 103060-53-3, Daptomycin 112362-50-2, Dalfopristin 120138-50-3, Quinupristin 171099-57-3, Oritavancin 189448-35-9, RWJ-54428 220620-09-7, Tigecycline 307316-55-8, BMS-247243 417702-79-5, AZD 2563 **484014-23-5**, GSQ 1530
RL: **ADV (Adverse effect, including toxicity)**; **PAC (Pharmacological activity)**; **THU (Therapeutic use)**; BIOL (Biological study); **USES (Uses)**
(antimicrobial therapy of methicillin resistant Staphylococcus aureus infection)
RE.CNT 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
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IT **484014-23-5**, GSQ 1530
RL: **ADV (Adverse effect, including toxicity)**; **PAC (Pharmacological activity)**; **THU (Therapeutic use)**; BIOL (Biological study); **USES (Uses)**
(antimicrobial therapy of methicillin resistant Staphylococcus aureus infection)

RN 484014-23-5 HCAPLUS

CN 3-Isothiazolecarboxamide, N-[5-[[[5-[[[5-[[(3-amino-3-iminopropyl)amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-4,5-dichloro-, monoacetate (9CI) (CA INDEX NAME)

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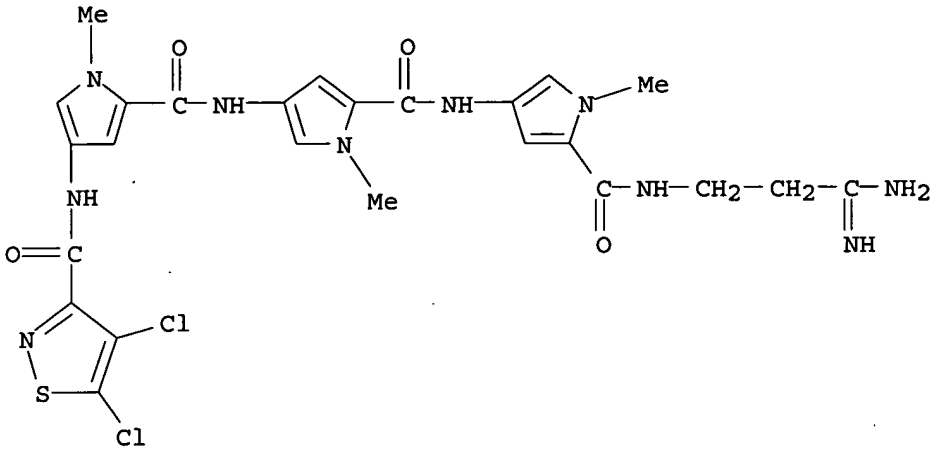
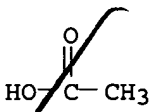


CM 2

CRN 64-19-7
CMF C2 H4 O2



L67 ANSWER 9 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:964537 HCAPLUS
DN 138:39547
ED Entered STN: 20 Dec 2002
TI Preparation of aryl-benzimidazole-polypyrrole compounds having antiinfective/antibacterial activity
IN Burli, Roland W.; Kaizerman, Jacob A.; McMinn, Dustin L.; Baird, Eldon E.; Taylor, Matthew J.
PA Genesoft, Inc., USA
SO PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C12Q
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): **1**, 28, **63**
FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002101073	A2	20021219	WO 2002-US17953	20020606
WO 2002101073	A3	20030703		

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US 2003191168	A1	20031009	US 2002-165433	20020606
US 6716866	B2	20040406		
PRAI US 2001-298206P	P	20010613		
US 2001-325134P	P	20010924		
US 2001-333830P	P	20011127		
US 2001-342309P	P	20011221		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2002101073	ICM	C12Q
US 2003191168	ECLA	C07D207/42; C07D217/26; C07D401/12; C07D401/14; C07D401/14; C07D401/14; C07D401/14R; C07D401/14R; C07D403/04; C07D403/14R; C07D409/04; C07D409/14; C07D409/14R; C07D413/14; C07D417/04; C07D417/14; C07D417/14; C07D417/14R; C07D417/14R

OS MARPAT 138:39547

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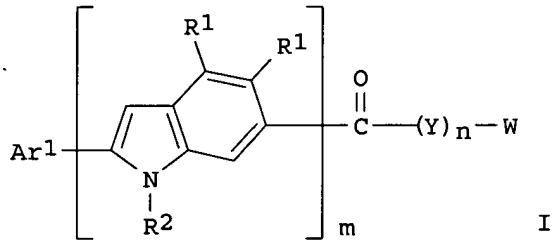

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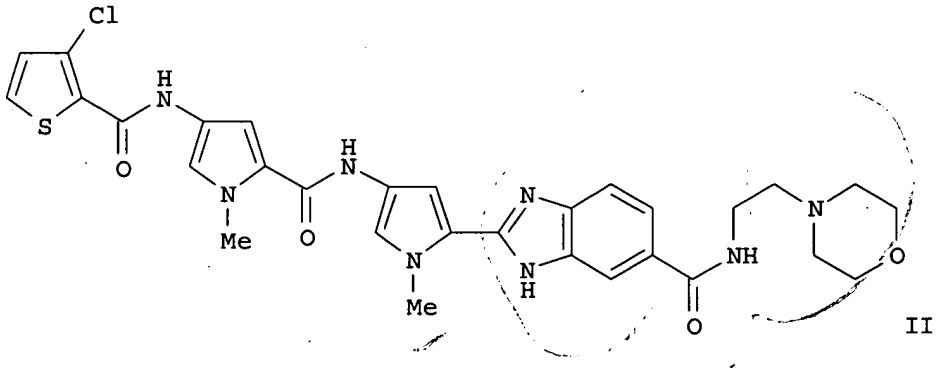

II

AB Title compds. I [Ar1 = (un)substituted Ph, naphthyl, etc.; m = 0-1; n = 1-25; Y = NH-heterocyclic-CO; W = N(R2)2, OR2; R1 = H, F, Cl, Br, I, CN, OH, NO2, NH2, alkyl, etc.; R2 = H, alkyl, heteroalkyl] were prepared For instance, 1-methyl-3-nitropyrrole-5-carboxaldehyde (preparation given) and Et 3,4-diaminobenzoate were reacted (DMF, benzoquinone, 80-120°, 3 h) afforded the nitro imidazole which was reduced (DMF, H2-Pd/C) and the resulting amine coupled to a substituted pyrrole-carboxylic acid (preparation given; DMF, HBTU, i-Pr2NEt) the product saponified and coupled to N-(2-aminoethyl)morpholine to give II. I bind to DNA and have antibacterial activity. II had MIC ≤ 4 μg/mL against B. cereus, E. coli, E. faecalis, S. aureus and S. pneumoniae.

ST aryl benzimidazole antiinfective polyamide antibacterial prepn

IT Anti-infective agents

Antibacterial agents
Drug resistance
Human
(preparation of distamycin- and netropsin-related halothienyl compds. as antibiotics and DNA binders)

IT DNA
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of distamycin- and netropsin-related halothienyl compds. as antibiotics and DNA binders)

IT Polyamides, preparation
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of distamycin- and netropsin-related halothienyl compds. as antibiotics and DNA binders)

IT 478620-89-2P 478620-90-5P 478620-91-6P 478620-92-7P 478620-93-8P
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RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); **USES (Uses)**
(preparation of distamycin- and netropsin-related halothienyl compds. as antibiotics and DNA binders)

IT 109-55-7 1192-58-1, 1-Methyl-pyrrole-2-carboxaldehyde 2038-03-1, 2-Morpholinoethylamine 37466-90-3, 3,4-Diamino benzoic acid ethyl ester 59337-89-2, 3-Chloro-2-thiophenecarboxylic acid 131947-13-2 180258-45-1 **478621-33-9D**, resin bound
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of distamycin- and netropsin-related halothienyl compds. as antibiotics and DNA binders)

IT 18711-27-8P 478621-29-3P 478621-30-6P 478621-31-7P 478621-32-8P 478797-15-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of distamycin- and netropsin-related halothienyl compds. as antibiotics and DNA binders)

IT **478620-94-9P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); **USES (Uses)**
(preparation of distamycin- and netropsin-related halothienyl compds. as antibiotics and DNA binders)

RN 478620-94-9 HCAPLUS
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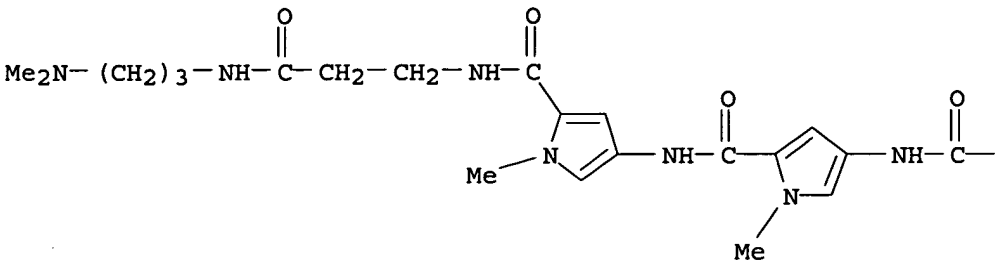
PAGE 1-A



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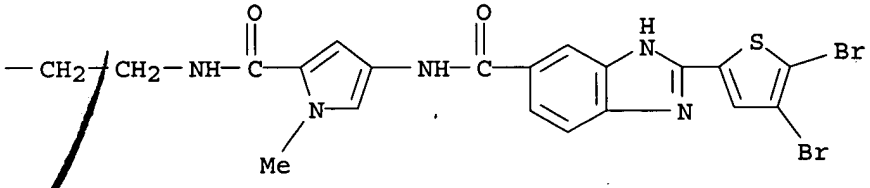

L67 ANSWER 10 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:964476 HCAPLUS
DN 138:39101
ED Entered STN: 20 Dec 2002
TI Preparation of antipathogenic poly-pyrrole-benzamide compounds
IN Burli, Roland W.; Kaizerman, Jacob A.; Jones, Peter
PA Genesoft, Inc., USA
SO PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C12N
CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
Section cross-reference(s): 1, 28, 63
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002101007	A2	20021219	WO 2002-US17951	20020606
	WO 2002101007	A3	20030327		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003236198	A1	20031225	US 2002-165764	20020606
PRAI	US 2001-298206P	P	20010613		
	US 2001-342309P	P	20011221		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES

WO 2002101007 ICM C12N
OS MARPAT 138:39101
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = H, F, Cl, CN, CF3, OH, N(R2)2, OR2, etc.; R2-3 = H, alkyl, heteroalkyl; n = 1-25; Y = alkylene, (hetero)aromatic; Z = O, N; m = 1 if Z = O, m = 2 if Z = N] were prepared For instance, II (preparation given) was coupled to 4-chloro-2-fluorobenzoic acid, the product saponified and the resulting carboxylic acid coupled to N-(2-aminoethyl)morpholine to give III. III had MIC ≤ 4 μg/mL against B. cereus, E. faecalis, E. faecium, S. aureus, S. epidermidis and S. pneumoniae. A number of compds. of the invention were screened for their ability to bind to three DNA sites (binding data tabulated).

ST antipathogenic benzamide polypyrrole polyamide antibacterial prepn

IT Anti-infective agents
Antibacterial agents
Antibiotics
Drug resistance
Human
(preparation of poly-pyrrole-benzamide and related analogs as antibiotics and DNA binders)

IT Polyamides, preparation
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of poly-pyrrole-benzamide and related analogs as antibiotics and DNA binders)

IT **478802-25-4P**
RL: **PAC (Pharmacological activity)**; RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); **USES (Uses)**
(preparation of poly-pyrrole-benzamide and related analogs as antibiotics and DNA binders)

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478802-03-8P **478802-04-9P** **478802-05-0P**
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478803-80-4P **478811-74-4P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); **USES (Uses)**
(preparation of poly-pyrrole-benzamide and related analogs as antibiotics and DNA binders)

IT 122-01-0, 4-Chlorobenzoyl chloride 394-39-8 446-30-0 2038-03-1, 4-Morpholineethanamine 2810-04-0 3167-49-5, 6-Amino-3-pyridinecarboxylic acid 3647-69-6, 4-(2-Chloroethyl)morpholine hydrochloride 4023-00-1, 1H-Pyrazole-1-carboximidamide 5050-41-9, 1-(2-Chloroethyl)pyrrolidine 5930-92-7 6940-76-7, 1-Chloro-3-iodopropane 24340-76-9 27578-60-5, 1-Piperidineethanamine 32955-21-8 36778-15-1 53391-50-7 53515-36-9, 4-Thiomorpholineethanamine 66493-39-8 72083-62-6 72482-64-5, 2,4-Difluorobenzoyl chloride 85406-53-7 111331-82-9 180258-45-1 203586-94-1 292068-69-0 474417-98-6 474418-04-7 **478400-09-8** 478493-16-2 478804-05-6 478804-06-7 478804-07-8 478804-08-9 478804-09-0 478804-10-3 478804-11-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of poly-pyrrole-benzamide and related analogs as antibiotics and DNA binders)

IT 5751-84-8P 52205-57-9P 66117-32-6P 374694-40-3P 474417-85-1P 478399-93-8P 478399-94-9P 478399-99-4P 478400-00-9P 478493-06-0P 478493-09-3P 478803-81-5P 478803-82-6P 478803-83-7P 478803-84-8P 478803-85-9P 478803-86-0P 478803-87-1P 478803-88-2P 478803-89-3P 478803-90-6P 478803-91-7P 478803-92-8P 478803-93-9P 478803-94-0P 478803-95-1P 478803-96-2P 478803-97-3P 478803-98-4P 478803-99-5P 478804-00-1P 478804-01-2P 478804-02-3P 478804-03-4P 478804-04-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of poly-pyrrole-benzamide and related analogs as antibiotics and DNA binders)

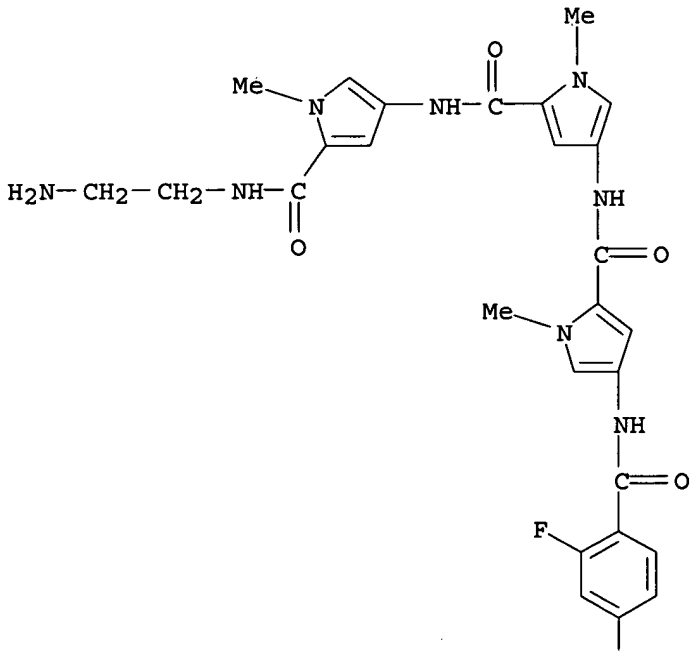
IT **478802-25-4P**

RL: **PAC (Pharmacological activity)**; RCT (Reactant); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); **USES (Uses)**; RACT (Reactant or reagent); **USES (Uses)**
(preparation of poly-pyrrole-benzamide and related analogs as antibiotics and DNA binders)

RN 478802-25-4 HCAPLUS

CN 1H-Pyrrole-2-carboxamide, N-[5-[[(2-aminoethyl)amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-4-[[[4-[(2,4-difluorobenzoyl)amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



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L67 ANSWER 11 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:964348 HCAPLUS

DN 138:39181

ED Entered STN: 20 Dec 2002

TI Preparation of poly-pyrrole substituted benzothiophene compounds having antiinfective activity

IN Burli, Roland W.; Baird, Eldon E.; Taylor, Matthew J.; Kaizerman, Jacob A.; Hu, Wenhao

PA Genesoft, Inc., USA

SO PCT Int. Appl., 74 pp.
CODEN: PIXXD2

DT Patent

LA English

IC ICM C07D333-56
ICS C07D405-00; C07D413-00; A61K031-385; A61K031-40; A61K031-535

CC 27-9 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): **1**, 28, 34, **63**

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002100852	A1	20021219	WO 2002-US17952	20020606
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004142971	A1	20040722	US 2002-165856	20020606
PRAI	US 2001-298206P	P	20010613		
	US 2001-325134P	P	20010924		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2002100852	ICM	C07D333-56
	ICS	C07D405-00; C07D413-00; A61K031-385; A61K031-40; A61K031-535

OS MARPAT 138:39181
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R5 = H, F, Cl, Br, I, CN, OH, NH2, etc.; n = 1-25; Y = alkylene, (hetero)aromatic; Z = O, N; m = 1 if Z = O, m = 2 if Z = N; R2 = H, alkyl, heteroalkyl] are prepared For instance, II (prior art) was coupled to 3-chlorobenzothiophene-2-carboxylic acid (DMF, HBTU, i-Pr2NEt, 30 min, 37°) to give III. I are DNA binding compds. exhibiting antibacterial activity. III has MIC ≤ 4 μg/mL against B. cereus, S. aureus, S. epidermidis, E. faecium, and S. pneumoniae.

ST benzothiophene antiinfective antibacterial DNA binding polypyrrole prepn

IT Anti-infective agents
Antibacterial agents
Drug resistance
Fungicides
Human
(preparation of poly-pyrrole substituted benzothiophene compds. having antiinfective and DNA binding activity)

IT DNA
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of poly-pyrrole substituted benzothiophene compds. having antiinfective and DNA binding activity)

IT Polyamides, preparation
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of poly-pyrrole substituted benzothiophene compds. having antiinfective and DNA binding activity)

IT **478398-58-2P 478398-59-3P** 478398-60-6P 478398-61-7P
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478398-80-0P 478398-82-2P 478398-83-3P

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RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); **USES (Uses)**
(preparation of poly-pyrrole substituted benzothiophene compds. having antiinfective and DNA binding activity)

IT 2038-03-1, 4-Morpholineethanamine 3647-69-6 5930-92-7 21211-22-3
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478400-06-5 478400-07-6 478400-08-7 **478400-09-8**
478400-10-1 478400-11-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of poly-pyrrole substituted benzothiophene compds. having antiinfective and DNA binding activity)

IT 4791-82-6P 137278-46-7P 474418-02-5P 474418-04-7P 478399-93-8P
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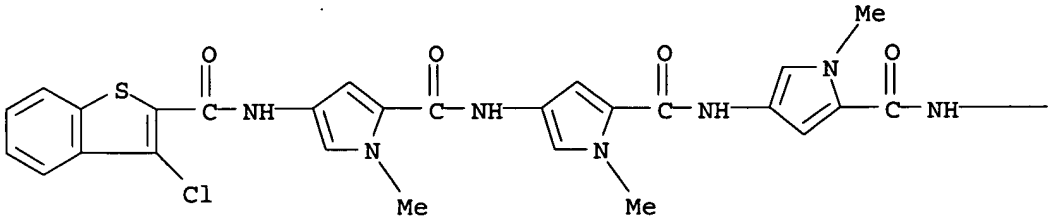
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of poly-pyrrole substituted benzothiophene compds. having antiinfective and DNA binding activity)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Boschelli; US 5350748 A 1994 HCAPLUS
(2) Tischler; US 4800211 A 1989 HCAPLUS

IT **478398-58-2P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); **USES (Uses)**
(preparation of poly-pyrrole substituted benzothiophene compds. having antiinfective and DNA binding activity)

RN 478398-58-2 HCAPLUS
CN 1H-Pyrrole-2-carboxamide, 4-[[[4-[[(3-chlorobenzo[b]thien-2-yl)carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl-N-[1-methyl-5-[[[2-(4-morpholinyl)ethyl]amino]carbonyl]-1H-pyrrol-3-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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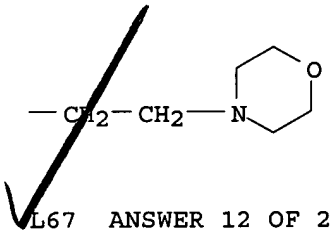

L67 ANSWER 12 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:964329 HCAPLUS
DN 138:39193
ED Entered STN: 20 Dec 2002
TI Preparation of poly-pyrrole substituted isoquinoline compounds having antiinfective activity
IN Burli, Roland W.; Jones, Peter; Kaizerman, Jacob A.; Hu, Wenhao
PA Genesoft, Inc., USA
SO PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07D217-12
ICS A61K031-47
CC 27-17 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 28, 34, 63
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002100832	A1	20021219	WO 2002-US17954	20020606
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003083268	A1	20030501	US 2002-165857	20020606
	US 6777425	B2	20040817		
	EP 1401817	A1	20040331	EP 2002-739737	20020606
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRAI	US 2001-298206P	P	20010613		
	US 2001-333830P	P	20011127		
	WO 2002-US17954	W	20020606		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES

WO 2002100832 ICM C07D217-12
ICS A61K031-47
OS MARPAT 138:39193
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = H, F, Cl, Br, I CN, OH, NO2, etc.; n = 1-25; Y = alkylene, (hetero)aromatic; Z = O, N; m = 1 if Z = O, m = 2 if Z = N; R2 = H, alkyl, heteroalkyl] are prepared For instance, II was coupled to isoquinoline-3-carboxylic acid, the product saponified and the resulting carboxylic acid coupled to a substituted pyrrole (preparation given; NMP, HBTU, i-Pr2NEt) to give III. I bind to the minor groove of DNA and have antifungal and antibacterial activity. III has MIC ≤ 4 μg against B. cereus, E. faecalis, S. aureus, S. epidermidis and S. pneumoniae.

ST isoquinoline antiinfective antibacterial antifungal polypyrrole prepn

IT Anti-infective agents
Antibacterial agents
Drug resistance
Fungicides
Human
(preparation of poly-pyrrole substituted isoquinoline compds. having antiinfective/antifungal and DNA binding activity)

IT DNA
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of poly-pyrrole substituted isoquinoline compds. having antiinfective/antifungal and DNA binding activity)

IT Polyamides, preparation
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of poly-pyrrole substituted isoquinoline compds. having antiinfective/antifungal and DNA binding activity)

IT 478757-66-3, 5: PN: WO02100832 PAGE: 68 claimed DNA 478758-02-0, 6: PN: WO02100832 PAGE: 68 claimed DNA
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of poly-pyrrole substituted isoquinoline compds. having antiinfective/antifungal and DNA binding activity)

IT **478491-60-0P 478491-61-1P 478491-62-2P**
478491-63-3P 478491-64-4P 478491-65-5P
478491-66-6P **478491-67-7P 478491-68-8P**
478491-69-9P 478491-70-2P **478491-71-3P** 478491-72-4P
478491-73-5P 478491-74-6P **478491-75-7P 478491-76-8P**
478491-77-9P 478491-78-0P 478491-79-1P
478491-80-4P 478491-81-5P 478491-82-6P
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478491-86-0P 478491-87-1P 478491-88-2P
478491-89-3P 478491-90-6P 478491-92-8P
478491-93-9P 478491-94-0P 478491-95-1P
478491-96-2P **478491-97-3P** 478491-98-4P 478491-99-5P
478492-00-1P 478492-01-2P 478492-02-3P 478492-04-5P 478492-05-6P
478492-06-7P 478492-07-8P **478492-08-9P** 478492-09-0P
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478492-15-8P 478492-16-9P **478492-17-0P** 478492-18-1P
478492-19-2P 478492-20-5P 478492-21-6P 478492-24-9P 478492-28-3P
478492-31-8P 478492-34-1P 478492-39-6P 478492-41-0P 478492-43-2P
478492-45-4P 478492-47-6P **478492-49-8P**
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478492-56-7P 478492-58-9P 478492-60-3P

478492-62-5P 478492-63-6P **478492-65-8P** **478492-66-9P**
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478492-70-5P **478492-71-6P** **478492-72-7P**
478492-73-8P **478492-74-9P** **478492-75-0P**
478492-76-1P **478492-77-2P** **478492-78-3P**
478492-79-4P **478492-80-7P** **478492-81-8P**
478492-82-9P **478492-83-0P** **478492-84-1P**
478492-85-2P
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); **USES (Uses)**
(preparation of poly-pyrrole substituted isoquinoline compds. having antiinfective/antifungal and DNA binding activity)

IT 108-02-1 580-15-4, 6-Quinolinamine 2038-03-1, 4-Morpholineethanamine 2810-04-0 3647-69-6 4023-00-1, 1H-Pyrazole-1-carboximidamide 5680-79-5 5930-92-7 6361-21-3 6624-49-3, 3-Isoquinolinecarboxylic acid 7154-73-6, 1-Pyrrolidineethanamine 24340-76-9 36778-15-1 52302-45-1, 1,3-Benzodioxole-5,6-dicarboxaldehyde 52995-76-3 53391-50-7 53515-36-9, 4-Thiomorpholineethanamine 55163-91-2 66493-39-8 72083-62-6 77716-11-1 85406-53-7 125368-22-1 126092-98-6 180258-47-3 203586-94-1 474418-04-7 477576-97-9 478400-11-2 478493-14-0 **478493-15-1** 478493-16-2 478493-17-3 **478493-18-4** 478493-19-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of poly-pyrrole substituted isoquinoline compds. having antiinfective/antifungal and DNA binding activity)

IT 5751-84-8P 25785-09-5P 25785-10-8P 52205-57-9P 66117-32-6P 292068-77-0P 474417-85-1P 478399-93-8P 478399-94-9P 478399-97-2P 478399-99-4P 478400-00-9P 478400-04-3P 478400-05-4P 478492-86-3P **478492-87-4P** 478492-88-5P 478492-89-6P 478492-90-9P 478492-91-0P 478492-92-1P 478492-93-2P 478492-94-3P 478492-95-4P 478492-96-5P 478492-97-6P 478492-98-7P 478492-99-8P 478493-00-4P 478493-01-5P 478493-02-6P 478493-03-7P 478493-04-8P 478493-05-9P 478493-06-0P 478493-07-1P 478493-08-2P 478493-09-3P 478493-10-6P 478493-11-7P 478493-12-8P 478493-13-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of poly-pyrrole substituted isoquinoline compds. having antiinfective/antifungal and DNA binding activity)

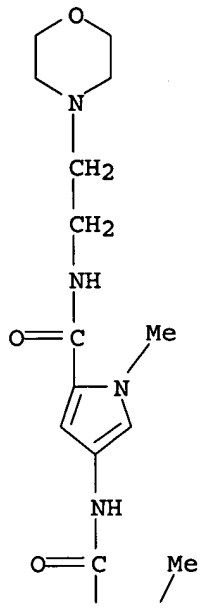
IT 478773-15-8 478773-16-9 478773-17-0 478773-18-1
RL: PRP (Properties)
(unclaimed sequence; preparation of poly-pyrrole substituted isoquinoline compds. having antiinfective activity)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Beaulieu; US 5545640 A 1996 HCAPLUS
(2) Leukosite Inc; WO 9125351 A 1997
(3) Sen; J Indian Chem Soc 1969, V46(3), P209 HCAPLUS
(4) Yamanouchi Pharmaceutical Co Ltd; WO 01211615 A 2001

IT **478491-60-0P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); **USES (Uses)**
(preparation of poly-pyrrole substituted isoquinoline compds. having antiinfective/antifungal and DNA binding activity)

RN 478491-60-0 HCAPLUS
CN 1-Isoquinolinecarboxamide, N-[1-methyl-5-[[[1-methyl-5-[[[1-methyl-5-[[[2-(4-morpholinyl)ethyl]amino]carbonyl]-1H-pyrrol-3-yl]amino]carbonyl]-1H-pyrrol-3-yl]amino]carbonyl]-1H-pyrrol-3-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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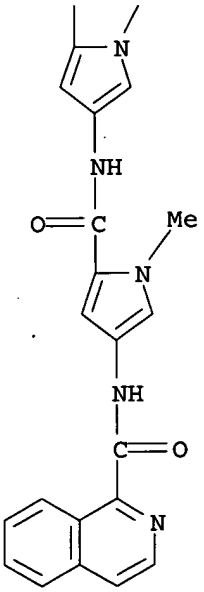


L67 ANSWER 13 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:849624 HCAPLUS
DN 137:353318
ED Entered STN: 08 Nov 2002
TI Preparation of distamycin- and netropsin-related halothienyl compounds as antibiotics and DNA binders
IN Ge, Yigong; Taylor, Matthew J.; Baird, Eldon E.; Burli, Roland W.;

Kaizerman, Jacob A.; Martin, Amanda E.; Cadman, Brie
PA Genesoft, Inc., USA
SO PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07D413-00
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 6, 27
FAN.CNT 1

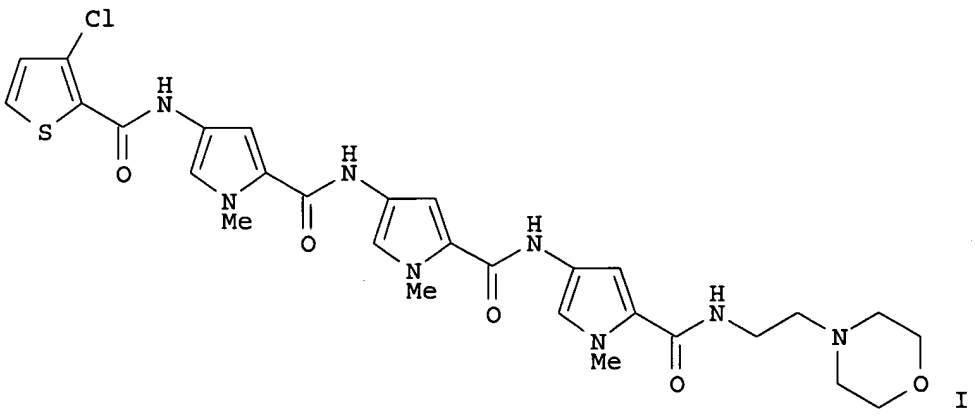
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002088119	A1	20021107	WO 2002-US13199	20020424
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003130198	A1	20030710	US 2002-132887	20020424

PRAI US 2001-286454P P 20010426

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2002088119	ICM	C07D413-00

OS MARPAT 137:353318
GI



AB Halogen-substituted thienyl compds. Th-D1-Ym-B5R20p [Th = halo-substituted 2- or 3-thienyl which may also have substituents OH, NO2, (un)substituted (hetero)alkyl; D1 = a bond, (un)substituted alkylene, SO, SO2; Y = NH-L-C(:B1), where L is an unsatd., 5-membered heterocycle (the heteroatom may be O, S, or N), NHCH2(CHR15)n (n = 0 or 1, R15 = H, OH, NH2, or F), or a divalent moiety separating NH and C:B1 by 3 or 4 atoms and B1 = O, S, or NH; m = 2-25; B5R20p = OB20 or NB202, where B20 = H, (un)substituted (hetero)alkyl] were prepared as potential nucleic acid (especially double stranded DNA) binders and as antibiotics. Thus, 3-chloro-2-thienoyl polyamide I was prepared via step-wise couplings and showed MIC ≤ 4 μg/mL for inhibition of S. Aureus, E. Faecalis, B. cereus, and S. Pneumoniae. A number

of compds. of the invention were screened for their ability to bind to three DNA sites (binding data tabulated).

ST polyamide halothienyl analog distamycin netropsin prepn bactericide DNA binding

IT Pathogen
(infection, treatment; preparation of distamycin- and netropsin-related halothienyl compds. as antibiotics and DNA binders)

IT **Antibacterial agents**
Human
(preparation of distamycin- and netropsin-related halothienyl compds. as antibiotics and DNA binders)

IT DNA
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of distamycin- and netropsin-related halothienyl compds. as antibiotics and DNA binders)

IT Polyamides, preparation
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of distamycin- and netropsin-related halothienyl compds. as antibiotics and DNA binders)

IT 474701-48-9 474701-52-5
RL: MSC (Miscellaneous)
(preparation of distamycin- and netropsin-related halothienyl compds. as antibiotics and DNA binders)

IT 1438-30-8DP, Netropsin, analogs 39389-47-4DP, Distamycin, analogs
474418-10-5P 474418-12-7P 474418-14-9P
474418-16-1P 474418-18-3P 474418-21-8P
474418-23-0P 474418-25-2P 474418-26-3P
474418-27-4P 474418-28-5P 474418-30-9P
474418-31-0P 474418-32-1P
RL: **PAC (Pharmacological activity)**; RCT (Reactant); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); **USES (Uses)**
(preparation of distamycin- and netropsin-related halothienyl compds. as antibiotics and DNA binders)

IT **474418-06-9P 474418-29-6P 474418-33-2P**
474418-34-3P 474418-35-4P 474418-36-5P
474418-37-6P 474418-38-7P 474418-39-8P
474418-40-1P 474418-41-2P 474418-42-3P
474418-43-4P 474418-44-5P 474418-45-6P
474418-46-7P 474418-47-8P 474418-48-9P
474418-49-0P 474418-50-3P 474418-51-4P
474418-52-5P 474418-53-6P 474418-55-8P
474418-58-1P 474418-61-6P 474418-63-8P 474418-65-0P 474418-67-2P
474418-70-7P
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); **USES (Uses)**
(preparation of distamycin- and netropsin-related halothienyl compds. as antibiotics and DNA binders)

IT **474773-05-2P 474773-37-0P 474773-96-1P**
474774-17-9P 474774-26-0P 474774-32-8P
474776-82-4P 474776-91-5P 474777-04-3P
474777-09-8P 474777-35-0P 474777-54-3P
474779-56-1P 474835-34-2P 474836-47-0P
474836-76-5P 474837-41-7P 474837-55-3P
474837-71-3P 474837-89-3P 474838-12-5P
474838-37-4P 474838-59-0P 474838-97-6P
474839-12-8P 474839-30-0P 474839-42-4P
474839-64-0P 474903-09-8P 474903-12-3P
474903-13-4P 474903-22-5P 474903-23-6P

474903-24-7P 474903-25-8P 474903-49-6P
474903-64-5P 474903-69-0P 474903-70-3P
474903-71-4P 474903-73-6P 474903-77-0P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation of distamycin- and netropsin-related halothienyl compds. as antibiotics and DNA binders)

IT 2038-03-1, 2 Morpholinoethylamine 2810-04-0 13138-76-6 22288-78-4
59337-89-2 77716-11-1 86427-02-3 120122-47-6 126092-99-7
180258-45-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of distamycin- and netropsin-related halothienyl compds. as antibiotics and DNA binders)

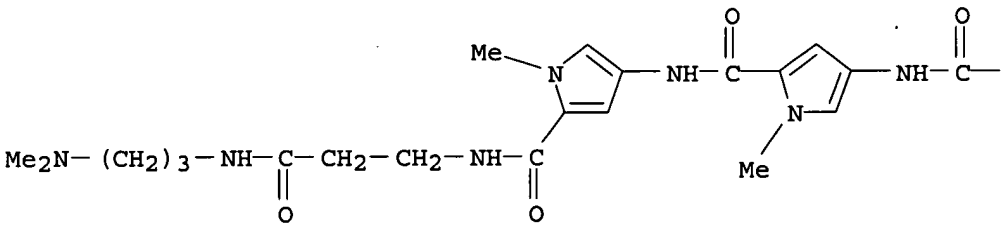
IT 5751-84-8P 32431-84-8P 52205-57-9P 66117-32-6P 69910-20-9P
100421-52-1P 126092-98-6P 126093-01-4P 292068-69-0P 292068-90-7P
474417-85-1P 474417-90-8P 474417-92-0P 474417-94-2P 474417-98-6P
474418-00-3P 474418-02-5P 474418-04-7P **474418-08-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of distamycin- and netropsin-related halothienyl compds. as antibiotics and DNA binders)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Beria; US 5753629 A 1998 HCAPLUS
(2) Dervan; US 5998140 A 1999 HCAPLUS
(3) Lazzari; US 5017599 A 1991 HCAPLUS

IT **474418-10-5P**
RL: **PAC (Pharmacological activity)**; RCT (Reactant); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); **USES (Uses)**; RACT (Reactant or reagent); **USES (Uses)**
(preparation of distamycin- and netropsin-related halothienyl compds. as antibiotics and DNA binders)

RN 474418-10-5 HCAPLUS
CN 1H-Pyrrole-2-carboxamide, 4-[[[4-[[(4,5-dibromo-2-thienyl)carbonyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-N-[3-[[5-[[[5-[[[3-[[3-(dimethylamino)propyl]amino]-3-oxopropyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]-3-oxopropyl]-1-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



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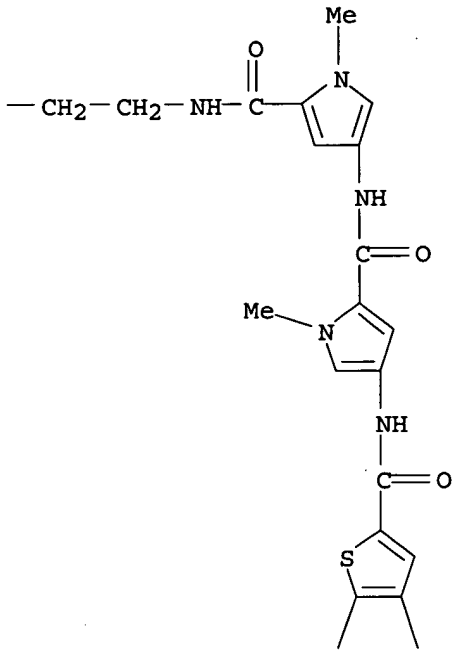

PAGE 2-B

ANSWER 14 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:641095 HCAPLUS
DN 138:89645
ED Entered STN: 26 Aug 2002
TI DNA Binding Ligands with Excellent Antibiotic Potency Against Drug-Resistant Gram-Positive Bacteria
AU Burli, Roland W.; Ge, Yigong; White, Sarah; Baird, Eldon E.; Touami, Sofia M.; Taylor, Matthew; Kaizerman, Jacob A.; Moser, Heinz E.
CS Genesoft Inc., South San Francisco, CA, 94080, USA
SO Bioorganic & Medicinal Chemistry Letters (2002), 12(18), 2591-2594
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
CC 27-10 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 10
OS CASREACT 138:89645
AB An efficient synthesis of DNA binding mols. consisting of four heterocyclic carboxamide units and various substituents at both termini is described. The minor-groove binding ligands showed excellent activity against a broad range of Gram-pos. bacteria; no cross-resistance to known antibacterial drugs was observed
ST heterocyclic carboxamide DNA minor groove binding ligand prepn; antibacterial antifungal carboxamide heterocycle
IT Structure-activity relationship
(bactericidal; prepn of heterocyclic carboxamides from from a N-Me pyrrole carboxamide trimer as DNA minor groove binding ligands and

their antibacterial and antifungal activity)
IT DNA
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(complexes, drug; prepn of heterocyclic carboxamides from from a N-Me pyrrole carboxamide trimer as DNA minor groove binding ligands and their antibacterial and antifungal activity)
IT Structure-activity relationship
(fungicidal; prepn of heterocyclic carboxamides from from a N-Me pyrrole carboxamide trimer as DNA minor groove binding ligands and their antibacterial and antifungal activity)
IT **Antibacterial agents**
Fungicides
(prepn of heterocyclic carboxamides from from a N-Me pyrrole carboxamide trimer as DNA minor groove binding ligands and their antibacterial and antifungal activity)
IT DNA
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(prepn of heterocyclic carboxamides from from a N-Me pyrrole carboxamide trimer as DNA minor groove binding ligands and their antibacterial and antifungal activity)
IT **365211-09-2P 365211-10-5P 365211-23-0P**
365211-24-1P 365211-25-2P 365211-28-5P
365211-36-5P 484684-39-1P 484684-40-4P
484684-41-5P 484684-42-6P 484684-43-7P
484684-44-8P 484684-45-9P 484684-46-0P
484684-47-1P 484684-48-2P 484684-49-3P
484684-50-6P 484684-51-7P
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn of heterocyclic carboxamides from from a N-Me pyrrole carboxamide trimer as DNA minor groove binding ligands and their antibacterial and antifungal activity)
IT 109-76-2, 1,3-Propanediamine 110-60-1, 1,4-Butanediamine 110-89-4, Piperidine, reactions 156-87-6 646-19-5, 1,7-Heptanediamine 2038-03-1, 4-Morpholineethanamine 2516-47-4, Cyclopropanemethanamine 2706-56-1, 2-Pyridineethanamine 3312-60-5 6291-85-6 13258-63-4, 4-Pyridineethanamine 126093-00-3 131947-13-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn of heterocyclic carboxamides from from a N-Me pyrrole carboxamide trimer as DNA minor groove binding ligands and their antibacterial and antifungal activity)
IT 126093-01-4P 484684-37-9P 484684-38-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn of heterocyclic carboxamides from from a N-Me pyrrole carboxamide trimer as DNA minor groove binding ligands and their antibacterial and antifungal activity)
RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Anker, L; Helv Chim Acta 1983, V66, P542 HCAPLUS
(2) Arcamone, F; Nature 1964, V203, P1064 HCAPLUS
(3) Boger, L; J Am Chem Soc 2000, V122, P6382
(4) Brosius, D; J Biol Chem 1985, V260, P3539
(5) Chu, D; J Med Chem 1996, V39, P3833
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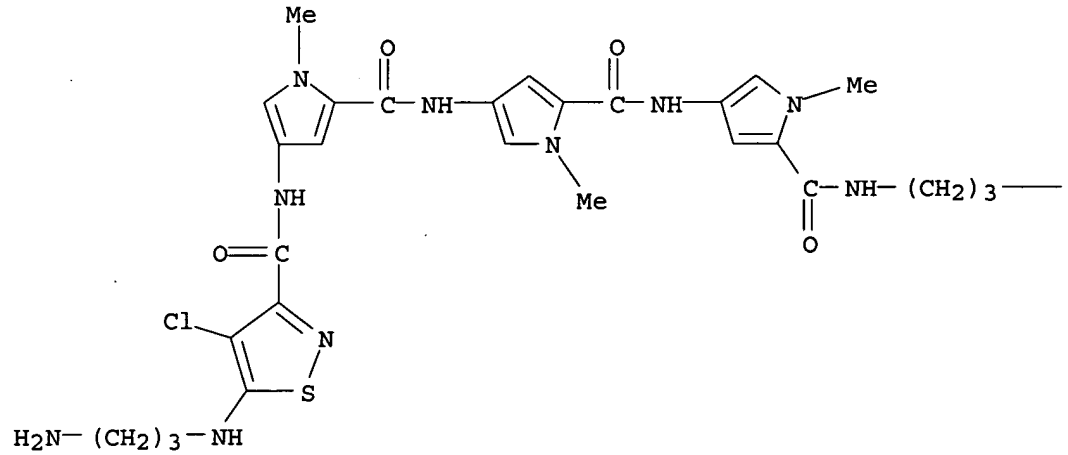
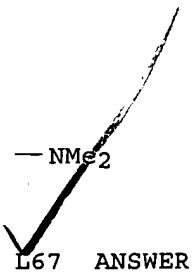
IT **365211-09-2P**
RL: **PAC (Pharmacological activity)**; SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn of heterocyclic carboxamides from from a N-Me pyrrole carboxamide trimer as DNA minor groove binding ligands and their antibacterial and antifungal activity)

RN 365211-09-2 HCAPLUS
CN 3-Isothiazolecarboxamide, 5-[(3-aminopropyl)amino]-4-chloro-N-[5-[[[5-[[[5-[[[3-(dimethylamino)propyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



L67 ANSWER 15 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:521462 HCAPLUS

DN 137:88442
ED Entered STN: 12 Jul 2002
TI Incensole and furanogermacrens and compounds in treatment for inhibiting neoplastic lesions and microorganisms
IN Shanahan-Pendergast, Elisabeth
PA Ire.
SO PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DT Patent
LA English
IC A61K031-00
CC 1-6 (**Pharmacology**)
Section cross-reference(s): **10, 63**

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002053138	A2	20020711	WO 2002-IE1	20020102
	WO 2002053138	A3	20020919		
	W: AE, AG, AT, AU, BB, BG, CA, CH, CN, CO, CU, CZ, LU, LV, MA, MD, UA, UG, US, VN, YU, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, AT, BE, CH, CY, DE, ES, FI, ML, MR, NE, SN, TD, TG				
	EP 1351678	A2	20031015	EP 2002-727007	20020102
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2004092583	A1	20040513	US 2004-250535	20040102
PRAI	IE 2001-2	A	20010102		
	WO 2002-IE1	W	20020102		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2002053138	IC	A61K031-00
US 2004092583	ECLA	A61K031/00; A61K031/015; A61K031/343; A61K045/06

OS MARPAT 137:88442
AB The invention discloses the use of incensole and/or furanogermacrens, derivs. metabolites and precursors thereof in the treatment of neoplasia, particularly resistant neoplasia and immundysregulatory disorders. These compds. can be administered alone or in combination with conventional chemotherapeutic, antiviral, antiparasite agents, radiation and/or surgery. Incensole and furanogermacren and their mixture showed antitumor activity against various human carcinomas and melanomas and antimicrobial activity against Staphylococcus aureus and Enterococcus faecalis.
ST neoplastic lesion treatment incensole furanogermacren compd; antitumor incensole furanogermacren; antimicrobial incensole furanogermacren
IT Proteins
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(A, immunomodulator based on, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Leukemia
Lymphoma
(B-cell; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Fusion proteins (chimeric proteins)
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(BCR-ABL, antagonists, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Intestine, disease
(Crohn's, treatment of; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Canarypox virus

(IL-2 of, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT GTPase-activating protein
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(RasGAP, inhibitors, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Proteins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Sdi 1, mimetics, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Skin, neoplasm
(Sezary syndrome; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Leukemia
Lymphoma
(T-cell; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Transcription factors
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(WT1 (Wilms' tumor suppressor 1), therapy based on; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Keratosis
(actinic; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Leukemia
(acute; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Lung, neoplasm
(adenocarcinoma; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Melanoma
(amelanotic; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Urokinase-type plasminogen activator receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(antagonists, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Proteins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anti-dorsalizing morphogenetic protein-1, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Androgens
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiandrogens, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Estrogens
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiestrogens, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Antitumor agents
(antineoplastons, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Nutrients
(antinutrients, pharmaceutical formulation further including; incensole

and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Drug resistance
(antitumor; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Lung, disease
(aspergillosis, treatment of immunodysregulation condition caused by; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Infection
(bacterial, intracellular or extracellular, treatment of immunodysregulation condition caused by; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Proteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(c-Raf, antagonists, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Candida
(candidiasis from, treatment of immunodysregulation condition caused by; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Prostate gland, neoplasm
(carcinoma, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Ovary, neoplasm
Stomach, neoplasm
(carcinoma; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Mycobacterium
(cell wall sk and monophosphoryl lipid A, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Diterpenes
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cembranoid, alcs.; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Diterpenes
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cembranoid; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Nervous system, disease
(central, precancerous lesion in; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Nervous system, neoplasm
(central; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Uterus, disease
(cervix, dysplasia; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Uterus, neoplasm
(cervix; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Porphyrins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(chlorins, benzo-, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Porphyrins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(chlorins, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Leukemia
(chronic; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Polymers, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(co-, enteric coating of; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Intestine, neoplasm
(colon, carcinoma; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Intestine, neoplasm
(colon, polyp; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Intestine
(colon, precancerous lesion in; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Intestine, neoplasm
(colon; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Polyoxyalkylenes, biological studies
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(conjugates with pyridoxylated Hb; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Quinones
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cyclopentanthraquinones, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Immunity
(disorder, treatment of; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Stem cell
(division inhibitors, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Carbohydrates, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(drug delivery systems containing; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Antibodies and Immunoglobulins
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(drug targeting to HIV infected cells using; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Bronchi, disease
Prostate gland, disease
(dysplasia; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Skin, neoplasm
(dysplastic nevus syndrome; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Dendritic cell
(enhancement of endogenous precursor; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Heat-shock proteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(enhancement of endogenous; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Polymers, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(enteric coating of; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Drug delivery systems
(enteric-coated; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Drug delivery systems
(enteric; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Escherichia coli
(enterohemorrhagic, treatment of immunodysregulation condition caused by infection with; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Escherichia coli
(enteroinvasive, treatment of immunodysregulation condition caused by infection with; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Escherichia coli
(enteropathogenic, treatment of immunodysregulation condition caused by infection with; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Escherichia coli
(enterotoxigenic, treatment of immunodysregulation condition caused by infection with; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Lung, neoplasm
(epidermoid; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Gene therapy
(erythrocyte, vector system, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Gene, animal
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(for apoptosis, modulators of, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Multidrug resistance
(gene inhibitor, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Apoptosis
(gene modulators or regulators, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Erythrocyte
(gene therapy vector system, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Envelope proteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(gp120env, drug targeting to HIV infected cells using antibodies to; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Envelope proteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(gp160env, drug targeting to HIV infected cells using antibodies to; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Leukemia
(hairy-cell; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Peptides, biological studies
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)
(immunostimulant, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Chemotherapy
Parasiticides
Radiotherapy
Surgery
(in combination with; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Adrenal gland, neoplasm
Anti-AIDS agents
Anti-infective agents
Antiarthritics
Antiasthmatics
Antidiabetic agents
Antidiarrheals
Antitumor agents
Bladder, neoplasm
Brain, neoplasm
Burn
Drug delivery systems
Enterococcus faecalis
Hodgkin's disease
Human
Lymphoma
Mammary gland, neoplasm
Melanoma
Mouth, neoplasm
Multiple myeloma
Neoplasm
Newborn
Ovary, neoplasm
Pancreas, neoplasm
Prostate gland, neoplasm
Sarcoma
Staphylococcus aureus
Stomach, neoplasm
Testis, neoplasm
(incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Yeast
(infection with, treatment of immunodysregulation condition caused by; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Intestine, disease
(inflammatory, treatment of; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Cartilage
(inhibitor derived from, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Stem cell
(inhibitor, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Insulin-like growth factor I receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitor, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Translation, genetic
(inhibitors of, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Signal transduction, biological

(inhibitors or modulators, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Macrophage migration inhibitory factor
Ras proteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Insulin-like growth factor-binding proteins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(insulin-like growth factor I-binding, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Parasite
(intracellular or extracellular infection with, treatment of immunodysregulation condition caused by; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Gamma ray
(irradiation, treatment of immunodysregulation condition caused by treatment with; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Intestine, disease
(irritable bowel syndrome, treatment of; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Digestive tract
(irritation, treatment of immunodysregulation condition caused by; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Paracoccidioides
(juvenile paracoccidiomyosis, treatment of immunodysregulation condition caused by; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Lung, neoplasm
(large-cell carcinoma; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Bladder, disease
Skin, disease
(lesions; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Virus
(lipid envelope, treatment of immunodysregulation condition caused by infection with; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Peptides, biological studies
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(lipophilic disaccharide, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Drug delivery systems
(liposomes; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Peptides, biological studies
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(lytic, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Pulverization
(micronization; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Double stranded RNA
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)
(mismatched, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Antibodies and Immunoglobulins
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(monoclonal, conjugates, with liposome or carbohydrate vehicles, to tumor-associated antigen; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Antibodies and Immunoglobulins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(monoclonal, to human chorionic gonadotropin, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Leukemia
(monocytic; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Lipid A
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(monophosphates, and mycobacterium cell wall sk, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Nerve, disease
(motor, treatment of; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Firmicutes
(multi-drug resistant; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Gene
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(multidrug resistance, inhibitor, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Leukemia
(myelogenous; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Leukemia
(myelomonocytic; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Drug delivery systems
(nasal; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Hematopoietic precursor cell
(neoplasm; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Nerve, neoplasm
(neuroblastoma; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Antioxidants
(nitroxide, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Lymphocyte
(null cell, leukemia; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Interleukin 2
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(of canarypox virus, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Cytokines

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(oral inducer, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Drug delivery systems
(oral; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Drug delivery systems
(parenterals; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Antiviral agents
(pharmaceutical formulation further containing; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Interferons
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical formulation further containing; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Angiogenesis inhibitors
Antivenoms
Cytotoxic agents
Immunostimulants
Mycobacterium bovis
Venoms
(pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Antisense oligonucleotides
Estrogens
Heregulins
Hormones, animal, biological studies
Interleukins
Leukemia inhibitory factor
Oligonucleotides
Polyamines
Ribozymes
Steroids, biological studies
Taxanes
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Disease, animal
(polyposis syndrome; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Fatty acids, biological studies
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(poppy seed-oil, Et esters, labeled with iodine-131, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Kidney, disease
Lung, disease
Mammary gland, disease
Stomach, disease
(precancerous lesion in; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Drug delivery systems
(prodrugs; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Hemoglobins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(reaction products, with pyridoxal phosphate, conjugates with polyoxyethylene, pharmaceutical formulation further including;

incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Drug delivery systems
(rectal; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Kidney, neoplasm
(renal cell carcinoma; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Antitumor agents
(resistance to; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Proteins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(saporin, fibroblast growth factor conjugates; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Proteins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(senescence-derived inhibitor 1, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Oligonucleotides
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(sense, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Shock (circulatory collapse)
(septic, treatment of; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Proteins
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(single chain antigen binding protein, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Cell wall
(sk of mycobacteria and monophosphoryl lipid A, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Leukemia
(small cell; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Lung, neoplasm
(small-cell carcinoma; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Neoplasm
(solid; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Carcinoma
(squamous cell; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Drug delivery systems
(sublingual; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Glycosaminoglycans, biological studies
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(synthetic, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Lupus erythematosus
(systemic, treatment of; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Human immunodeficiency virus
(targeting to cells infected with; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(thymopoietin, agonists, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Drug delivery systems
(topical; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Stem cell factor
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(totipotent, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)
IT Adeno-associated virus
Balantidium
Balantidium coli
Borrelia
Campylobacter
Candida
Coronavirus
Cryptococcus (fungus)
Cryptosporidium
DNA viruses
Entamoeba
Entamoeba histolytica
Filovirus
Flavivirus
Haemophilus
Hantavirus
Human papillomavirus
Human parainfluenza virus
Human poliovirus
Influenza virus
Legionella
Leishmania
Leishmania braziliensis
Leishmania donovani
Leishmania mexicana
Leishmania tropica
Listeria
Measles virus
Mycoplasma
Papillomavirus
Pestivirus
Picornaviridae
Plasmodium berghei
Plasmodium falciparum
Plasmodium malariae
Plasmodium ovale
Plasmodium vivax
Pneumocystis
Pneumocystis carinii
Poxviridae
Pseudomonas
RNA viruses
Respiratory syncytial virus
Retroviridae
Rhinovirus
Rubivirus
Salmonella

Shigella
Staphylococcus
Streptococcus
Togaviridae
Toxoplasma
Toxoplasma gondii
Trichomonas
Trichomonas vaginalis
Trypanosoma
Trypanosoma brucei
Trypanosoma cruzi
Trypanosoma gambiense
Trypanosoma rhodesiense
Vibrio
Yersinia
(treatment of immunodysregulation condition caused by infection with; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Corticosteroids, biological studies
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(treatment of immunodysregulation condition caused by treatment with; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Nucleoside analogs
RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of immunodysregulation condition caused by treatment with; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Immunosuppressants
Mycosis
Protozoa
Wound
(treatment of immunodysregulation condition caused by; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Arthritis
Asthma
Autoimmune disease
Cachexia
Cirrhosis
Diabetes mellitus
Diarrhea
Multiple sclerosis
Respiratory distress syndrome
(treatment of; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Antigens
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(tumor-associated, drug targeting with monoclonal antibody to; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Cytotoxic agents
(tyrphostins, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Drug delivery systems
(vaginal; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Infection
(viral, treatment of immunodysregulation condition caused by; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Disease, animal
(wasting, treatment of; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Interferons

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(α, n1, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Interferons
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(α, n3, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Interferons
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(α, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Interferons
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(α-2a, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Interferons
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(α-2b, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Lactams
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(β-, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Interferons
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(β1, a, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT Interferons
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(γ, 1b, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT 37221-79-7, Vasoactive intestinal peptide
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antagonist, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT 9002-06-6, Thymidine kinase
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antagonists, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT 505-60-2, Mustard
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anticancer, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT 7585-39-9, β-Cyclodextrin 7585-39-9D, β-Cyclodextrin, hydroxypropyl derivs. 10016-20-3, α-Cyclodextrin 12619-70-4, Cyclodextrin 17465-86-0, γ-Cyclodextrin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(as pharmaceutical carrier; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT 80-62-6, Methyl methacrylate 2867-47-2, (2-Dimethylaminoethyl) methacrylate 9004-38-0, Cellulose acetate phthalate 34346-01-5, Poly(lactic acid-glycolic acid) 441015-98-1

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(enteric coating of; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT 121749-39-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(epharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT 54-47-7D, Pyridoxal phosphate, reaction products with Hb conjugates 76-49-3, Bornyl acetate 80-57-9, Verbenone 87-44-5, β-Caryophyllene 88-84-6, β-Guaiene 99-49-0, Carvone 99-83-2, α-Phellandrene 99-87-6, p-Cymene 112-14-1, Octyl acetate 123-35-3, Myrcene 473-11-0, Eudesmane 489-80-5, Guaiane 495-61-4, β-Bisabolene 502-61-4, Farnesene 507-70-0, Borneol 511-59-1, β-Santalene 512-61-8, α-Santalene 515-12-8, Elemane 523-47-7, β-Cadinene 555-10-2, β-Phellandrene 562-74-3, Terpinen-4-ol 1335-14-4 1674-08-4, trans-Pinocarveol 1820-09-3, trans-Ver-benol 2867-05-2, α-Thujene 3856-25-5, α-Copaene 4602-84-0, Farnesol 5208-59-3, β-Bourbonene 6753-98-6, Humulene 6895-56-3, β-Bergamotene 7663-66-3, Bergamotane 8007-35-0, Terpinyl acetate 8013-00-1, Terpinene 10178-38-8, Echinodol 14998-63-1D, Rhenium-186, etidronate complexes, biological studies 17627-44-0, α-Bisabolene 18794-84-8, β-Farnesene 19912-61-9, Furanodiene 20479-06-5, β-Ylangene 21698-66-8, Incensole oxide 21698-67-9, Incensole oxide acetate 22419-74-5, Incensole 25269-16-3, Isocembrene 25322-68-3D, conjugates with pyridoxylated Hb 28028-64-0, Germacrene 29063-28-3, Octanol 29350-73-0, Cadinene 31570-39-5, Cembrene-A 34701-53-6 35731-88-5, Isoincensole oxide 67921-02-2, Cembrenol 94325-73-2 94325-73-2D, compds. 122537-31-9, Oplopane 441771-56-8, Isoincensole 441771-57-9, Isoincensole acetate 441771-74-0, SKB 4
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT 141436-78-4, Protein kinase C
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitor, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT 52660-18-1, Casein kinase 1 366806-33-9, Casein kinase 2
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors (ICOS), pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT 144114-21-6, HIV-1 Protease
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors, pharmaceutical formulation further containing; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT 70-18-8, Glutathione, biological studies 9030-21-1, Purine nucleoside phosphorylase 9040-48-6, Gelatinase 79747-53-8, Protein tyrosine phosphatase 79955-99-0, Stromelysin 80449-02-1, Tyrosine kinase 106096-93-9, Basic fibroblast growth factor 120178-12-3, Telomerase 131384-38-8, Ras farnesyltransferase 140879-24-9, Proteasome 141256-52-2, Matrilysin 141907-41-7, Matrix metalloproteinase 375798-61-1, Phosphatase, phosphoprotein
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT 10102-43-9, Nitric oxide, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(modulators, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT 9002-61-3, Chorionic gonadotrophin

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(monoclonal antibody to human, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT 9068-38-6, Reverse transcriptase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(nonnucleoside inhibitors of, pharmaceutical formulation further containing; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT 1406-18-4, Vitamin E
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oil, as pharmaceutical carrier; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT 54-05-7, Chloroquine 54-42-2, Idoxuridine 60-54-8, Tetracycline 69-74-9, Cytarabine Hydrochloride 70-00-8, Trifluridine 80-08-0, Dapsone 90-34-6, Primaquine 100-33-4, Pentamidine 130-95-0, Quinine 443-48-1, Metronidazole 494-79-1, Melarsoprol 665-66-7, Amantadine Hydrochloride 1501-84-4, Rimantadine Hydrochloride 1910-68-5, Methisazone 3056-17-5, d4T 3736-81-0, Diloxanide furoate 5536-17-4, Vidarabine 7481-89-2, DdC 8064-90-2 9004-70-0, HE-2000 10500-82-0, Famotine Hydrochloride 10540-97-3, Memotine Hydrochloride 11006-77-2, Statolon 15176-29-1, Edoxudine 15185-43-0, DOTC 19387-91-8, Tinidazole 19885-51-9, Aranotin 22994-85-0, Benznidazole 23256-30-6, Nifurtimox 25526-93-6, Alovudine 27591-69-1, Tilorone Hydrochloride 27762-78-3, Kethoxal 29984-33-6, Vidarabine Phosphate 30516-87-1, AZT 35607-20-6, Avridine 36791-04-5, Ribavirin 36983-81-0, Fosfonet Sodium 37338-39-9 39809-25-1, Penciclovir 51867-87-9 53230-10-7, Mefloquine 56219-57-9, Arildone 59277-89-3, Acyclovir 63198-97-0, Viroxime 63585-09-1, Foscarnet Sodium 63968-64-9D, Artemisinin, derivs. 68693-30-1, Somantadine Hydrochloride 69123-90-6, Fiacitabine 69123-98-4, Fialuridine 69655-05-6, DdI 69657-51-8, Acyclovir Sodium 69756-53-2, Halofantrine 72301-78-1, Zinviroxime 72301-79-2, Enviroxime 73514-87-1, Fosarilate 77181-69-2, Sorivudine 80883-55-2, Enviradene 82410-32-0, Ganciclovir 84408-37-7, Desciclovir 85087-20-3, Doxycycline 87495-31-6, Disoxaril 95233-18-4, Atovaquone 100817-46-7, Stibogluconic acid 104227-87-4, Famciclovir 106362-32-7, Peptide T 106941-25-7, PMEA 107910-75-8, Ganciclovir Sodium 110042-95-0, Acemannan 110143-10-7, Lodenosine 113852-37-2, Cidofovir 124436-59-5, Pirodavir 124832-27-5, Valacyclovir Hydrochloride 127759-89-1, Lobucavir 127779-20-8, Saquinavir 129618-40-2, Nevirapine 132210-43-6, Cipamfylline 134678-17-4, 3TC 136470-78-5, Abacavir 136817-59-9, Delavirdine 137487-62-8, Alvircept Sudotox 138540-32-6, Atevirdine Mesylate 141204-94-6, Co-artemether 142340-99-6 142632-32-4, Calanolide A 143491-57-0, Coviracil 145514-04-1, DAPD 147127-20-6, Tenofovir 147221-93-0, Delavirdine Mesylate 147318-81-8, KNI-272 147362-57-0, Loviride 149845-06-7, Saquinavir Mesylate 149950-60-7, Emivirine 150378-17-9, Indinavir 153127-49-2, ALX40-4C 154598-52-4, DMP 266 155148-31-5, AMD 3100 155213-67-5, Ritonavir 156879-70-8 159519-65-0, Pentafuside 159989-64-7, Nelfinavir 163451-80-7 170020-61-8, FP-21399 174484-41-4, Tipranavir 177932-89-7, DMP-450 178979-85-6, AG 1549 185220-03-5, PNU142721 192725-17-0, ABT-378 214287-88-4, DPC961 216863-66-0, L-756423 251562-00-2, T-1249 383198-56-9, BW 141 383198-57-0, BMS-232630 383198-58-1, PRO 542
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical formulation further containing; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT 50-07-7, Mutamycin 50-18-0, Cyclophosphamide 50-28-2, Estradiol, biological studies 50-35-1, Thalidomide 50-76-0, Dactinomycin 50-91-9, Floxuridine 51-21-8, Fluorouracil 51-75-2, Mechlorethamine 52-24-4, Thiotepa 53-19-0, Mitotane 53-43-0, DHEA 53-79-2, Puromycin 54-71-7, Pilocarpine hydrochloride 54-91-1, Pipobroman 55-21-0D,

Benzamide, N-substituted compds. 55-86-7, Mechlorethamine Hydrochloride 55-86-7D, Nitrogen mustard, derivs. 55-98-1, Busulfan 56-53-1, Diethylstilbestrol 57-22-7, Vincristine 57-63-6, Ethinyl oestradiol 57-83-0, Progesterone, biological studies 58-05-9, Leucovorin 58-58-2, Puromycin Hydrochloride 59-05-2, Methotrexate 66-75-1, Uracil Mustard 83-89-6, Acriquine 101-60-0, Porphyrin 106-60-5, Aminolevulinic acid 114-70-5, Sodium phenylacetate 122-79-2, Phenylacetate 125-45-1, Azetepa 125-84-8, Aminoglutethimide 127-07-1, Hydroxyurea 143-67-9, Vinblastine Sulfate 145-63-1, Suramin 147-94-4, Cytarabine 148-82-3, Melphalan 154-42-7, Thioguanine 154-93-8, Carmustine 302-49-8, Uredepa 302-79-4, Tretinoin 305-03-3, Chlorambucil 320-67-2, Azacitidine 359-83-1, Pentazocine 364-62-5, Metoclopramide 366-70-1, Procarbazine Hydrochloride 378-44-9, Betamethasone 423-55-2, Perflubron 459-86-9, Mitoguazone 465-65-6, Naloxone 472-15-1, Betulinic acid 481-29-8, Epiandrosterone 518-28-5, Podophyllotoxin 520-85-4, Medroxyprogesterone 521-12-0, Dromostanolone Propionate 536-59-4, Perillyl alcohol 548-04-9, Hypericin 566-48-3, Formestane 569-57-3, Chlorotrianisene 578-95-0D, Acridone, imidazo derivs. 578-95-0D, Acridone, propylbis derivs. 595-33-5, Megestrol Acetate 645-05-6, Altretamine 646-08-2, β-Alethine 671-16-9, Procarbazine 801-52-5, Porfiromycin 865-21-4, Vinblastine 911-45-5, Clomifene 968-93-4, Testolactone 1271-19-8, Titanocene dichloride 1402-81-9, Ambomycin 1403-99-2, Mitogillin 1404-00-8, Mitomycin 1404-15-5, Nogalamycin 1404-20-2, Peliomycin 1404-64-4, Sparsomycin 1661-29-6, Meturedepa 1972-08-3, Dronabinol 1980-45-6, Benzodepa 2068-78-2, Vincristine Sulfate 2353-33-5, Decitabine 2508-89-6 2608-24-4, Piposulfan 2809-21-4D, Etidronic acid, rhenium-186 complexes 2919-66-6, Melengestrol acetate 2998-57-4, Estramustine 2998-57-4D, Estramustine, analogs 3073-59-4, Hexamethylene bisacetamide 3094-09-5, Doxifluridine 3562-63-8, Megestrol 3778-73-2, Ifosfamide 3930-19-6, Streptonigrin 4105-38-8 4291-63-8, Cladribine 4342-03-4, Dacarbazine 4342-07-8 4803-27-4, Anthramycin 5072-26-4, Buthionine sulfoximine 5373-42-2, Thaliblastine 5508-58-7, Andrographolide 5579-27-1, Simtrazene 5581-52-2, Thiamiprine 5696-17-3, Epipropidine 6157-87-5, Trestolone Acetate 7281-31-4, Vinglycinate Sulfate 7440-06-4D, Platinum, lipophilic compds. or complexes 7440-06-4D, Platinum, triamine complexes 7644-67-9, Azotomycin 7689-03-4D, Camptothecin, derivs. 7724-76-7, Riboprine 7761-45-7, Metoprine 8052-16-2, Cactinomycin 9002-71-5, Thyroid-stimulating hormone 9014-02-2, Zinostatin 9014-42-0, Thrombopoietin 9014-42-0D, Thrombopoietin, mimetics 9015-68-3, Asparaginase 9027-98-9 9041-93-4, Bleomycin Sulfate 9050-67-3, Sizofiran 10043-49-9, Gold-198, biological studies 10087-89-5, Enpromate 10318-26-0, Mitolactol 10403-51-7, Mitindomide 10540-29-1, Tamoxifen 11002-22-5, Apurinic acid 11029-06-4, Elemene 11043-98-4, Mitocromin 11043-99-5, Mitomalcin 11056-06-7, Bleomycin 11056-12-5, Cirolemycin 11056-14-7, Mitocarcin 11056-15-8, Mitosper 12713-07-4D, Verdin, compds. 13010-47-4, Lomustine 13311-84-7, Flutamide 13494-90-1, Gallium nitrate 13665-88-8, Mopidamol 13909-09-6, Semustine 14769-73-4, Levamisole 15475-56-6, Methotrexate Sodium 15639-50-6, Safingol 15663-27-1, Cisplatin 17021-26-0, Calusterone 17902-23-7, Tegafur 18378-89-7, Plicamycin 18416-85-8, Lombricine 18556-44-0, Vinrosidine Sulfate 18588-57-3, Etoprine 18883-66-4, Streptozocin 19916-73-5, O6-Benzylguanine 20098-14-0, Idramantone 20537-88-6, Amifostine 20638-84-0, Retinamide 20830-81-3, Daunorubicin 21059-48-3, Veramine 21679-14-1, Fludarabine 22668-01-5, Etanidazole 23214-92-8, Doxorubicin 23541-50-6, Daunorubicin Hydrochloride 23593-75-1, Clotrimazole 24280-93-1, Mycophenolic Acid 24584-09-6, Dexrazoxane 25316-40-9, Adriamycin 27302-90-5, Oxisuran 27314-97-2, Tirapazamine 27548-93-2D, Baccatin III, derivs. 27686-84-6, Masoprocol 29069-24-7, Prednimustine 29767-20-2, Teniposide 30303-65-2, Docosanol 30387-51-0, Asperlin 30868-30-5, Pyrazofurin 31430-18-9, Nocodazole 31441-78-8, Mercaptopurine 32954-58-8, Ipomeanol 33069-62-4, Paclitaxel

33069-62-4D, Paclitaxel, analogs and derivs. 33419-42-0, Etoposide 35301-24-7, Cedefingol 35846-53-8, Maytansine 35943-35-2, Triciribine 36508-71-1, Zorubicin Hydrochloride 37717-21-8, Flurocitabine 38270-90-5, Strontium Chloride Sr 89 38321-02-7, Dexverapamil 39325-01-4, Picibanil 40391-99-9, Pamidronic acid 41575-94-4, Carboplatin 41729-52-6, Dezaguanine 41992-22-7, Spirogermanium Hydrochloride 42228-92-2, Acivicin 42616-25-1, Methioninase 50264-69-2, Lonidamine 51264-14-3, Amsacrine 51321-79-0, Sparfosic acid 52128-35-5, Trimetrexate 52205-73-9, Estramustine Phosphate Sodium 52794-97-5, Carubicin Hydrochloride 53643-48-4, Vindesine 53714-56-0, Leuprolide 53910-25-1, Pentostatin 54081-68-4, Vinleurosine Sulfate 54824-17-8, Mitonafide 55435-65-9, Acodazole Hydrochloride 56390-09-1, Epirubicin Hydrochloride 56420-45-2, Epirubicin 56605-16-4, Spiromustine 56741-95-8, Bropirimine 57381-26-7, Irsogladine 57576-44-0, Aclarubicin 57773-63-4, Triptorelin 57773-65-6, Deslorelin 57852-57-0, Idamycin 57998-68-2, Diaziquone 58066-85-6, Miltefosine 58525-82-9, Azatyrosine 58957-92-9, Idarubicin 58970-76-6, Ubenimex 59653-73-5, Teroxirone 59917-39-4, Vindesine Sulfate 59989-18-3, 5-Ethynyluracil 60084-10-8, Tiazofurin 60203-57-8, Prostaglandin J2 60940-34-3, Ebselen 61825-94-3, Oxaliplatin 61966-08-3, Triciribine Phosphate 62304-98-7, Thymalfasin 62435-42-1, Perfosfamide 62488-57-7 62816-98-2, Ormaplatin 62928-11-4, Iproplatin 63590-19-2, Balanol 63612-50-0, Nilutamide 63950-06-1, Esorubicin Hydrochloride 65057-90-1, Talisomycin
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT 65093-40-5, Cytarabine ocfosfate 65222-35-7, Pazelliptine 65271-80-9, Mitoxantrone 65646-68-6, Fenretinide 65807-02-5, Goserelin 65886-71-7, Fazarabine 66569-27-5, Sparfosate Sodium 66849-34-1, Dexifosfamide 67699-41-6, Vinzolidine Sulfate 68278-23-9, Eflornithine Hydrochloride 68475-42-3, Anagrelide 69839-83-4, Didox 70052-12-9, Eflornithine 70384-29-1, Peplomycin Sulfate 70476-82-3, Mitoxantrone Hydrochloride 70641-51-9, Edelfosine 70711-40-9, Ametantrone Acetate 71294-60-5, Rohitukine 71439-68-4, Bisantrene Hydrochloride 71486-22-1, Vinorelbine 71522-58-2, Forfenimex 71628-96-1, Menogaril 72238-02-9D, Retelliptine, demethyl derivs. 72496-41-4, Pirarubicin 72629-69-7, Sarcophytol A 72732-56-0, Piritrexim 72741-87-8, Swainsonine 73105-03-0, Pentamustine 74149-70-5, Parabactin 74381-53-6, Leuprolide Acetate 74790-08-2, Spiroplatin 75219-46-4, Atrimustine 75330-75-5, Lovastatin 75607-67-9, Fludarabine Phosphate 75775-33-6D, Purpurin, compds. 75957-60-7, Splenopentin 76932-56-4, Nafarelin 77016-85-4, Plomestane 77327-05-0, Didemnin B 77599-17-8, Panomifene 77858-21-0, Velaresol 78113-36-7, Romurtide 78186-34-2, Bisantrene 79778-41-9, Neridronic acid 79831-76-8, Castanospermine 80451-05-4, Cecropin B 80576-83-6, Edatrexate 80663-95-2 80841-47-0, Asulacrine 81424-67-1, Caracemide 81965-43-7, SarCNU 82230-03-3, Carbetimer 82413-20-5, Droloxifene 82707-54-8, Neutral endopeptidase 82855-09-2D, Combretastatin, analogs 82952-64-5, Trimetrexate Glucuronate 83086-73-1, Tubulozole Hydrochloride 83150-76-9, Octreotide 83200-11-7, Vinepidine Sulfate 83519-04-4, Ilmofosine 83997-75-5, Iododoxorubicin 84030-84-2, Telluropyrylium 84088-42-6, Roquinimex 84371-65-3, Mifepristone 84412-94-2, Ruboxyl 85465-82-3, Thymotrinan 85622-93-1, Temozolomide 85754-59-2, Ambamustine 85969-07-9, Budotitane 85977-49-7, Tauromustine 86976-56-9, Betaclamycins 87005-03-6, Panaxytriol 87434-82-0, Dezaguanine Mesylate 87806-31-3, Porfimer Sodium 87810-56-8, Fostriecin 87860-39-7, Fostriecin Sodium 88303-60-0, Losoxantrone 88303-61-1, Losoxantrone Hydrochloride 89565-68-4, Tropisetron 89778-26-7, Toremifene 89778-27-8, Toremifene Citrate 90357-06-5, Bicalutamide 90996-54-6, Rhizoxin 92047-76-2, Tetrachlorodecaoxide 92118-27-9, Fotemustine

92788-10-8, Rogletimide 92803-82-2, Aphidicolin glycinate 94079-80-8, Cicaprost 95058-81-4, Gemcitabine 95734-82-0, Nedaplatin 95933-72-5, Amidox 96201-88-6, Brequinar Sodium 96301-34-7, Atamestane 96346-61-1, Onapristone 96389-68-3, Crisnatol 96389-69-4, Crisnatol Mesylate 96392-96-0, Dexormaplatin 96892-57-8, Hepsulfam 97068-30-9, Elsamitrucin 97534-21-9, Merbarone 97682-44-5, Irinotecan 97752-20-0, Droloxifene Citrate 97919-22-7 98319-26-7, Finasteride 98383-18-7, Ecomustine 98631-95-9, Sobuzoxane 99009-20-8, Pyrazoloacridine 99011-02-6, Imiquimod 99283-10-0, Molgramostim 99614-02-5, Ondansetron 100286-90-6, Irinotecan Hydrochloride 100324-81-0, Lisofylline 102396-24-7, Jasplakinolide 102676-31-3, Fadrozole Hydrochloride 102676-47-1, Fadrozole 102822-56-0, Mannostatin A 103222-11-3, Vapreotide 103612-80-2 104493-13-2, Adecypenol 105118-12-5, Piroxantrone Hydrochloride 105149-04-0, Osaterone 105615-58-5, Oxaunomycin 105844-41-5, Plasminogen activator inhibitor 106096-93-9D, Basic Fibroblast growth factor, saporin conjugates 106400-81-1, Lometrexol 107000-34-0, Zanoterone 107256-99-5, Tamoxifen methiodide 107868-30-4, Exemestane 108736-35-2, Lanreotide 108852-90-0, Nemorubicin 109837-67-4, Cycloplatam 110267-81-7, Amrubicin 110311-27-8, Sulofenur 110314-48-2, Adozelesin 110690-43-2, Emitefur 110942-02-4, Aldesleukin 110942-08-0, Luprolide 111490-36-9, Zeniplatin 111523-41-2, Enloplatin 112515-43-2, Topsentin 112522-64-2, Acetyldinaline 112809-51-5, Letrozole 112859-71-9, Fluasterone 112887-68-0, Raltitrexed 112965-21-6, Calcipotriol 114084-78-5, Ibandronic acid 114285-68-6, Lentinan sulfate 114517-02-1, Fosquidone 114977-28-5, Taxotere 115150-59-9, Antagonist G **115308-98-0**, Tallimustine 115566-02-4, Bistratene A 115575-11-6, Liarozole 115956-12-2, Dolasetron 116057-75-1, Idoxifene 117048-59-6, Combretastatin A4 117091-64-2, Etoposide Phosphate 118292-40-3, Tazarotene 119169-78-7, Epristeride 119413-54-6, Topotecan Hydrochloride 119813-10-4, Carzelesin 120287-85-6, Cetrorelix 120408-07-3, Lometrexol Sodium 120500-15-4, Leinamycin 120511-73-1, Anastrozole 120685-11-2, Benzoylstaurosporine 121181-53-1, Filgrastim 121263-19-2, Calphostin C 121288-39-9, Loxoribine 121547-04-4, Mirimostim 122111-03-9, Gemcitabine Hydrochloride 122341-38-2, Temoporfin 122431-96-3 122898-63-9, Phenazinomycin 123040-69-7, Azasetron 123258-84-4, Itasetron 123760-07-6, Zinostatin stimalamer 123774-72-1, Sargramostim 123830-79-5, Teloxantrone Hydrochloride 123948-87-8, Topotecan 124012-42-6, Galocitabine 124689-65-2D, Cryptophycin A, derivs. 124784-31-2, Erbulozole 124904-93-4, Ganirelix 125317-39-7, Vinorelbine Tartrate 125392-76-9, Acylfulvene 125533-88-2, Mofarotene 126297-39-0, Lissoclinamide 7 126443-96-7, Napavin 127984-74-1, Lanreotide Acetate 128505-88-4, Naphterpin 128768-09-2, Placetin A 128768-11-6, Placetin B 129497-78-5, Verteporfin 129564-92-7, Azatoxin 129655-21-6, Bizelesin 129731-10-8, Vorozole 130167-69-0, Pegaspargase 130288-24-3, Duocarmycin SA 130364-39-5, Rubiginone B1 130370-60-4, Batimastat 131190-63-1, Saintopin 132036-88-5, Ramosetron 132073-72-4, Tetrazomine 133432-71-0, Peldesine 134088-74-7, Nartograstim 134381-30-9, Conagenin 134523-84-5 134633-29-7, Tecogalan Sodium 134861-62-4, Dioxamycin 135257-45-3, Crambescidin 816 135381-77-0, Flezelastine 135383-02-7, Stipiamide 135558-11-1, Lobaplatin 135819-69-1 135968-09-1, Lenograstim 137018-54-3, Okicenone 137099-09-3, Turosteride 137219-37-5, Dehydrodidemnin B 137647-92-8, Axinastatin 1 137964-32-0 139755-79-6, Safingol Hydrochloride 140207-93-8, Pentosan polysulfate sodium 140703-49-7, Meterelin 142880-36-2, Ilomastat 144885-51-8, Sodium borocaptate 144916-42-7, Sonermin 145124-30-7, Bisnafide dimesylate 145858-50-0, Liarozole Hydrochloride 146426-40-6, Flavopiridol 148317-76-4, Oracin 148584-53-6 148717-58-2, Palauamine 148717-90-2, Squalamine 149204-42-2, Kahalalide F 149260-80-0, Mycaperoxide B 149355-77-1, Lamellarin-N triacetate

RL: **PAC (Pharmacological activity)**; **THU (Therapeutic**

use); BIOL (Biological study); **USES (Uses)**
(pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT 149633-91-0, Leptolstatin 149715-96-8, Spongistatin 1 149882-10-0, Lurtotecan 150829-93-9, Nisamycin 151272-78-5, Antarelix 152923-56-3, Dacliximab 153723-34-3, Axinastatin 2 153723-35-4, Axinastatin 3 154039-60-8, Marimastat 154229-19-3, Abiraterone 154248-96-1, Iroplact 154277-21-1, Cypemycin 154361-50-9, Capecitabine 155233-30-0, Curacin A 156586-89-9, Edrecolomab 156790-85-1, Variolin B 156856-30-3, Cytostatin 157078-48-3, Isohomohalichondrin B 157857-21-1, Maspin 158792-24-6, Collismycin A 158792-25-7, Collismycin B 168482-36-8, Cryptophycin 8 172793-30-5 173046-02-1, Thiocoraline 174305-65-8, Breflate 181887-82-1, Nitrullin 188364-40-1, CARN 700 200139-38-4, Suradista 212894-59-2, Pentrozole 246252-04-0, Lutetium texaphyrin 246252-06-2, Gadolinium texaphyrin 284041-10-7 324740-00-3, Vitaxin 441070-87-7, 1,2,3-Triazolecarboxamide 441070-88-8 441070-92-4 441772-39-0, Isobengazole 441772-43-6, Nagrestip 441772-66-3, Vinxaltine 441772-81-2, Sulfmosine 441774-07-8, Spicamycin D 441774-77-2, Solverol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT 60529-76-2, Thymopoietin
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(receptor agonists, pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

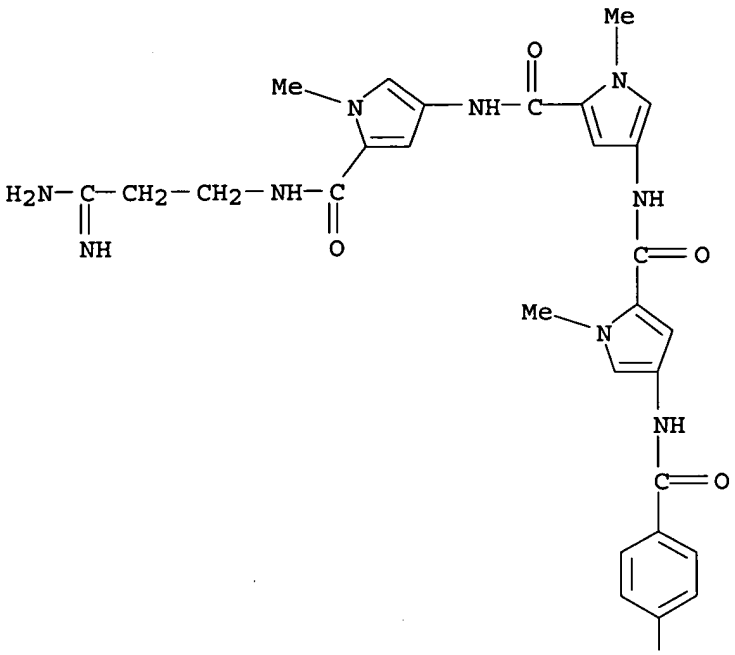
IT 79217-60-0, Cyclosporin
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(treatment of immunodysregulation condition caused by treatment with; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

IT 50-07-7, Mitomycin C 1397-89-3, Amphotericin B
RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of immunodysregulation condition caused by treatment with; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

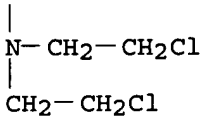
IT **115308-98-0**, Tallimustine
RL: **PAC (Pharmacological activity)**; **THU (Therapeutic use)**; BIOL (Biological study); **USES (Uses)**
(pharmaceutical formulation further including; incensole and furanogermacrens and compds. as antitumor and antimicrobial agents)

RN 115308-98-0 HCAPLUS
CN 1H-Pyrrole-2-carboxamide, N-[5-[[(3-amino-3-iminopropyl)amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-4-[[[4-[[4-[bis(2-chloroethyl)amino]benzoyl]amino]-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L67 ANSWER 16 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:185277 HCAPLUS
DN 136:242899
ED Entered STN: 15 Mar 2002
TI Phage display libraries and methods for identifying targeting peptides in humans in vivo
IN Arap, Wadih; Pasqualini, Renata
PA Board of Regents, the University of Texas System, USA
SO PCT Int. Appl., 269 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C12N
CC 3-1 (Biochemical Genetics)
Section cross-reference(s): 9, 13, 63
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002020723	A2	20020314	WO 2001-US28044	20010907
	WO 2002020723	A3	20020829		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,

PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2001090662 A5 20020322 AU 2001-90662 20010907
EP 1315830 A2 20030604 EP 2001-970681 20010907
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WO 2004020999 A1 20040311 WO 2002-US34987 20021030
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TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
NE, SN, TD, TG

PRAI US 2000-231266P P 20000908
US 2001-765101 A 20010117
US 2001-97651 A 20010117
WO 2001-US28044 W 20010907
WO 2002-US27836 A 20020830

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2002020723	ICM	C12N

AB The present invention concerns methods and compns. for identifying human targeting peptides sequences. The methods used for phage display biopanning in the mouse model system require substantial improvements for use with humans. In general, humans suitable for use with phage display are either brain dead or terminal wean patients. The amount of phage library (preferably primary library) required for administration must be significantly increased, preferably 5 orders of magnitude to 1014 TU or higher, preferably administered i.v. in .apprx.200 mL of Ringer lactate solution over about a 10-min period. To produce such large phage libraries, the transformed bacterial pellets recovered from up to 500-1000 transformations are amplified up to 10 times in the bacterial host, recovering the phage from each round of amplification and adding LB Tet medium to the bacterial pellet for collection of addnl. phage. Samples of various organs and tissues are collected starting .apprx.15 min after injection of the phage library; samples are processed and phage collected from each organ, tissue or cell type of interest for DNA sequencing to determine the amino acid sequences of targeting peptides. A substantial improvement in the biopanning technique involves polyorgan targeting. It is possible to pool phage collected from multiple organs after a first round of biopanning and inject the pooled sample into a new subject, where each of the multiple organs may be collected for phage rescue, and the protocol repeated for as many rounds of biopanning as desired. In this manner, it is possible to significantly reduce the number of subjects required for isolation of targeting peptides for multiple organs, while still achieving substantial enrichment of the organ-homing phage. Thus, 320 targeting peptides are identified with specificity for bone marrow, adipose tissue, skeletal muscle, prostate, skin, or multiple organs. The peptides are of use for targeted delivery of therapeutic agents, including gene therapy vectors. Such targeted delivery may be used for detection, diagnosis or treatment of human diseases. In certain embodiments, the peptide may be attached to an imaging agent and administered to a human to obtain an image or to diagnose a disease state. Also disclosed are a large number of targeting peptide sequences and consensus motifs that are

selective for human organs or tissues, obtained by the methods of the present invention.

ST targeting peptide identification phage display library human; biopanning targeting peptide phage display library human

IT Apoptosis
(agents conjugated with targeting peptides; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT Hormones, animal, biological studies
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antagonists, conjugated with targeting peptides; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT Angiogenesis inhibitors
Antibiotics
BAC (bacterial artificial chromosome)
Bacteriophage
Chemotherapy
Cosmids
Cytotoxic agents
Drugs
Eubacteria
Genetic vectors
Imaging agents
Magnetic particles
Microparticles
Plasmid vectors
Viral vectors
Virus
YAC (yeast artificial chromosome)
Yeast
(conjugated with targeting peptides; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT Radionuclides, biological studies
RL: ARG (Analytical reagent use); DGN (Diagnostic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(conjugated with targeting peptides; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT Fusion proteins (chimeric proteins)
RL: BUU (Biological use, unclassified); DGN (Diagnostic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(conjugated with targeting peptides; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT Antibodies and Immunoglobulins
Antigens
Cytokines
Fibronectins
Growth factors, animal
Hormones, animal, biological studies
Interferons
Interleukin 1
Interleukin 10
Interleukin 11
Interleukin 12
Interleukin 18
Interleukin 2
Interleukin 5
Laminins
Proteins
Thrombospondins
Tumor necrosis factors
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(conjugated with targeting peptides; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT **Antibacterial agents**
Antiviral agents
Cardiovascular agents
(conjugates with targeting peptides; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT Disease, animal
(degenerative, therapeutic agents, conjugates with targeting peptides; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT Nucleic acid amplification (method)
(for phage inserts; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT Antibodies and Immunoglobulins
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fragments, conjugated with targeting peptides; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT Signal transduction, biological
(inhibitor, conjugated with targeting peptides; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT Chemokines
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(interferon γ-inducible protein-10, conjugated with targeting peptides; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT Drug delivery systems
(liposomes, conjugated with targeting peptides; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT Animal cell
(mammalian, conjugated with targeting peptides; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT Diagnosis
(mol.; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT Statistical analysis
(of tripeptide motif frequencies; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT Gene therapy
Human
Mus
Panning
Peptide library
Phage display library
(phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT Amines, biological studies
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polyamines, nonpolymeric, conjugated with targeting peptides; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT Tripeptides
RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(statistical of tripeptide motif frequencies; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT Adipose tissue
Animal cell
Animal tissue
Bone marrow

Kidney
Muscle
Organ, animal
Prostate gland
Skin
(targeting peptides specific for; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT Peptides, biological studies
RL: BPN (Biosynthetic preparation); BUU (Biological use, unclassified); DGN (Diagnostic use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(targeting; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT Imaging
(with agents conjugated with targeting peptides; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT Interferons
Macrophage inflammatory protein 2
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(α, conjugated with targeting peptides; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT Interferons
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(β, conjugated with targeting peptides; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT Interferons
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(γ, conjugated with targeting peptides; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT 86090-08-6, Angiostatin
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(5, conjugated with targeting peptides; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT 184240-25-3 184240-26-4 184240-32-2 286380-02-7
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anti-apoptotic agent; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT 50-35-1, Thalidomide 57-22-7, Vincristine 362-07-2, 2-Methoxyestradiol 446-72-0, Genistein 1405-97-6, Gramicidin 1407-47-2, Angiotensin 6493-05-6, Pentoxifylline 9002-62-4, Prolactin, biological studies 10118-90-8, Minocycline 11056-06-7, Bleomycin 33069-62-4, Paclitaxel 37231-28-0, Melittin 37270-94-3, Platelet factor 4 70563-58-5, Herbimycin A 80802-79-5, Cecropin 83869-56-1, GM-CSF 84088-42-6, Linomide 86102-31-0, Tissue inhibitor of metalloproteinase 98724-27-7, Proliferin-related protein 103220-14-0, Defensin 105844-41-5, Plasminogen activator inhibitor 113041-69-3, Magainin 113852-37-2, Cidofovir 114977-28-5, Docetaxel 129298-91-5, AGM-1470 140207-93-8, Pentosan sulfate 154039-60-8, Marimastat **154788-16-6**, PNU145156E 187888-07-9, Endostatin 188417-67-6, CM101 194368-66-6, Angiopoietin 2 197980-93-1, Pigment epithelium-derived factor 204005-46-9, SU5416 219133-22-9, Accutin 252916-29-3, SU6668
RL: **BUU (Biological use, unclassified)**; **THU (Therapeutic use)**; BIOL (Biological study); **USES (Uses)**
(conjugated with targeting peptides; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT 9031-44-1, Kinase 140879-24-9, Proteasome
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(inhibitor, conjugated with targeting peptides; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT 17585-50-1P 20274-77-5P 58872-46-1P 126869-50-9P 135756-57-9P
146790-81-0P 403700-69-6P 403700-70-9P 403700-71-0P 403700-72-1P
403700-73-2P 403700-74-3P 403700-75-4P 403700-76-5P 403700-77-6P
403700-78-7P 403700-79-8P 403700-80-1P 403700-81-2P 403700-82-3P
403700-83-4P 403700-84-5P 403700-85-6P 403700-86-7P 403700-87-8P
403700-88-9P 403703-44-6P 403703-45-7P 403703-46-8P
RL: BPN (Biosynthetic preparation); BUU (Biological use, unclassified); DGN (Diagnostic use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(targeting peptide for human adipose tissue; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT 556-33-2P 17343-02-1P 17608-53-6P 403701-54-2P 403701-55-3P
403701-56-4P 403701-57-5P 403701-58-6P 403701-59-7P 403701-60-0P
403701-61-1P 403701-62-2P 403701-63-3P 403701-64-4P 403701-65-5P
403701-66-6P 403701-67-7P 403701-68-8P 403701-69-9P 403701-70-2P
403703-49-1P 403703-50-4P 403703-51-5P
RL: BPN (Biosynthetic preparation); BUU (Biological use, unclassified); DGN (Diagnostic use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(targeting peptide for human bone marrow; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT 10329-75-6P 20274-91-3P 403701-71-3P 403701-72-4P 403701-73-5P
403701-74-6P 403701-75-7P 403701-76-8P 403701-77-9P 403701-78-0P
403701-79-1P 403701-80-4P 403701-83-7P 403701-84-8P 403701-87-1P
403701-91-7P 403701-92-8P 403701-96-2P 403702-00-1P 403702-05-6P
403702-07-8P 403702-09-0P 403702-11-4P 403702-12-5P 403702-14-7P
403702-15-8P 403702-16-9P 403703-48-0P
RL: BPN (Biosynthetic preparation); BUU (Biological use, unclassified); DGN (Diagnostic use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(targeting peptide for human prostate; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT 76046-40-7P 169381-01-5P 175176-61-1P 403700-49-2P 403700-89-0P
403700-90-3P 403700-91-4P 403700-92-5P 403700-93-6P 403700-94-7P
403700-95-8P 403700-96-9P 403700-97-0P 403700-98-1P 403700-99-2P
403701-00-8P 403701-01-9P 403701-02-0P 403701-03-1P 403701-04-2P
403701-05-3P 403701-06-4P 403703-47-9P
RL: BPN (Biosynthetic preparation); BUU (Biological use, unclassified); DGN (Diagnostic use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(targeting peptide for human skeletal muscle; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT 20762-31-6P 54944-27-3P 55488-08-9P 61257-72-5P 178440-08-9P
220378-50-7P 403701-07-5P 403701-08-6P 403701-09-7P 403701-10-0P
403701-11-1P 403701-12-2P 403701-13-3P 403701-14-4P 403701-15-5P
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403701-21-3P 403701-22-4P 403701-23-5P 403701-24-6P 403701-25-7P
403701-26-8P 403701-27-9P 403701-28-0P 403701-29-1P 403701-30-4P
403701-31-5P 403701-32-6P 403701-33-7P 403701-34-8P 403701-35-9P
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403701-46-2P 403701-47-3P 403701-48-4P 403701-49-5P 403701-50-8P
403701-51-9P 403701-52-0P
RL: BPN (Biosynthetic preparation); BUU (Biological use, unclassified); DGN (Diagnostic use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(targeting peptide for human skin; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT 4464-36-2P 6511-06-4P 21835-35-8P 32448-44-5P 57444-67-4P
115269-07-3P 117057-97-3P 205109-80-4P 248258-60-8P 298184-94-8P
403704-07-4P 403704-08-5P 403704-09-6P 403704-10-9P 403704-11-0P

403704-12-1P 403704-13-2P
RL: BPN (Biosynthetic preparation); BUU (Biological use, unclassified); DGN (Diagnostic use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(targeting peptide for mouse kidney; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT 5513-86-0P 19729-30-7P 23576-41-2P 30806-10-1P 32557-24-7P
70253-71-3P 82793-79-1P 84793-06-6P 85807-00-7P 85807-17-6P
91351-66-5P 108885-48-9P 110900-43-1P 114148-87-7P 116611-67-7P
140941-09-9P 152880-65-4P 163918-03-4P 169380-98-7P 175177-63-6P
364330-98-3P 403703-52-6P 403703-53-7P 403703-54-8P 403703-55-9P
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403703-86-6P 403703-87-7P 403703-88-8P 403703-89-9P 403703-90-2P
403703-91-3P 403703-92-4P 403703-93-5P 403703-94-6P 403703-95-7P
403703-96-8P 403703-97-9P 403703-98-0P 403703-99-1P 403704-00-7P
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403704-06-3P
RL: BPN (Biosynthetic preparation); BUU (Biological use, unclassified); DGN (Diagnostic use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(targeting peptide for mouse skeletal muscle; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT 403702-18-1P 403702-19-2P 403702-20-5P 403702-21-6P 403702-22-7P
403702-23-8P 403702-24-9P 403702-25-0P 403702-26-1P 403702-27-2P
403702-28-3P 403702-29-4P 403702-30-7P 403702-31-8P 403702-32-9P
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403702-48-7P 403702-49-8P 403702-50-1P 403702-51-2P 403702-52-3P
403702-53-4P 403702-54-5P 403702-55-6P 403702-56-7P 403702-57-8P
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403702-73-8P 403702-74-9P 403702-75-0P 403702-76-1P 403702-77-2P
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403702-83-0P 403702-84-1P 403702-85-2P 403702-86-3P 403702-87-4P
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403702-93-2P 403702-94-3P 403702-95-4P 403702-96-5P 403702-97-6P
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403703-40-2P 403703-41-3P 403703-42-4P 403703-43-5P
RL: BPN (Biosynthetic preparation); BUU (Biological use, unclassified); DGN (Diagnostic use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(targeting peptide for multiple organs; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT 3146-40-5P 6706-20-3P 7451-76-5P 10329-76-7P 23576-42-3P
23828-14-0P 85806-81-1P 91307-65-2P 95599-35-2P 97812-05-0P
105425-96-5P 107889-26-9P 110767-13-0P 132244-98-5P 146790-65-0P
175177-22-7P 248258-18-6P 344592-11-6P 403700-50-5P 403700-51-6P
403700-52-7P 403700-53-8P 403700-54-9P 403700-55-0P 403700-56-1P
403700-57-2P 403700-58-3P 403700-59-4P 403700-60-7P 403700-61-8P

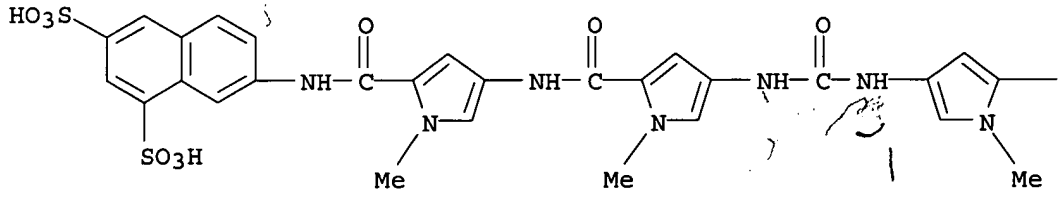
403700-62-9P 403700-63-0P 403700-64-1P 403700-65-2P 403700-66-3P
403700-67-4P 403700-68-5P 403701-53-1P
RL: BPN (Biosynthetic preparation); BUU (Biological use, unclassified); DGN (Diagnostic use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(targeting peptide; phage display libraries and methods for identifying targeting peptides in humans in vivo)

IT **154788-16-6**, PNU145156E
RL: **BUU (Biological use, unclassified)**; **THU (Therapeutic use)**; BIOL (Biological study); **USES (Uses)**
(conjugated with targeting peptides; phage display libraries and methods for identifying targeting peptides in humans in vivo)

RN 154788-16-6 HCAPLUS

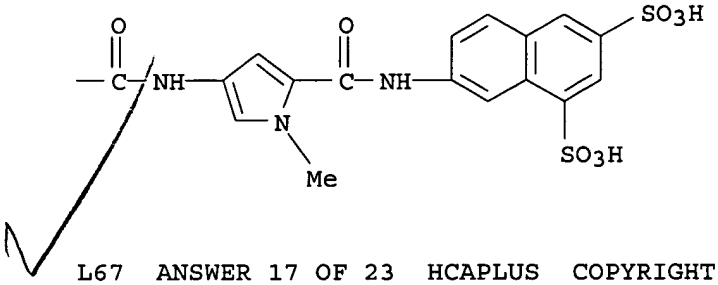
CN 1,3-Naphthalenedisulfonic acid, 7,7'-[carbonylbis[imino(1-methyl-1H-pyrrole-4,2-diyl)carbonylimino(1-methyl-1H-pyrrole-4,2-diyl)carbonylimino]]bis-, tetrasodium salt (9CI) (CA INDEX NAME)

PAGE 1-A



●4 Na

PAGE 1-B



L67 ANSWER 17 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:747848 HCAPLUS
DN 135:298753
ED Entered STN: 12 Oct 2001
TI Charged compounds having a nucleic acid-binding moiety, their preparation, and their use as antiinfective agents
IN Ge, Yigong; Taylor, Matthew J.; Baird, Eldon E.; Moser, Heinz E.; Burli, Roland W.
PA Genesoft, Inc., USA
SO PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07K014-47
CC 1-5 (**Pharmacology**)
Section cross-reference(s): 28, **63**

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI WO 2001074898 A2 20011011 WO 2001-US8252 20010314
WO 2001074898 A3 20030116
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 2002065227 A1 20020530 US 2001-808729 20010314
US 6555693 B2 20030429
JP 2003529609 T2 20031007 JP 2001-572587 20010314
EP 1265921 A1 20021218 EP 2001-954573 20010316
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
US 2003211508 A1 20031113 US 2002-278870 20021022
PRAI US 2000-189930P P 20000316
US 2001-808729 A3 20010314
WO 2001-US8252 W 20010314

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2001074898	ICM	C07K014-47
US 2002065227	ECLA	C07K014/47

OS MARPAT 135:298753

AB Charged compds. are provided that have one or more regions of localized pos. charge, as are compns. comprising such compds., methods of synthesizing such compds., methods of screening such compds. to identify those having anti-infective activity, and methods of using such compds. to prevent or inhibit infections. These compds., and compns. containing them, have multiple applications, including use in human and animal medicine and in agriculture.

ST charged nucleic acid binding compd prepn antiinfective

IT Intercalation
(agents; charged compds. with nucleic acid-binding moiety, preparation, and use as antiinfective agents)

IT Amino acids, biological studies
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(basic, reaction products; charged compds. with nucleic acid-binding moiety, preparation, and use as antiinfective agents)

IT Acinetobacter
Alcaligenes
Anti-infective agents
Antibacterial agents
Aspergillus
Aspergillus niger
Bacillus (bacterium genus)
Bacillus anthracis
Bacillus cereus
Bacillus subtilis
Bacteroides
Campylobacter
Candida
Candida albicans
Candida parapsilosis
Candida tropicalis
Chlamydia
Citrobacter
Clostridium

Clostridium perfringens
Corynebacterium
Cryptococcus (fungus)
Cryptococcus neoformans
Drug delivery systems
Drug resistance
Enterobacter
Enterococcus
Enterococcus faecalis
Enterococcus faecium
Escherichia
Escherichia coli
Filamentous fungi
Fungicides
Fusarium
Fusarium solani
Gram-negative bacteria
Gram-positive bacteria (Firmicutes)
Haemophilus
Haemophilus influenzae
Helicobacter
Listeria
Listeria monocytogenes
Micrococcus
Micrococcus luteus
Multidrug resistance
Mycobacterium
Mycoplasma
Neisseria
Paecilomyces
Paecilomyces variotii
Pathogen
Peptoniphilus asaccharolyticus
Peptostreptococcus
Prevotella
Propionibacterium
Propionibacterium acnes
Proteus (bacterium)
Pseudomonas
Pseudomonas aeruginosa
Saccharomyces
Saccharomyces cerevisiae
Salmonella
Shigella
Staphylococcus
Staphylococcus aureus
Staphylococcus epidermidis
Streptococcus
Streptococcus pneumoniae
Streptococcus pyogenes
Trichophyton
Trichophyton tonsurans
Vibrio
Yeast
(charged compds. with nucleic acid-binding moiety, preparation, and use as antiinfective agents)

IT DNA
Nucleic acids
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(charged compds. with nucleic acid-binding moiety, preparation, and use as antiinfective agents)

IT Aerobic bacteria

(gram-positive; charged compds. with nucleic acid-binding moiety, preparation, and use as antiinfective agents)

IT Amino acids, biological studies
Peptides, biological studies
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(reaction products; charged compds. with nucleic acid-binding moiety, preparation, and use as antiinfective agents)

IT Polyenes
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(resistance to; charged compds. with nucleic acid-binding moiety, preparation, and use as antiinfective agents)

IT **365211-05-8P 365211-08-1P 365211-09-2P**
365211-10-5P
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); **USES (Uses)**
(charged compds. with nucleic acid-binding moiety, preparation, and use as antiinfective agents)

IT 56-87-1D, L-Lysine, reaction products, biological studies 71-00-1D, L-Histidine, reaction products, biological studies 73-53-0D, NSC 57153, reaction products 74-79-3D, L-Arginine, reaction products, biological studies 100-33-4D, Pentamidine, reaction products 122-06-5D, Stilbamidine, reaction products 598-41-4D, reaction products 908-54-3D, Berenil, reaction products 1404-00-8D, Mitomycin, reaction products 1438-30-8D, Netropsin, reaction products 3251-08-9D, reaction products 4726-85-6D, reaction products 4803-27-4D, Anthramycin, reaction products 13023-70-6D, reaction products 16758-33-1D, NSC 101327, reaction products 17785-94-3D, SN 6136, reaction products 20830-81-3D, Daunorubicin, reaction products 23214-92-8D, Doxorubicin, reaction products 23491-45-4D, Hoechst 33258, reaction products 39389-47-4D, Distamycin, reaction products 44642-76-4D, reaction products 54327-10-5D, Methyl green, reaction products 60172-10-3D, SN 16814, reaction products 68772-09-8D, SN 6999, reaction products 68772-49-6D, SN 18071, reaction products 69866-21-3D, CC-1065, reaction products 113440-58-7D, Calicheamicin, reaction products 365211-00-3
365211-01-4 365211-02-5 **365211-03-6**
365211-04-7 365211-06-9 365211-07-0
365211-11-6 365211-12-7 365211-13-8
365211-16-1 **365211-17-2** 365211-18-3 **365211-19-4**
365211-20-7 365211-21-8 365211-22-9
365211-23-0 365211-24-1 365211-25-2
365211-26-3 365211-27-4 365211-28-5
365211-29-6 365211-30-9 365211-31-0
365211-32-1 365211-33-2 365211-34-3
365211-35-4 365211-36-5 365211-37-6
365211-38-7 365211-39-8 365211-40-1
365211-41-2
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); **USES (Uses)**
(charged compds. with nucleic acid-binding moiety, preparation, and use as antiinfective agents)

IT 191916-06-0 263351-97-9 282088-62-4 365211-14-9 365211-15-0
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(charged compds. with nucleic acid-binding moiety, preparation, and use as antiinfective agents)

IT 126093-01-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(charged compds. with nucleic acid-binding moiety, preparation, and use as

antiinfective agents)

IT 13138-76-6P 35302-72-8P, 2-(Trichloroacetyl)pyrrole 77716-11-1P 120122-47-6P 126092-98-6P 126093-00-3P 180258-45-1P 195387-59-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction; charged compds. with nucleic acid-binding moiety, preparation, and use as antiinfective agents)

IT **180530-17-0**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)
(reaction; charged compds. with nucleic acid-binding moiety, preparation, and use as antiinfective agents)

IT 76-02-8, Trichloroacetyl chloride 96-54-8, N-Methylpyrrole 108-00-9, 2-(Dimethylamino)ethylamine 109-55-7, 3-(Dimethylamino)propylamine 3529-10-0, 4-(Dimethylamino)butylamine 24424-99-5, Di-tert-butyldicarbonate **78486-18-7** 131947-13-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction; charged compds. with nucleic acid-binding moiety, preparation, and use as antiinfective agents)

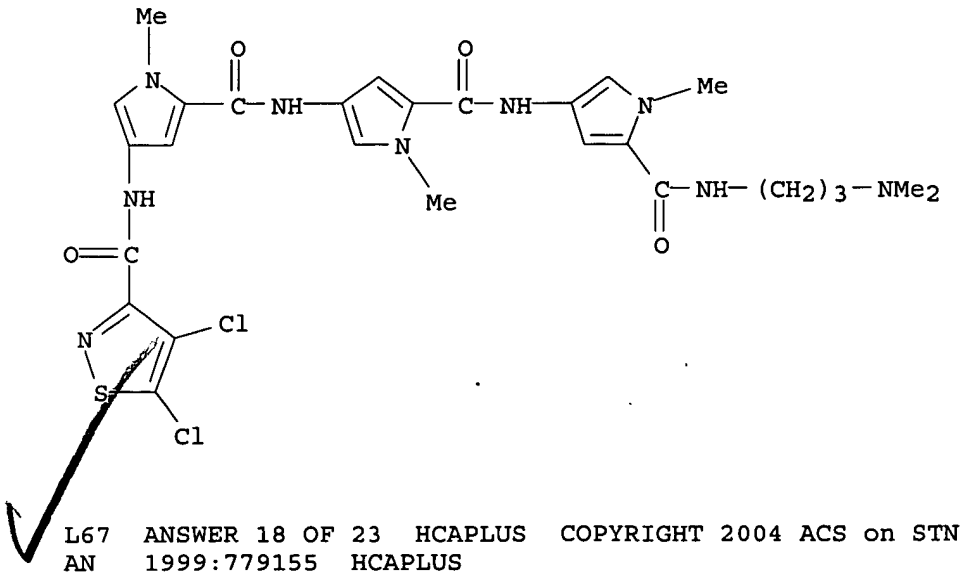
IT 61-32-5, Methicillin 1404-90-6, Vancomycin
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(resistance to; charged compds. with nucleic acid-binding moiety, preparation, and use as antiinfective agents)

IT 366852-42-8
RL: PRP (Properties)
(unclaimed sequence; charged compds. having a nucleic acid-binding moiety, their preparation, and their use as antiinfective agents)

IT **365211-05-8P**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); **THU (Therapeutic use)**; **THU (Therapeutic use)**; **USES (Uses)**; PREP (Preparation); **USES (Uses)**
(charged compds. with nucleic acid-binding moiety, preparation, and use as antiinfective agents)

RN 365211-05-8 HCAPLUS

CN 3-Isothiazolecarboxamide, 4,5-dichloro-N-[5-[[[5-[[[5-[[[3-(dimethylamino)propyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]- (9CI) (CA INDEX NAME)



L67 ANSWER 18 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1999:779155 HCAPLUS

DN 132:19609
ED Entered STN: 09 Dec 1999
TI Inhibition of transcription or cell proliferation with DNA-binding polyamides
IN Dervan, Peter B.; Gottesfeld, Joel M.
PA The Scripps Research Institute, USA; California Institute of Technology
SO U.S., 25 pp., Cont.-in-part of U.S. Ser. No. 837,524.
CODEN: USXXAM
DT Patent
LA English
IC ICM C12Q001-68
NCL 435006000
CC 3-1 (Biochemical Genetics)
Section cross-reference(s): 1
FAN.CNT 11

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5998140	A	19991207	US 1997-853525	19970508
	US 6143901	A	20001107	US 1997-837524	19970421
	WO 9850058	A1	19981112	WO 1997-US12733	19970721
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9737347	A1	19981127	AU 1997-37347	19970721
	AU 747998	B2	20020530		
	EP 991417	A1	20000412	EP 1997-934244	19970721
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	JP 2002515057	T2	20020521	JP 1998-535845	19980211
	US 6303312	B1	20011016	US 1999-434290	19991105
PRAI	US 1996-23309P	P	19960731		
	US 1996-24374P	P	19960801		
	US 1996-26713P	P	19960925		
	US 1997-38384P	P	19970214		
	US 1997-837524	A2	19970421		
	US 1996-607078	A2	19960226		
	US 1997-38394P	P	19970214		
	WO 1997-US3332	A2	19970220		
	US 1997-853525	A	19970508		
	WO 1997-US12722	W	19970721		
	WO 1997-US12733	W	19970721		
	US 1997-56048P	P	19970902		
	US 1997-58338P	P	19970910		
	WO 1998-US2444	W	19980211		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5998140	ICM	C12Q001-68
	NCL	435006000
WO 9850058	ECLA	C12N015/63

AB Methods and compns. are provided for forming complexes intracellularly between dsDNA and oligomers of heterocycles, aliphatic amino acids, particularly omega-amino acids, and a polar end group. By appropriate choice of target sequences and composition of the oligomers, complexes are obtained with low dissociation consts. The formation of complexes can be used for modifying the phenotype of cells, either prokaryotic or eukaryotic, for research and therapy. Thus, polyamides containing N-methylpyrrole and N-methylimidazole were prepared and their binding to DNA characterized.

Association consts. of 3.7 X 1010 were observed for certain polyamides. Similar polyamides inhibited TFIIIA binding to the 5S RNA gene, thereby selectively inhibiting transcription of this gene.

ST transcription cell proliferation DNA binding polyamide

IT RNA
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(5S, gene for, inhibition of transcription of; inhibition of transcription or cell proliferation with DNA-binding polyamides)

IT Polyamides, biological studies
RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(N-methylpyrrole and/or N-methylimidazole-containing; inhibition of transcription or cell proliferation with DNA-binding polyamides)

IT Transcription factors
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(TFIIIA (transcription factor IIIA), binding to 5S RNA gene of; inhibition of transcription or cell proliferation with DNA-binding polyamides)

IT **Antibacterial agents**
Antiviral agents
Cell proliferation
Plant cell
Transcription, genetic
(inhibition of transcription or cell proliferation with DNA-binding polyamides)

IT Animal cell
(mammalian; inhibition of transcription or cell proliferation with DNA-binding polyamides)

IT 191916-06-0
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); BIOL (Biological study); OCCU (Occurrence); PROC (Process)
(double-stranded, polyamide target; inhibition of transcription or cell proliferation with DNA-binding polyamides)

IT **180530-17-0P**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(inhibition of transcription or cell proliferation with DNA-binding polyamides)

IT **180530-18-1P**
RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)
(inhibition of transcription or cell proliferation with DNA-binding polyamides)

IT 76-02-8 96-54-8 541-41-3, Ethyl chloroformate 616-47-7 2592-95-2, 1-Hydroxybenzotriazole 24424-99-5 57294-38-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(inhibition of transcription or cell proliferation with DNA-binding polyamides)

IT 13138-76-6P 30148-21-1P 77716-11-1P 77716-16-6P 109012-23-9P 120122-47-6P 128293-64-1P 180258-45-1P 180258-46-2P 180258-48-4P 195387-60-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(inhibition of transcription or cell proliferation with DNA-binding polyamides)

IT 251922-10-8, 1: PN: US5998140 PAGE: 23 unclaimed DNA 251922-11-9, 2: PN:

US5998140 PAGE: 23 unclaimed DNA 251922-12-0, 3: PN: US5998140 PAGE: 23 unclaimed DNA 251922-13-1, 4: PN: US5998140 PAGE: 23 unclaimed DNA 251922-14-2, 5: PN: US5998140 PAGE: 25 unclaimed DNA 251922-15-3, 6: PN: US5998140 PAGE: 25 unclaimed DNA 251922-16-4, 7: PN: US5998140 PAGE: 26 unclaimed DNA 251922-18-6, 8: PN: US5998140 PAGE: 27 unclaimed DNA 251922-19-7, 9: PN: US5998140 PAGE: 27 unclaimed DNA
RL: PRP (Properties)
(unclaimed nucleotide sequence; inhibition of transcription or cell proliferation with DNA-binding polyamides)

IT 115440-32-9 140708-73-2 222160-28-3
RL: PRP (Properties)
(unclaimed sequence; inhibition of transcription or cell proliferation with DNA-binding polyamides)

RE.CNT 103 THERE ARE 103 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

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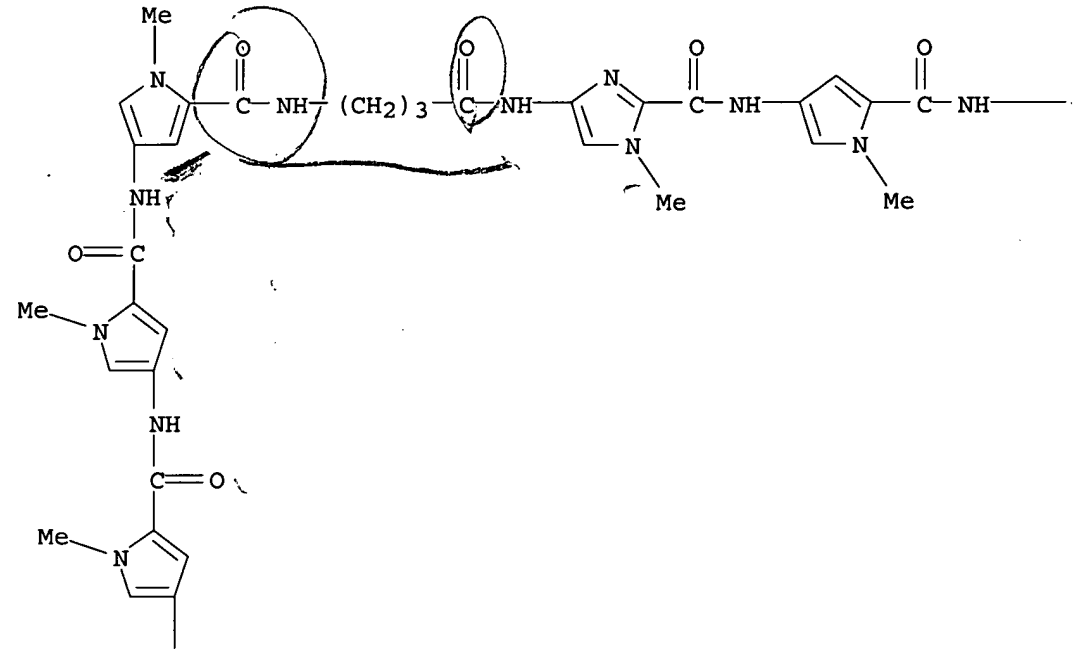
IT **180530-17-0P**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(inhibition of transcription or cell proliferation with DNA-binding polyamides)

RN 180530-17-0 HCAPLUS

CN 1H-Imidazole-2-carboxamide, N-[5-[[[5-[[[5-[[[3-[[3-(dimethylamino)propyl]amino]-3-oxopropyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-4-[[4-[[[1-methyl-4-[[[1-methyl-4-[[[1-methyl-4-[[(1-methyl-1H-imidazol-2-yl)carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]-1-oxobutyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



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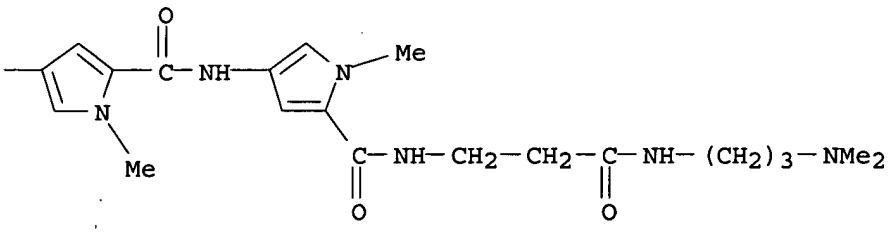
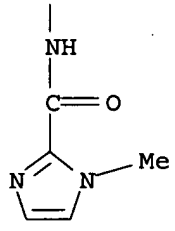


PAGE 2-A



L67 ANSWER 19 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:568743 HCAPLUS
DN 129:184244
ED Entered STN: 07 Sep 1998
TI Inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands
IN Gottesfeld, Joel M.; Dervan, Peter B.; Mosier, Donald E.; Baird, Eldon E.
PA California Institute of Technology, USA; The Scripps Research Institute
SO PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K047-48
CC 1-5 (**Pharmacology**)
Section cross-reference(s): **63**
FAN.CNT 11

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9835702	A1	19980820	WO 1998-US2444	19980211
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WO 9850582	A1	19981112	WO 1997-US12722	19970721
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AU 749953	B2	20020704		
EP 964703	A1	19991222	EP 1998-906240	19980211
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US 1997-38394P	P	19970214		
US 1997-853022	A2	19970421		
WO 1997-US12722	A2	19970721		
US 1997-853522	A	19970508		
US 1997-853525	A	19970508		
US 1997-56048P	P	19970902		
US 1997-58338P	P	19970910		
WO 1998-US2444	W	19980211		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 9835702	ICM	A61K047-48
WO 9850582	ECLA	A61K047/48R2T; C07D207/34; C07D233/90; C07D403/14R; C07D403/14R; C07K007/02

AB The invention provides polyamides suitable for modulating cellular or viral gene expression by binding to an identified target DNA sequence

adjacent to the binding site of a minor groove transcription factor protein. The polyamides of the present invention are useful for the treatment of a human infected with a virus such as HIV-1. The polyamides of the present invention are also useful for the treatment of conditions, such as cancers, that result from the expression or over-expression of cellular genes, particularly oncogenes.

ST gene transcription inhibitor antitumor virucide

IT rRNA
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(5 S; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Proteins, specific or class
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(DNA-binding, zinc finger-containing, TFIIIA, 5S rRNA binding of; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Transcription factors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(Ets-1, binding sites of; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Transcription factors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(LEF-1, binding sites of; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Transcription factors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(TBP, binding sites of; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Transcription factors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(TFIIA, binding sites of; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Mammary gland
Mammary gland
Mammary gland
Ovary, neoplasm
(adenocarcinoma, inhibitors; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Gene, animal
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(c-erbB2; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Antitumor agents
Antitumor agents
(cervix adenocarcinoma; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Uterus, neoplasm
Uterus, neoplasm
(cervix, adenocarcinoma, inhibitors; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Antitumor agents
Antitumor agents
(endometrium adenocarcinoma; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Uterus, neoplasm
Uterus, neoplasm
(endometrium, adenocarcinoma, inhibitors; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

IT Gene
(expression; inhibition of viral or cancer gene transcription by

polyamide DNA-binding ligands)
IT **Antibacterial agents**
Antiviral agents
Bacteria (Eubacteria)
Fungi
Fungicides
Human immunodeficiency virus 1
Protozoa
Protozoacides
Retroviridae
Virus
(inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)
IT Polyamides, biological studies
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)
IT Transcription, genetic
(inhibitors of; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)
IT Antitumor agents
Antitumor agents
Antitumor agents
(mammary gland adenocarcinoma; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)
IT Transcription factors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(minor-groove, binding sites of; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)
IT Oviduct
(neoplasm, adenocarcinoma, inhibitors; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)
IT Antitumor agents
(ovary adenocarcinoma; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)
IT Drug delivery systems
(parenterals; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)
IT DNA sequences
(targets; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)
IT **180530-17-0 206128-28-1 211860-88-7**
211860-89-8 211860-90-1 211860-91-2
RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); **THU (Therapeutic use)**
; BIOL (Biological study); PROC (Process); **USES (Uses)**
(inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)
IT 56-12-2, Gaba, biological studies 109-55-7 305-62-4
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)
IT 107-95-9, β-Alanine
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); PROC (Process); USES (Uses)
(substitution by; inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE

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(2) Genelabs Tech Inc; WO 9414980 A 1994 HCAPLUS
(3) Gottesfeld, J; NATURE (LONDON) 1997, V387(6629), P202 HCAPLUS
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(7) Trauger, J; CHEM BIOL 1996, V3(5), P369 HCAPLUS
(8) Trauger, J; NATURE (LONDON) 1996, V382(6591), P559 HCAPLUS
(9) Turner, J; J AM CHEM SOC 1997, V119(33), P7636 HCAPLUS
(10) White, S; NATURE (LONDON) 1998, V391(6666), P468 HCAPLUS

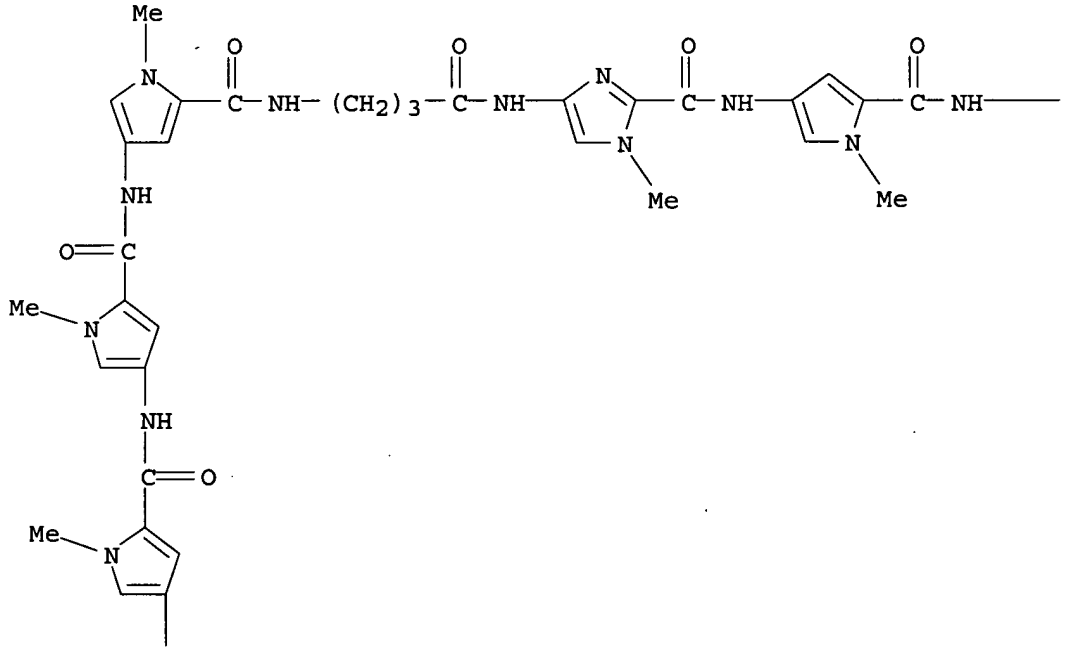
IT **180530-17-0**

RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); **THU (Therapeutic use)**; BIOL (Biological study); PROC (Process); **USES (Uses)**
(inhibition of viral or cancer gene transcription by polyamide DNA-binding ligands)

RN 180530-17-0 HCAPLUS

CN 1H-Imidazole-2-carboxamide, N-[5-[[[5-[[[5-[[[3-[[3-(dimethylamino)propyl]amino]-3-oxopropyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-4-[[4-[[[1-methyl-4-[[[1-methyl-4-[[[1-methyl-4-[[(1-methyl-1H-imidazol-2-yl)carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]-1H-pyrrol-2-yl]carbonyl]amino]-1-oxobutyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



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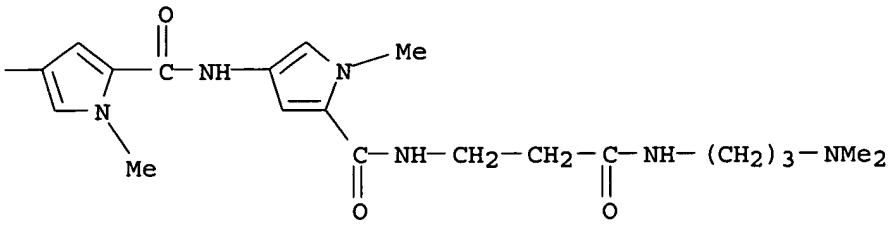

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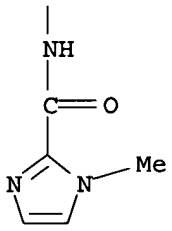

L67 ANSWER 20 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:333422 HCAPLUS
DN 127:44451
ED Entered STN: 26 May 1997
TI Biological activity and DNA sequence specificity of synthetic carbamoyl analogs of distamycin
AU Alfieri, A.; Animati, F.; Arcamone, F.; Bailly, C.; Crisanti, A.; Dentini, M.; Felicetti, P.; Iafrate, E.; Lombardi, P.; Manzini, S.; Rossi, C.; Waring, M. J.
CS Menarini Ricerche, Rome, 00040, Italy
SO Antiviral Chemistry & Chemotherapy (1997), 8(3), 243-254
CODEN: ACCHEH; ISSN: 0956-3202
PB International Medical Press
DT Journal
LA English
CC 1-3 (**Pharmacology**)
Section cross-reference(s): 26
AB A new penta(N-methylpyrrole carboxamide) analog of the antibiotic distamycin has been synthesized in which the N-terminal formylamino group was replaced by a carbamoyl moiety. It was substantially more stable than distamycin in aqueous solution and bound to DNA with about the same affinity constant It had an exemplary margin of selectivity against herpes simplex virus type 1-infected HEp-2 cells in culture compared to uninfected control cells, and was equipotent with distamycin. For comparison, data for analogs containing fewer N-methylpyrrole carboxamide units and/or lacking the carbamoyl replacement are presented. Extensive DNase I footprinting expts. were conducted and revealed that all the distamycin analogs bound to AT-rich nucleotide sequences in three different restriction fragments, irresp. of how many pyrrole rings or which terminal moiety they contained. However, the relative strength of footprints differed significantly among the various compds., though the apparent size of the binding site did not. With semi-synthetic DNA containing inosine and 2,6-diaminopurine residues in place of guanosine and adenine, resp., the compds. recognized new binding site composed of IC-rich clusters and were excluded from binding to their canonical sites. This showed that the process of specific sequence

recognition was critically dominated by the placement of the purine 2-amino group in the minor groove of the double helix.

ST distamycin carbamoyl analog prepn antiviral antiprotozoal; DNA binding distamycin carbamoyl analog

IT Antiviral agents
DNA sequences
Human herpesvirus 1
Parasiticides
Structure-activity relationship
(antiviral and antiprotozoal activity of and DNA binding by carbamoyl analogs of distamycin)

IT DNA
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(antiviral and antiprotozoal activity of and DNA binding by carbamoyl analogs of distamycin)

IT **160664-42-6P 191164-50-8P**
RL: **BAC (Biological activity or effector, except adverse)**; BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); PROC (Process); **USES (Uses)**
(antiviral and antiprotozoal activity of and DNA binding by carbamoyl analogs of distamycin)

IT **636-47-5**, Distamycin A **13696-04-3 35967-49-8**
143158-58-1 **191164-49-5**
RL: **BAC (Biological activity or effector, except adverse)**; BPR (Biological process); BSU (Biological study, unclassified); **THU (Therapeutic use)**; BIOL (Biological study); PROC (Process); **USES (Uses)**
(antiviral and antiprotozoal activity of and DNA binding by carbamoyl analogs of distamycin)

IT 143158-62-7 **159269-78-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; antiviral and antiprotozoal activity of and DNA binding by carbamoyl analogs of distamycin)

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Animati, F; Journal of Medicinal Chemistry 1995, V38, P1140 HCAPLUS
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(3) Arcamone, F; Gazzetta Chimica Italiana 1969, V99, P632 HCAPLUS
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(14) Waring, M; Journal of Molecular Recognition in press 1996
(15) Youngquist, R; Proceedings of the National Academy of Sciences, USA 1985, V82, P2565 HCAPLUS
(16) Zimmer, C; European Journal of Biochemistry 1972, V26, P81 HCAPLUS
(17) Zimmer, C; Progress in Biophysics and Molecular Biology 1986, V47, P31 HCAPLUS
(18) Zimmermann, J; Journal of Biomolecular Structure and Dynamics 1991, V9, P599 HCAPLUS

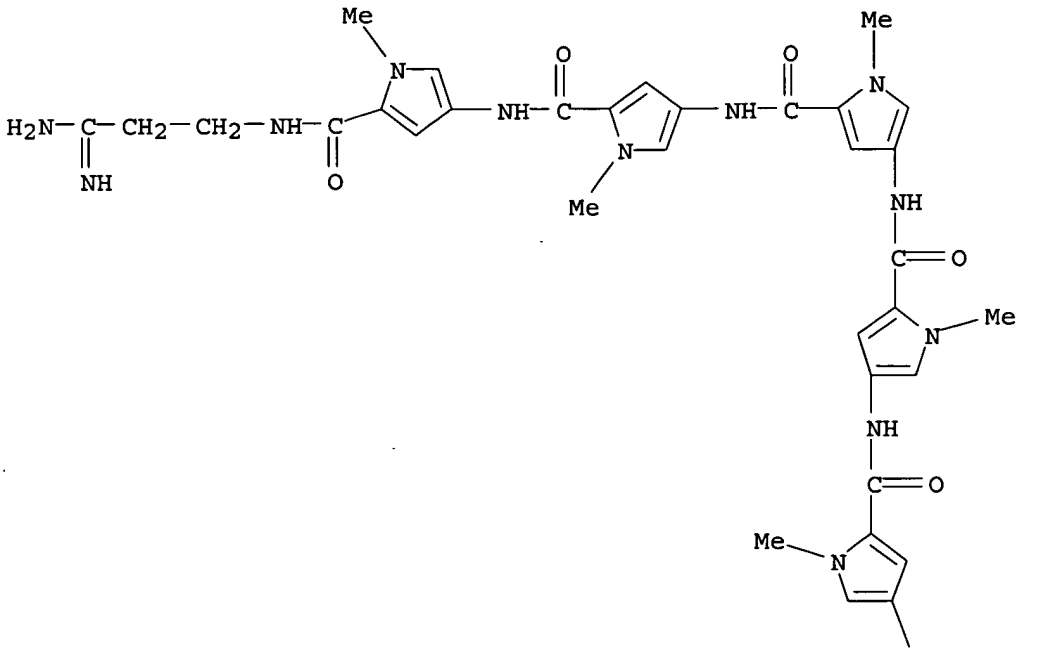
IT **160664-42-6P**
RL: **BAC (Biological activity or effector, except adverse)**; BPR

(Biological process); BSU (Biological study, unclassified); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); **USES (Uses)**; PROC (Process); **USES (Uses)**
(antiviral and antiprotozoal activity of and DNA binding by carbamoyl analogs of distamycin)

RN 160664-42-6 HCAPLUS

CN 1H-Pyrrole-2,4-dicarboxamide, N2-[5-[[[5-[[[5-[[[5-[[(3-amino-3-iminopropyl)amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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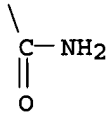


● HCl

L67 ANSWER 21 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1995:331001 HCAPLUS

DN 122:105530

ED Entered STN: 04 Feb 1995

TI Preparation of distamycin A derivatives as antimalarials

IN Animati, Fabio; Arcamone, Federico; Lombardi, Paolo; Rossi, Cristina

PA A. Menarini Industrie Farmaceutiche Riunite S.r.l., Italy; Bristol-Myers Squibb S.p.A.

SO PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07D207-34
ICS A61K031-40
CC 26-6 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 1

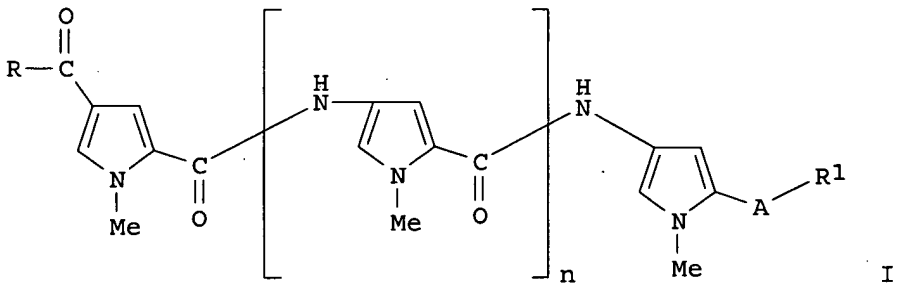
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9425436	A1	19941110	WO 1994-EP1235	19940421
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TT, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2161552	AA	19941110	CA 1994-2161552	19940421
AU 9466463	A1	19941121	AU 1994-66463	19940421
BR 9406509	A	19960109	BR 1994-6509	19940421
EP 698011	A1	19960228	EP 1994-915076	19940421
R: CH, DE, ES, FR, GB, LI				
CN 1125437	A	19960626	CN 1994-192517	19940421
US 5670534	A	19970923	US 1996-549737	19960216
PRAI IT 1993-FI83		19930426		
WO 1994-EP1235		19940421		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 9425436	ICM	C07D207-34
	ICS	A61K031-40

OS MARPAT 122:105530
GI



AB Title compds. I (n = 0-4; R = H, R2O, R3R4N wherein R2 = H, C1-4 alkyl, cycloalkyl, arylalkyl, aromatic, R3, R4 = H, alkyl, cycloalkyl, aromatic, arylalkyl, , etc.; R3R4 (CH2)2O(CH2)2, (CH2)2NH(CH2)2; A = bond, CONHZ wherein Z = alkylene, aromatic; R1 = R5O2C, R7R6N, H2NC:NH wherein R5 = H, alkyl, cycloalkyl, aromatic arylalkyl, steroid residue, B = bond, CO, R6, R7 = H, alkyl, cycloalkyl, etc.) and a salt thereof, useful as antimalarials (no data), are prepared 1-Methyl-4-carboxyamidopyrrole-2-carboxylic acid and carbonyldiimidazole in DMF were stirred at 40° for 2 h, to which was added N-deformyldistamycin in DMF to give I (n = 2, R = H2N, A = H2CCH2NHCO, R1 = H2NC:NH).HCl. I are claimed as pharmaceutical compns. an antiparasitic agents (no data for either one).
ST distamycin A deriv prepn antimalarial
IT **Antimalarials**
(preparation of distamycin A derivs. as antimalarials)

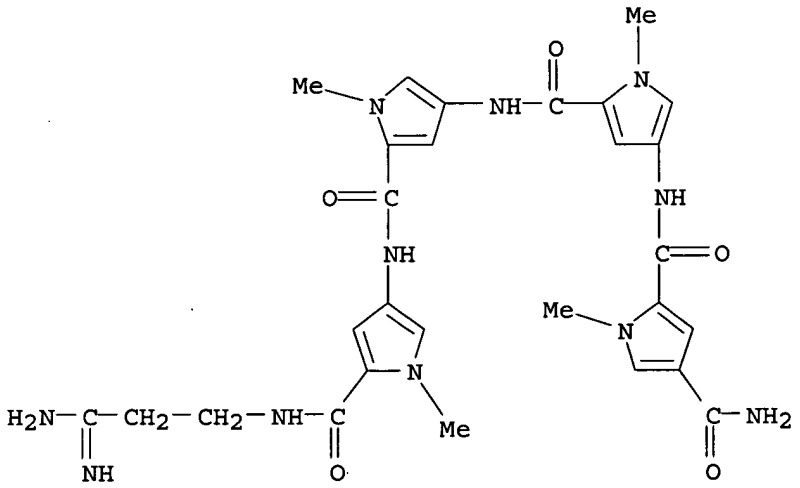
IT **160664-41-5P 160664-42-6P 160664-43-7P**
160664-44-8P 160664-45-9P 160664-46-0P
160664-47-1P 160664-48-2P 160664-49-3P
160664-50-6P 160664-51-7P **160664-52-8P**
160664-53-9P 160664-54-0P 160664-55-1P
160664-56-2P 160664-57-3P 160664-58-4P
160664-59-5P 160664-60-8P 160664-61-9P
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); **USES (Uses)**
(preparation of distamycin A derivs. as antimalarials)

IT **6576-31-4** 143158-62-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of distamycin A derivs. as antimalarials)

IT **160664-41-5P**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); **USES (Uses)**
(preparation of distamycin A derivs. as antimalarials)

RN 160664-41-5 HCAPLUS

CN 1H-Pyrrole-2,4-dicarboxamide, N2-[5-[[[5-[[[5-[[(3-amino-3-iminopropyl)amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-1-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L67 ANSWER 22 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:670661 HCAPLUS
DN 115:270661
ED Entered STN: 27 Dec 1991
TI Use of distamycin A and its derivatives for the prevention and treatment of malaria
IN Mongelli, Nicola; Spreafico, Federico
PA Farmitalia Carlo Erba S.r.l., Italy
SO Ger. Offen., 5 pp.
CODEN: GWXXBX
DT Patent

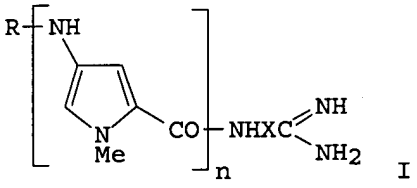
LA German
IC ICM A61K031-40
CC 1-5 (**Pharmacology**)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 4019520	A1	19910110	DE 1990-4019520	19900619
	JP 03031254	A2	19910212	JP 1990-159585	19900618
	GB 2235381	A1	19910306	GB 1990-13841	19900621
PRAI	IT 1989-20971		19890623		

CLASS

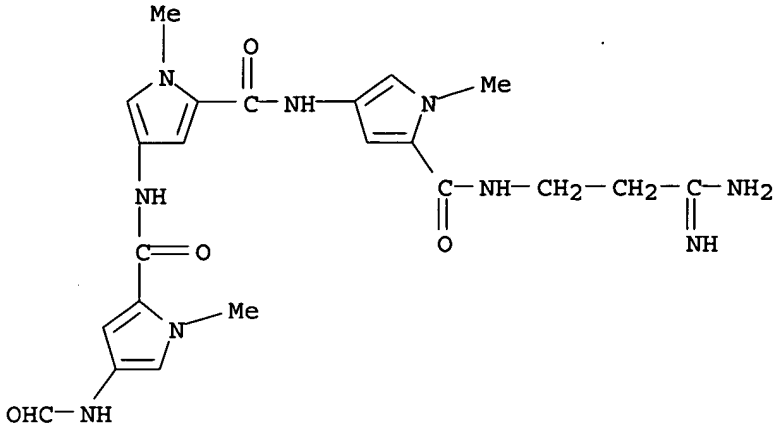
PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
DE 4019520	ICM	A61K031-40

OS MARPAT 115:270661
GI

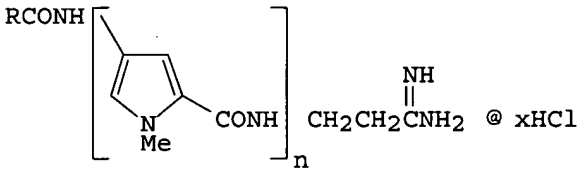


I

AB The title compds. I [R = H, acyl; X = (CH2)y; n = 2-6; y = 1-6] are antimalarials. I [R = CHO, X = (CH2)2, n = 3] was lethal to Plasmodium falciparum in human blood in vitro. The mean inhibitory concentration was 0.3 μg/mL.
ST antimalarial distamycin A deriv
IT **Antimalarials**
(distamycin A derivs.)
IT **636-47-5 6576-31-4 13696-04-3 35967-49-8 137733-25-6**
RL: BIOL (Biological study)
(as antimalarial)
IT **636-47-5D**, Distamycin A, derivs.
RL: BIOL (Biological study)
(as antimalarials)
IT **636-47-5**
RL: BIOL (Biological study)
(as antimalarial)
RN 636-47-5 HCAPLUS
CN 1H-Pyrrole-2-carboxamide, N-[5-[[(3-amino-3-iminopropyl)amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-4-[[[4-(formylamino)-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl- (9CI) (CA INDEX NAME)



L67 ANSWER 23 OF 23 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1982:538184 HCAPLUS
DN 97:138184
ED Entered STN: 12 May 1984
TI Antiparasitic and antiviral structure-activity relationship of congocidine and distamycin A derivatives
AU Bialer, Meir; Yagen, Boris; Mechoulam, Raphael; Becker, Yechiel; El-On, Joseph
CS Sch. Pharm., Hebrew Univ., Jerusalem, 91120, Israel
SO Curr. Chemother. Immunother., Proc. Int. Congr. Chemother., 12th (1982), Meeting Date 1981, Volume 2, 1048-50. Editor(s): Periti, Piero; Gialdroni Grassi, Giuliana. Publisher: Am. Soc. Microbiol., Washington, D. C. CODEN: 48HGAR
DT Conference
LA English
CC 1-5 (**Pharmacology**)
GI

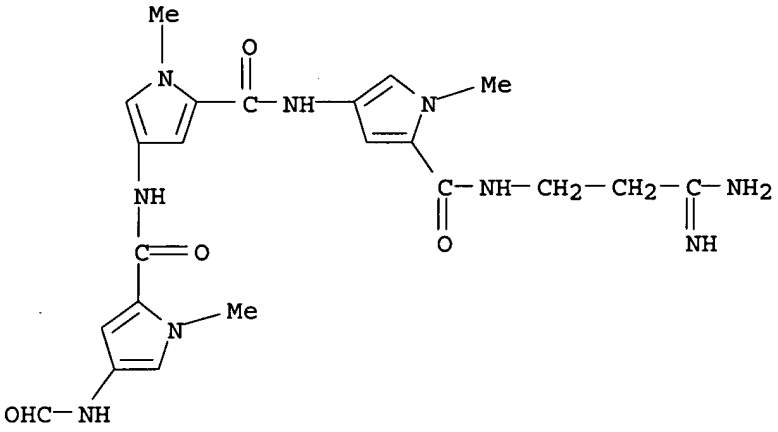


I, R=H, n=3, x=1

II, R=$CH_2NHC(:NH)NH_2$, n=2, x=2

AB The antiviral activity of distamycin A (I) [**636-47-5**], congocidine (II) [1438-30-8], tripyrrolic acid [67974-03-2], and 3 tripyrrole derivs. of II is described. All of the tripyrrole derivs. of II were better antiviral agents and less cytotoxic than II. In 2 antiparasitic tests (against Trypanosoma congolense and Leishmania tropica) one of the tripyrrole derivs. of II [**74671-13-9**] was more potent and less toxic than II. Structure-activity relations for the compds. are discussed.
ST congocidine antiparasitic antiviral structure activity; distamycin antiparasitic antiviral
IT Molecular structure-biological activity relationship
(antiviral, of congocidine and distamycin A derivs.)

IT **Parasiticides**
Virucides and Virustats
(congocidine and distamycin A derivs. as, structure in relation to)
IT Molecular structure-biological activity relationship
(parasiticidal, of congocidine and distamycin A derivs.)
IT **636-47-5** 1438-30-8 14555-84-1 67974-03-2 **74671-13-9**
83102-86-7
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); BIOL (Biological study)
(antiparasitic and antiviral activity of, structure in relation to)
IT **636-47-5**
RL: **BAC (Biological activity or effector, except adverse)**; BSU (Biological study, unclassified); BIOL (Biological study)
(antiparasitic and antiviral activity of, structure in relation to)
RN 636-47-5 HCAPLUS
CN 1H-Pyrrole-2-carboxamide, N-[5-[[(3-amino-3-iminopropyl)amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-4-[[[4-(formylamino)-1-methyl-1H-pyrrol-2-yl]carbonyl]amino]-1-methyl- (9CI) (CA INDEX NAME)



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